```
=> file registry
FILE 'REGISTRY' ENTERED AT 09:24:46 ON 07 MAR 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:    5 MAR 2008  HIGHEST RN 1006749-26-3
DICTIONARY FILE UPDATES:   5 MAR 2008  HIGHEST RN 1006749-26-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> d stat que L127
L107         45 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  BUCHSTALLER H?/AU
L108        278 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  WIESNER M?/AU
L109         24 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  SCHADT O?/AU
L110         27 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  AMENDT C?/AU
L111         38 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  ZENKE F?/AU
L112         38 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  SIRRENBERG C?/AU
L113        149 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  GRELL M?/AU
L114         24 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L107 AND (L108 OR L109 OR
                L110 OR L111 OR L112 OR L113)
L115          9 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L108 AND (L109 OR L110 OR
                L111 OR L112 OR L113)
L116          3 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L109 AND (L110 OR L111 OR
                L112 OR L113)
L117         21 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L110 AND (L111 OR L112 OR
                L113)
L118         15 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L111 AND (L112 OR L113)
L119         14 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L112 AND L113
L122         20 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L114 AND (L115 OR L116 OR
                L117 OR L118 OR L119)
L123          8 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L115 AND (L116 OR L117 OR
                L118 OR L119)
L124          2 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L116 AND (L117 OR L118 OR
                L119)
L125         14 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L117 AND (L118 OR L119)
L126         14 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L118 AND L119
L127         20 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  (L122 OR L123 OR L124 OR L125
                OR L126)


=> d ibib abs L127 1-20
YOU HAVE REQUESTED DATA FROM FILE 'ZCAPLUS' - CONTINUE? (Y)/N:y
```

L127 ANSWER 1 OF 20 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1309568 ZCAPLUS Full-text
DOCUMENT NUMBER: 146:62606
TITLE: Preparation of tetrahydroquinoline derivatives for use in the treatment of tumors
INVENTOR(S): Staehle, Wolfgang; Bruge, David; Schiemann, Kai; Finsinger, Dirk; Buchstaller, Hans-Peter; Zenke, Frank; Amendt, Christiane
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: Ger. Offen., 72pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 102005027169	A1	20061214	DE 2005-102005027169	20050613
AU 2006257486	A1	20061221	AU 2006-257486	20060531
WO 2006133805	A1	20061221	WO 2006-EP5176	20060531

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

EP 1891013	A1	20080227	EP 2006-754004	20060531

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

PRIORITY APPLN. INFO.: DE 2005-102005027169A 20050613
WO 2006-EP5176 W 20060531
OTHER SOURCE(S): CASREACT 146:62606; MARPAT 146:62606
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Tetrahydroquinoline compds. I [G = (CR2)sR6; W = CH, N; U = (CR4R4')k(CR8R8'CR5R5')l(CR12R12')p, (CR4R4')k(CR8:CR5)l(CR12R12')p, (CR4R4'CR8:CR5)k(CR12R12')p, (CR4R4')k(CR8:CR5CR12R12')l, (CR4R4')k(CR8R8'CR5R5')l; R1, R2, R3 = H, A, aryl, heteroaryl, halogen, (CY2)nSA, (CY2)nSCF3, (CY2)nSCN, (CY2)nCF3, (CY2)nOCF3, cycloalkyl, SMe, SCN, CF3, OCF3, OA, (CY2)nOH, (CY2)nCO2R, (CY2)nCN, (CY2)n-halogen, (CY2)nNR2, (CY2)nOA, (CY2)nOC(:O)A, etc.; R4, R4', R5, R5', R8, R8', R12, R12' = H, OR, NO2, halogen, CF3, OCF3, CN, NR2, SR, aryl, heteroaryl; R6 = aryl, heteroaryl; R7 = COR, CONR2, CO2R, H, A; A = alkyl, cycloalkyl, haloalkyl, halocycloalkyl; R = H, alkyl; R2 = (CH2)5, (CH2)4, (CH2)nX(CH2)n, (CH2)nZ(CH2)n; U = ; Y = H, A, halogen; Z = CH2, X, CHCONH2, CH(CH2)nNRCO2R, NCO, CH(CH2)nCO2R, NCO2R,

CH(CH2)nOH, N(CH2)2OH, CHNH2, CH(CH2)nNR2, CROH, CHNCOR, CH(CH2)n-aryl, CH(CH2)n-aryl, etc.; k, l, p = 0, 1, 2 preferably 0 or 1 whereby k + l + p ≠ 0 or k + l ≠ 0; m = 0, 1, 2; n = 0 - 7; s = 0 - 6; t = 0 - 6] can be used in conjunction with other therapies for the treatment of tumors. The procedure for the preparation of I, their physiol. acceptable salts, solvates, tautomers and stereoisomers, comprises: (a) cyclization of aniline derivs. II with aldehydes, R6CHO, and cycloalkenes III, IV , V , VI, and VII; and (b) transformations of the resulting cycloalkanoquinolines or cycloalkenoquinolines. Thus, hydroxquinoline VIII was prepared from 4-(F3C)C6H4NH2 via cyclization with cyclopentadiene and PhCHO in MeCN containing CF3CO2H, stereoselective epoxidn. with m-ClC6H4CO3H in CH2Cl2, and regioselective reduction with LiAlH4 in Et2O. The pharmacol. activity of VIII in the presence of pentamidine homologs and derivs. was determined [see chart].

L127 ANSWER 2 OF 20 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1309560 ZCAPLUS Full-text
DOCUMENT NUMBER: 146:62604
TITLE: Preparation of tetrahydroquinolines for use in the treatment of tumors
INVENTOR(S): Schiemann, Kai; Bruge, David; Buchstaller, Hans-Peter; Emde, Ulrich; Finsinger, Dirk; Amendt, Christiane; Zenke, Frank
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: Ger. Offen., 63pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 102005027168	A1	20061214	DE 2005-102005027168	20050613
AU 2006257414	A1	20061221	AU 2006-257414	20060602
WO 2006133821	A1	20061221	WO 2006-EP5297	20060602
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1891011	A1	20080227	EP 2006-754091	20060602
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.: DE 2005-102005027168A 20050613
WO 2006-EP5297 W 20060602
OTHER SOURCE(S): CASREACT 146:62604; MARPAT 146:62604
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Tetrahydroquinolines I [W = CH, N; D = (CR2)sR6; R = H, A, (CH2)5, (CH2)4, (CH2)nX(CH2)n, (CH2)nZ(CH2)n; R1, R2, R3 = H, A, aryl, heteroaryl, halogen, (CY2)nSA, (CY2)nSCF3, (CY2)nSCN, (CY2)nCF3, (CY2)nOCF3, cycloalkyl, SMe, SCN, CF3, OCF3, OA, (CY2)nOH, (CY2)nCO2R, (CY2)nCN, (CY2)n-halogen, (CH2)nR, (CY2)nNR2, (CY2)nOR, (CY2)nOC(:O)A, SCF3, (CY2)nCONR2, (CY2)nNHCOA, (CY2)nNHSO2A, SF5, SiMe3, CO(CY2)nMe, (CH2)nNRCO2R, NRCO2R, NCO, CH2(CH2)nCO2R, NHCO2R, CH2(CH2)nOH, CH2NH2, etc.; R4 = H; R5 = H, aryl, heteroaryl, N-pyrrolidone, X(CH2)2OR, XCO(CH2)nMe, X(CH2)2NR2, R1, S-aryl, O-aryl, CH2SiMe3, Q, (CY2)nECR2R1, (CY2)nECR2XR1, (CY2)nE(CY2)nXR1, (CY2)nE(CY2)nXRa; R6 = H, halogen, NO2, CN, A, OR, OC(:O)R, COR, NR2, CF3, OCH(CF3)2, aryl, heteroaryl; R7 = C(:O)R, C(:O)NR2, CO2R, H, A; Y = H, A, halogen, OR1, N(R1)2, ER1; E = NR1SO2, ; X = O, S, NR1; Q = (CH2)p-halogen, CHO, CORa, (CH2)pRa, (CH2)pOC(:O)Ra, (CH2)pXR1, (CH2)pNCOR1, (CH2)pN(R1)2, (CH2)pOR1, (CH2)pOC(:O)N(R1)2, etc.; Z = CH2, X, CHCONH2, CH(CH2)nNR1CO2R1, CHNR1CO2R1, CHC(:O)N(R1)2, NCO, CH(CH2)nCO2R1, NCO2R1, CH(CH2)nOH, N(CH2)nOH, CHNH2, CH(CH2)nN(R1)2, CR1OH, CHNCOR1, NCOR1, etc.; Ra = OR, NHR, NR2, NR(CH2)n-aryl, NR(CH2)nOR, CO2R, N-pyrrolidone, O(:O)R, NR(CH2)nNR2, etc.; A = alkyl, cycloalkyl, etc.; m = 0-2; n = 0-7; p = 0-5, especially 2 or 3; s = 0-7], were prepared The procedure for the preparation of I (W = CH), their salts, solvates, tautomers, and stereoisomers, comprises: (a) reaction of anilines II with aldehydes IV, and with dihydropyrans III [G = (CH2)s'; s' = 0, 1, 2] in the presence of an acid; (b) reduction of the resulting quinoline to give I (R7 = H); and, optionally, (c) either replacing R7 = H and/or forming the salt by reaction with an acid or a base. Thus, cis- and trans-2-(3-hydroxyphenyl)quinolines, V and VI, resp., were prepared from 4-(tert-butyl)aniline via cyclization with 3-hydroxybenzaldehyde and 3,4-dihydropyran in MeCN containing CF3CO2H, and reduction in EtOH over Raney nickel. The biol. activity of V and VI in combination with pentamidine, 4-[H2NC(:NH)]C6H4O(CH2)5OC6H4[C(:NH)NH2]-4, was determined (see chart).

L127 ANSWER 3 OF 20 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1250683 ZCAPLUS Full-text
DOCUMENT NUMBER: 146:27851
TITLE: Preparation of quinazolinones as mitosis cell division modulators
INVENTOR(S): Buchstaller, Hans-Peter; Finsinger, Dirk; Schiemann, Kai; Emde, Ulrich; Zenke, Frank; Amendt, Christiane
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: PCT Int. Appl., 142pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006125555	A2	20061130	WO 2006-EP4655	20060517
WO 2006125555	A3	20070518		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,

IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

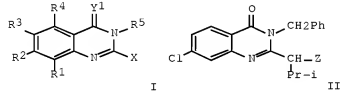
DE 102005024017	A1	20061130	DE 2005-102005024017	20050525
AU 2006251355	A1	20061130	AU 2006-251355	20060517
CA 2609391	A1	20061130	CA 2006-2609391	20060517
EP 1885702	A2	20080213	EP 2006-753676	20060517

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

PRIORITY APPLN. INFO.: DE 2005-102005024017A 20050525
WO 2006-EP4655 W 20060517

OTHER SOURCE(S): MARPAT 146:27851

GI



I II

AB Title compds. I [X = Z1(N(Z3R8)Z2)kNR6R7; R1, R2, R3, R4 = H, halo, NO2, etc.; R5, R8 = H, Ar, Het, etc.; R6, R7 = H, het, Ar, etc.; Y1 = O, S, NR1; Z1, Z2 = CR9R10, etc.; Z3 = Z1 or Z2 with provisos; k = 0-2 with provisos] and their pharmaceutically acceptable salts and formulations were prepared For example, hydrolysis of nitrile II [Z = CN] afforded claimed amide III [Z = CONH2] in 57% yield. Compds. I are claimed to be useful as mitosis cell division modulators.

L127 ANSWER 4 OF 20 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:981748 ZCAPLUS Full-text
DOCUMENT NUMBER: 145:336064
TITLE: Preparation of 2-benzyl-1(2H)-phthalazinones as antitumor agents
INVENTOR(S): Buchstaller, Hans-Peter; Finsinger, Dirk; Schiemann, Kai; Emde, Ulrich; Zenke, Frank; Amendt, Christiane
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: PCT Int. Appl., 105pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006097176	A1	20060921	WO 2006-EP1525	20060221

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,

SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

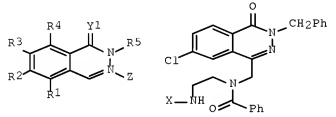
DE 102005011822	A1	20060921	DE 2005-102005011822 20050315
CA 2600985	A1	20060921	CA 2006-2600985 20060221
EP 1858860	A1	20071128	EP 2006-707105 20060221

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

PRIORITY APPLN. INFO.: DE 2005-102005011822A 20050315
WO 2006-EP1525 W 20060221

OTHER SOURCE(S): CASREACT 145:336064; MARPAT 145:336064

GI



I II

AB Title compds I [Z = Z1N(Z3R8)Z2NR6R7; R1, R2, R3, R4 = H, Ar, Het, etc.; R5, R8 = H, Ar, Het, etc.; R6, R7 = H, A, 5 to 7-membered heterocylic ring with provisos; A = alkyl, cycloalkyl; Z1, Z2, Z3 = (CR9R10)n, (CR9R10)p-(C=Y2)-(CR11R12)q; Y2 = O, S, NR2; R9, R10, R11, R12 = H, A, OA, etc.; m = 0-3; n = 1-4; p, q = 0-3] and their pharmaceutically acceptable salts and formulations were prepared For example,TFA mediated deprotection of Boc-amine II [X = Boc] afforded amine II [X = NH2] in 91% yield. Compds. I are claimed to be useful as motor proteins Eg5 modulators.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L127 ANSWER 5 OF 20 ZCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	2006:910533 ZCAPLUS Full-text
DOCUMENT NUMBER:	145:292878
TITLE:	Preparation of 1-methylene-2-phenylindenes as mitosis cell division modulators
INVENTOR(S):	Finsinger, Dirk; Bruge, David; Buchstaller, Hans-Peter; Emde, Ulrich; Schiemann, Kai; Staehle, Wolfgang; Amendt, Christiane; Heiss, Nina; Zenke, Frank
PATENT ASSIGNEE(S):	Merck Patent G.m.b.H., Germany
SOURCE:	Ger. Offen., 68pp. CODEN: GWXXBX
DOCUMENT TYPE:	Patent
LANGUAGE:	German
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 102005010000	A1	20060907	DE 2005-102005010000	20050304
AU 2006222341	A1	20060914	AU 2006-222341	20060213
CA 2600606	A1	20060914	CA 2006-2600606	20060213
WO 2006094602	A1	20060914	WO 2006-EP1283	20060213

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

EP 1853550	A1	20071114	EP 2006-706895	20060213

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

PRIORITY APPLN. INFO.: DE 2005-102005010000A 20050304
WO 2006-EP1283 W 20060213

OTHER SOURCE(S): MARPAT 145:292878

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = (R1')q; R1' = H, het, Ph, etc.; q = 1-4; R2, R3 = H, OH, OA, etc.; A = alkyl with provisos; R4 = O, =CN-(CH2)nN(R5)2, etc.; R5 = H, A] and their pharmaceutically acceptable salts and formulations were prepared For example, dehydration of alc. II afforded claimed methylene-2-phenylindene III. Compds. I are claimed to be useful as mitosis cell division modulators.

L127 ANSWER 6 OF 20 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:31283 ZCAPLUS Full-text
DOCUMENT NUMBER: 144:128981
TITLE: Preparation of fused tetrahydroquinolines as anticancer drugs.
INVENTOR(S): Schiemann, Kai; Bruge, David; Buchstaller, Hans-Peter; Finsinger, Dirk; Staehle, Wolfgang; Amendt, Christiane; Emde, Ulrich; Zenke, Frank
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006002726	A1	20060112	WO 2005-EP5981	20050603

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,

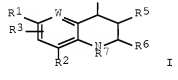
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF,
CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM,
KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG,
KZ, MD, RU, TJ, TM

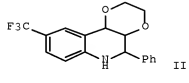
DE 102004031656	A1	20060119	DE 2004-102004031656	20040630
AU 2005259676	A1	20060112	AU 2005-259676	20050603
CA 2572350	A1	20060112	CA 2005-2572350	20050603
EP 1778694	A1	20070502	EP 2005-750999	20050603
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1976936	A	20070606	CN 2005-80021442	20050603
JP 2008505136	T	20080221	JP 2007-519634	20050603
MX 2006PA14293	A	20070219	MX 2006-PA14293	20061207
KR 2007037585	A	20070405	KR 2006-727545	20061228
IN 2007KN00294	A	20070706	IN 2007-KN294	20070125
PRIORITY APPLN. INFO.:			DE 2004-102004031656A	20040630
			WO 2005-EP5981 W	20050603

OTHER SOURCE(S): CASREACT 144:128981; MARPAT 144:128981

GI



I



II

AB Title compds. [I; W = CH, N; R1-R3 = H, alkyl, cycloalkyl, heteroaryl, halo, etc.; R4R5 = XCH2CH2X, XCR2X, XCH2(CH2OR)X, etc.; R = H, alkyl, cycloalkyl; X = O, S, NR; R6 = (substituted) aryl, heteroaryl; R7 = COR, CONR2, CO2R, H, alkyl, cycloalkyl], were prepared as inhibitors of mitotic motor protein Eg5 (no data). Thus, reaction of 4-trifluoromethylaniline with PhCHO and 1,4-dioxene in CF3CO2H gave title compound (II) as an isomeric mixture

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L127 ANSWER 7 OF 20 ZCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1002884 ZCAPLUS Full-text

DOCUMENT NUMBER: 143:306318

TITLE: Preparation of thiadiazole urea derivatives for use in controlling signal transduction of kinases

INVENTOR(S): Burgdorf, Lars; Buchstaller, Hans-Peter; Stieber, Frank; Anzali, Soheila; Amendt, Christiane; Greiner, Hartmut; Grell, Matthias; Sirrenberg, Christian; Zenke, Frank

PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

SOURCE: Ger. Offen., 32 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

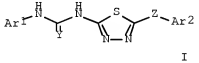
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 102004009933	A1	20050915	DE 2004-102004009933	20040226
AU 2005219499	A1	20050915	AU 2005-219499	20050131
CA 2557303	A1	20050915	CA 2005-2557303	20050131
WO 2005085220	A1	20050915	WO 2005-EP908	20050131

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

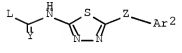
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1720846	A1	20061115	EP 2005-701263	20050131
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2007523922	T	20070823	JP 2007-500082	20050131
US 2007191353	A1	20070816	US 2006-590729	20060825
PRIORITY APPLN. INFO.:			DE 2004-102004009933A	20040226
			WO 2005-EP908 W	20050131

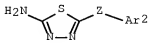
OTHER SOURCE(S): CASREACT 143:306318; MARPAT 143:306318
GI



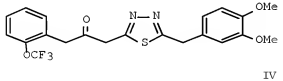
I



II



III



IV

AB Use of compds. I [Ar1 = (un)substituted Ph, naphthyl, biphenyl or heterocycle (substituted with 1-5 R1); Ar2 = (un)substituted Ph, naphthyl, biphenyl or

heterocycle (substituted with 1-5 R2); Y = O, S, CHNO2, C(CN)2, NR4; Z = O, S, CH2(CH2)n, (CH2)nCHA, CHA(CH2)n, C:O, CHOH, (CHA)nO, (CH2)nO, O(CHA)n, etc.; R1, R2 = A, Ar', OR3, OAr', SAr', N(R3)2, NHAr', halogen, NO2, CN, (CH2)nCO2H, (CH2)nCON(R3)2, (CH2)nCONHR3, etc.; R3 = H, A, (CH2)nAr'; R4 = H, CN, OH, A, (CH2)mAr', COR3, COAr', S(O)mA, S(O)mAr'; Ar' = (un)substituted Ph (optionally substituted 1-5 times with A, Ph, OH, OA, SHH, SA, OPh, SPh, NH2, NHA, NA2, NHPh, halogen, NO2, CN, (CH2)nCO2H), (CH2)nA, CHO, COA, S(O)mA, S(O)mPh, NHCOA, NHCOPh, NHSO2A, NHSO2Ph, SO2NH; Ph = (un)substituted (optionally substituted 1-5 times with A, halogen, CN, CO2R, CO2H, NH2, NO2, OH, OA); Het1 = (un)substituted heterocycle with 1- to 4-heteroatoms (N, O, S; optionally substituted 1 to 3 times with halogen, A, OA, CN, (CH2)nOH, (CH2)n-halogen, NH2, :NH, :NOH, :NOA, :O); A = C1-10-alkyl (whereby 1 - 7 H's can be replaced with F or Cl); halogen = F, Cl, Br, I; n= 0 - 5; m = 0, 1, 2] and their pharmaceutically acceptable salts, solvates,and stereoisomers, for the prophylaxis and/or treatment of diseases, with which the inhibition, control and/or modulation of the signal transduction of kinases, in particular the RAF kinases, play a role. A method for preparation of I comprises: (a) reaction of carbamic acid derivative II (L = OA, Cl, Br, I, OH derivative) with Ar1NH2; or (b) carbamylation of thiadiazolamine III with Ar1NCO. Thus, 1-[5-(3,4-dimethoxybenzyl)-[1,3,4]-thiadiazol-2-yl]-3-[3- (trifluoromethoxy)phenyl]urea (IV) was prepared from (3,4- dimethoxyphenyl)acetonitrile, via cyclocondensation with thiosemicarbazide in CF2CO2H to the 5-(3,4-dimethoxybenzyl)-[1,3,4]-thiadiazole, carbonylation with p-nitrophenyl chloroformate in CH2Cl2 containing pyridine followed by amidation with 3-(trifluoromethoxy)aniline in CH2Cl2 containing EtN(CHMe2)2.

L127 ANSWER 8 OF 20 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:982303 ZCAPLUS Full-text
DOCUMENT NUMBER: 143:286291
TITLE: Preparation of 2-pyridinecarboxamides as kinase inhibitors
INVENTOR(S): Burgorf, Lars; Buchstaller, Hans-Peter; Stieber, Frank; Amendt, Christiane; Greiner, Hartmut; Grell, Matthias; Sirrenberg, Christian; Zenke, Frank
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: Ger. Offen., 33 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

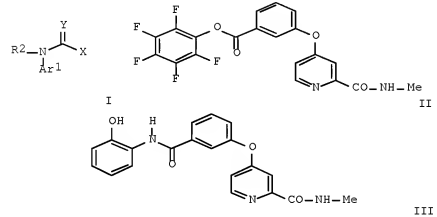
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 102004009238	A1	20050908	DE 2004-102004009238	20040226
AU 2005219496	A1	20050915	AU 2005-219496	20050113
CA 2557302	A1	20050915	CA 2005-2557302	20050113
WO 2005085202	A1	20050915	WO 2005-EP273	20050113

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1718614	A1	20061108	EP 2005-700886		20050113
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS					
JP 2007523921	T	20070823	JP 2007-500077		20050113
US 2007142440	A1	20070621	US 2006-590724		20060825
PRIORITY APPLN. INFO.:			DE 2004-102004009238A		20040226
			WO 2005-EP273	W	20050113

OTHER SOURCE(S): MARPAT 143:286291

GI



I II III

AB Title compds. I [X = Ar2-Z-Ar3; Ar1, Ar2, Ar3 = (un)substituted aromatic, het; R1 = H, aryl, O-aryl, etc.; R2 = H, A, allkylen-aryl(sic), etc.; A = alkyl with provisos; Z = Gln, GlnEG2m, EGlnG2m, etc.; E = O, CO, C=N, etc.; G1, G2 = CR1R1, E; n = 0-5; m = 0-2] and their pharmaceutically acceptable salts and formulations were prepared For example, N-alkylation of 2-aminophenol with pentafluorophenol II afforded pyridinecarboxamide III in 13% yield. Compds. I are claimed to be effective inhibitors of the tyrosine kinases, in particular TIE-2 and VEGFR, and the Raf kinases.

L127 ANSWER 9 OF 20 ZCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	2005:979621 ZCAPLUS Full-text
DOCUMENT NUMBER:	143:266924
TITLE:	Preparation of ureidoalkyl-substituted benzimidazole derivatives as kinase inhibitors
INVENTOR(S):	Buchstaller, Hans-Peter; Burgdorf, Lars; Stieber, Frank; Amendt, Christiane; Grell, Mathias; Sirrenberg, Christian; Zenke, Frank
PATENT ASSIGNEE(S):	Merck Patent G.m.b.H., Germany
SOURCE:	PCT Int. Appl., 157 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2005082862	A2	20050909	WO 2005-EP1445	20050214
WO 2005082862	A3	20051201		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2005217042	A1	20050909	AU 2005-217042	20050214
CA 2557398	A1	20050909	CA 2005-2557398	20050214
EP 1718637	A2	20061108	EP 2005-715321	20050214

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS

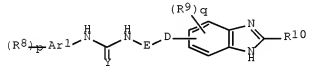
JP 2007523929	T	20070823	JP 2007-500097	20050214
US 2007191444	A1	20070816	US 2006-590798	20060825

PRIORITY APPLN. INFO.:

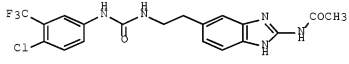
EP 2004-4332	A	20040226
EP 2004-4967	A	20040303
WO 2005-EP1445	W	20050214

OTHER SOURCE(S): MARPAT 143:266924

GI



I



II

AB Title compds. I [Ar1 = aromatic hydrocarbon; E, D = divalent alkyl; R8-10 = H, cyloalkyl, halo, alkylhalo, etc.; Y = O, S, etc.; p = 0-5; q = 0-4] are prepared For instance, N-[2-(4-nitrophenyl)ethyl]acetamide is reduced, acetylated and deacylated to give 4-(2-aminoethyl)-3-nitroaniline. This is converted to the urea with 4-chloro-3-(trifluoromethyl)isocyanate and subsequently reduced to the corresponding diamine. Treatment of this with cyanogen bromide and subsequent acetylation provide example compound II. I are modulators of, e.g., A-Raf, B-Raf, Tie-1, etc. kinases [no data] and are useful for the treatment of cancer.

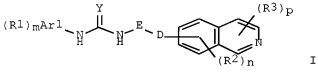
L127 ANSWER 10 OF 20 ZCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:979617 ZCAPLUS Full-text
DOCUMENT NUMBER: 143:286297
TITLE: Preparation of isoquinoline derivatives as kinase inhibitors
INVENTOR(S): Buchstaller, Hans-Peter; Burgdorf, Lars; Finsinger, Dirk; Amendt, Christiane; Grell, Matthias; Sirrenberg, Christian; Zenke, Frank
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 141 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

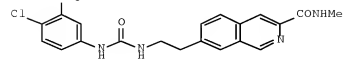
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005082858	A2	20050909	WO 2005-EP983	20050201
WO 2005082858	A3	20051110		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005217033	A1	20050909	AU 2005-217033	20050201
CA 2555720	A1	20050909	CA 2005-2555720	20050201
EP 1718616	A2	20061108	EP 2005-707121	20050201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
JP 2007523923	T	20070823	JP 2007-500085	20050201
US 2007191423	A1	20070816	US 2006-590797	20060825

PRIORITY APPLN. INFO.: EP 2004-4412 A 20040226
WO 2005-EP983 W 20050201

OTHER SOURCE(S): CASREACT 143:286297; MARPAT 143:286297
GI



I



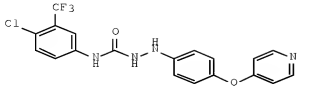
II

AB Title compds. I [Ar1 = (un)substituted aryl; E = (un)substituted aliphatic linker of 1-2 carbons; D = (un)substituted aliphatic linker of 0-1 carbons; Y = O, S, C(CN)2, etc.; R1-3 independently = H, halo, NO2, etc.; m and p independently = 0-5; n = 0-4], and their pharmaceutically acceptable salts, are prepared and disclosed as kinase inhibitors (no data). Thus, e.g., II was prepared by reaction of 4-chloro-3- trifluoromethylphenylisocyanate with N-methyl-7-(2-aminoethyl)isoquinolin- 3-carboxamide (prepn given). Pharmaceutical compns. of I, and a method of treatment, comprising administering said pharmaceutical composition to a patient are further disclosed.

L127 ANSWER 11 OF 20 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:977019 ZCAPLUS Full-text
DOCUMENT NUMBER: 143:286162
TITLE: Preparation of aryl semicarbazide derivatives as kinase inhibitors
INVENTOR(S): Buchstaller, Hans-Peter; Finsinger, Dirk; Stieber, Frank; Wiesner, Matthias; Amendt, Christiane; Sirrenberg, Christian; Zenke, Frank; Grell, Matthias
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 278 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005082853	A1	20050909	WO 2005-EP1443	20050214
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005217041	A1	20050909	AU 2005-217041	20050214
CA 2557359	A1	20050909	CA 2005-2557359	20050214
EP 1727800	A1	20061206	EP 2005-715319	20050214
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2007523928	T	20070823	JP 2007-500096	20050214

PRIORITY APPLN. INFO.: EP 2004-4330 A 20040226
WO 2005-EP1443 W 20050214
OTHER SOURCE(S): MARPAT 143:286162
GI



I

AB Title compds. of formula A-D-B [D = bivalent semicarbazide moiety, or a derivative thereof; A = (un)substituted moiety L-(M-L1)n where L = 5-7 membered cyclic structure, preferably selected from the group consisting of aryl, heteroaryl, arylene, and heteroarylene, bound directly to D, L1 = (un)substituted cyclic moiety preferably selected from aryl, heteroaryl, aralkyl, cycloalkyl, and heterocyclyl, M = bond or bridging group, n = 0-4; B = (un)substituted, up to tricyclic aryl or heteroaryl moiety], and their pharmaceutically acceptable salts are prepared and disclosed as inhibitors of one or more kinases (no data). Thus, e.g., I was prepared by reaction of 4-chloro-3-trifluoromethylphenyl isocyanate with 4-(pyridin-4-yloxy)phenylhydrazine (preparation given). Further disclosures include the use of the compds. of the invention for the manufacture of a pharmaceutical composition and a method of treatment, comprising administering said pharmaceutical composition to a patient.

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L127 ANSWER 12 OF 20 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:823661 ZCAPLUS Full-text
DOCUMENT NUMBER: 143:229726
TITLE: Preparation of 1,3-diarylureas as inhibitors of raf and other kinases useful against cancer and other diseases
INVENTOR(S): Buchstaller, Hans-Peter; Burgdorf, Lars; Stieber, Frank; Amendt, Christiane; Grell, Matthias; Sirrenberg, Christian; Zenke, Frank
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 264 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005075425	A2	20050818	WO 2005-EP387	20050117
WO 2005075425	A3	20061214		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM

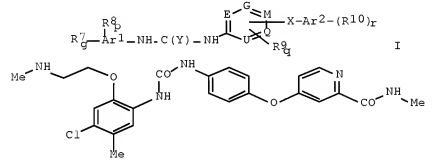
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,

MR, NE, SN, TD, TG

AU 2005211448	A1	20050818	AU 2005-211448		20050117
CA 2554878	A1	20050818	CA 2005-2554878		20050117
EP 1730111	A2	20061213	EP 2005-700967		20050117
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU					
CN 1972925	A	20070530	CN 2005-80002901		20050117
BR 2005007198	A	20070626	BR 2005-7198		20050117
JP 2007519653	T	20070719	JP 2006-549997		20050117
US 2007161677	A1	20070712	US 2006-587292		20060725
MX 2006PA08449	A	20061002	MX 2006-PA8449		20060726
IN 2006KN02441	A	20070525	IN 2006-KN2441		20060828
PRIORITY APPLN. INFO.:			EP 2004-2092	A	20040130
			WO 2005-EP387	W	20050117

OTHER SOURCE(S): MARPAT 143:229726

GI



I

I

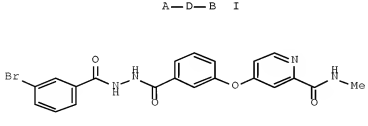
AB The present invention relates to bisarylurea derivs. (shown as I; variables defined below; e.g. 4-[4-[3-[4-chloro-5-methyl-2-(2-methylaminoethoxy)phenyl]ureido]phenoxy]pyridine-2-carboxylic acid methylamide (shown as II)), their use as inhibitors of raf-kinase (no data) and for the manufacture of a pharmaceutical composition and a method of treatment, comprising administering said pharmaceutical composition to a patient. Methods of preparation are claimed and >100 example prepns. are included. For example, 1-[2-[2-[(tert-butoxycarbonyl)(methyl)amino]ethoxy]-5-(trifluoromethyl)phenyl]-3-[4-[[2-(methylcarbamoyl)pyridin-4-yl]oxy]phenyl]urea was prepared (87 %) by reacting tert-Bu [2-[2-amino-4-(trifluoromethyl)phenoxy]ethyl](methyl)carbamate (preparation given) with p-nitrophenyl chloroformate followed by N-methyl-4-(4- aminophenoxy)pyridine-2-carboxamide (preparation given) and DIPEA; deprotection gave 86 % 1-[2-[2-(methylamino)ethoxy]-5-(trifluoromethyl)phenyl]-3-[4-[[2-(methylcarbamoyl)pyridin-4-yl]oxy]phenyl]urea. For I: Ar1, Ar2 = aromatic hydrocarbons containing 6 to 14 C atoms and ethylenic unsatd. or aromatic heterocyclic residues containing 3 to 10 C atoms and one or two heteroatoms, = N, O and S; E, G, M, Q and U = C and N atoms, with the proviso that ≥1 of E, G, M, Q and U are C atoms and that X is bonded to a C atom. R7 = Het, OHet, N(R11)Het, (CR5R6)kHet, et al. or R7 = -SO2-CR8:CR8-, wherein both valencies are bound vicinally to Ar1; R8, R9 and R10 = H, A, cycloalkyl comprising 3 to 7 C atoms, Hal, et al.; Y = O, S, NR21, C(R22)-NO2, C(R22)-CN and C(CN)2; q = 1-3, preferably 1 or 2, p, r = 0-5; q = 0-4, preferably 0, 1 or 2; addnl. details are given in the claims.

L127 ANSWER 13 OF 20 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:567162 ZCAPLUS Full-text
DOCUMENT NUMBER: 143:97170
TITLE: Preparation and formulations of diacylhydrazine derivatives capable of inhibiting raf-kinases
INVENTOR(S): Finsinger, Dirk; Buchstaller, Hans-Peter; Burgdorf, Lars; Amendt, Christiane; Grell, Matthias; Sirrenberg, Christian; Zenke, Frank
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 189 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005058832	A1	20050630	WO 2004-EP12764	20041111
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004299174	A1	20050630	AU 2004-299174	20041111
CA 2548571	A1	20050630	CA 2004-2548571	20041111
EP 1692110	A1	20060823	EP 2004-820392	20041111
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
JP 2007515412	T	20070614	JP 2006-543396	20041111
US 2007093529	A1	20070426	US 2006-582496	20060609

PRIORITY APPLN. INFO.: EP 2003-28268 A 20031210
WO 2004-EP12764 W 20041111

OTHER SOURCE(S): CASREACT 143:97170; MARPAT 143:97170
GI



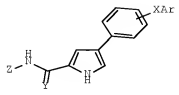
AB The present invention discloses diacylhydrazine derivs. of formula I [D = bivalent diacylhydrazine moiety, or a derivative thereof; A = (un)substituted moiety of formula -L-(ML1)n, where L = aryl, heteroaryl, arylene, and heteroarylene bound directly to D, L1 = (un)substituted aryl, heteroaryl, aralkyl, cycloalkyl, and heterocyclyl, M = bond or linker, n = 1-4; B = (un)substituted up to tricyclic aryl or heteroaryl], methods to prepare them, and their use as inhibitors of raf-kinase (no data). Thus, e.g., II was prepared by substitution of (4-chloropyridine-2-carboxylic acid)methylamide (preparation given) with 3-hydroxybenzoic acid Et ester followed by hydrolysis, esterification with pentafluorophenol and reaction with 3-bromobenzhydrazide. The use of I for the manufacture of a pharmaceutical composition and a method of treatment, comprising administering said pharmaceutical composition to a patient, are further disclosed.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L127 ANSWER 14 OF 20 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:469894 ZCAPLUS Full-text
DOCUMENT NUMBER: 143:7592
TITLE: Preparation of arylpyrrolecarboxamides as Raf kinase inhibitors for treatment of tumors.
INVENTOR(S): Finsinger, Dirk; Buchstaller, Hans-Peter; Burgdorf, Lars; Wiesner, Matthias; Amendt, Christiane; Greil, Matthias; Sirrenberg, Christian; Zenke, Frank
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: Ger. Offen., 32 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10354060	A1	20050602	DE 2003-10354060	20031119
AU 2004291255	A1	20050602	AU 2004-291255	20041026
CA 2546334	A1	20050602	CA 2004-2546334	20041026
WO 2005049603	A1	20050602	WO 2004-EP12076	20041026
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1685125	A1	20060802	EP 2004-790859	20041026
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1882571	A	20061220	CN 2004-80034345	20041026
BR 2004016690	A	20070130	BR 2004-16690	20041026
JP 2007511553	T	20070510	JP 2006-540216	20041026
IN 2006KN00936	A	20070420	IN 2006-KN936	20060417
MX 2006PA05478	A	20060811	MX 2006-PA5478	20060515
US 2007149594	A1	20070628	US 2006-579825	20060517
PRIORITY APPLN. INFO.:			DE 2003-10354060 A	20031119
			WO 2004-EP12076 W	20041026

OTHER SOURCE(S): MARPAT 143:7592
GI



I

AB Title compds. [I; Ar = (substituted) Ph, naphthyl, biphenyl, heterocyclyl; X = O, S, (CH2)n, CO, (CH2)nO, (CH2)nNH, etc.; n = 1-3; Y = O, S, CHNO2, C(CN)2, NR4; R4 = H, cyano, OH, etc.; Z = Ar, ArXAr, CH2Ar, CH2ArXAr; Ar = (substituted) Ph], were prepared as Raf kinase inhibitors (no data). Thus, 4-(PhCH2O)C6H4CH2CO2H, DMF, and POCl3 were heated together at 70° for 4 h followed by cooling and addition of ice water and aqueous NaClO4 to give 98% [2-(4-benzyloxyphenyl)-3-dimethylaminoallylidene]dimethylammonium perchlorate. This was refluxed 24 h with glycine Et ester hydrochloride in EtOH containing 20% NaOEt to give 91% Et 4-(4-benzyloxyphenyl)-1H-pyrrole-2- carboxylate. Hydrogenolysis of the latter in EtOAc over Pd/C gave 91% Et 4-(4-hydroxyphenyl)-1H-pyrrole-2-carboxylate. This was heated with 4-chloropyridine-2-carboxylic acid N-methylamide at 160° for 48 h to give 40% Et 4-[4-(2-methylcarbamoylpyridin-4-yloxy)phenyl]-1H-pyrrole-2- carboxylate. Saponification with 2N NaOH in EtOH at 60° for 16 h followed by acidification with HCl gave 85% free acid, which was stirred 48 h in DMF with 5-amino-2-chlorobenzotrifluoride, N-(3-dimethylaminopropyl)-N'- ethylcarbodiimide hydrochloride, and 1-hydroxybenzotriazole hydrate to give 17% 4-[4-[5-(4-chloro-3-trifluoromethylphenylcarbamoyl)-1H-pyrrol-3- yl]phenoxy]pyridine-2-carboxylic acid N-methylamide.

L127 ANSWER 15 OF 20 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:55204 ZCAPLUS Full-text
DOCUMENT NUMBER: 142:134581
TITLE: Preparation of malonamide derivatives useful as raf-kinase inhibitors
INVENTOR(S): Bruge, David; Buchstaller, Hans-Peter; Wiesner, Matthias; Finsinger, Dirk; Baumgarth, Manfred; Sirrenberg, Christian; Zenke, Frank; Amendt, Christiane; Greil, Matthias
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: PCT Int. Appl., 202 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005005389	A2	20050120	WO 2004-EP6573	20040618
WO 2005005389	A3	20050324		

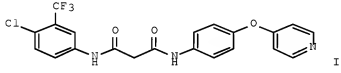
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG

AU 2004255566	A1	20050120	AU 2004-255566		20040618
CA 2531485	A1	20050120	CA 2004-2531485		20040618
EP 1641759	A2	20060405	EP 2004-740026		20040618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK					
JP 2007508238	T	20070405	JP 2006-518009		20040618
US 2007213374	A1	20070913	US 2007-563830		20070125
PRIORITY APPLN. INFO.:			EP 2003-14556	A	20030707
			WO 2004-EP6573	W	20040618

OTHER SOURCE(S): MARPAT 142:134581

GI



I

AB Malonamide derivs. of formula A-D-B [wherein: D is (un)substituted bivalent malonamide moiety; A and B are independently selected from (hetero)aryl derivs.], useful as raf-kinase inhibitors (no biol. data), were prepared For instance, malonamide derivative I was obtained via amidation of 3-[(4-chloro-3-trifluoromethylphenyl)amino]-2-oxo-propionic acid by 4-(4-pyridinyloxy)phenylamine with a yield of 57%.

L127 ANSWER 16 OF 20 ZCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	2005:55062 ZCAPLUS Full-text
DOCUMENT NUMBER:	142:134604
TITLE:	Preparation of benzimidazole amides as raf kinase inhibitors
INVENTOR(S):	Buchstaller, Hans-Peter; Finsinger, Dirk; Wiesner, Matthias; Burgdorf, Lars; Amendt, Christiane; Greil, Matthias; Sirrenberg, Christian; Zenke, Frank
PATENT ASSIGNEE(S):	Merck Patent GmbH, Germany
SOURCE:	PCT Int. Appl., 145 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005004864	A1	20050120	WO 2004-EP6419	20040615
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,				

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

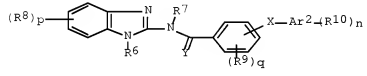
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2004255403	A1	20050120	AU 2004-255403	20040615
CA 2531859	A1	20050120	CA 2004-2531859	20040615
EP 1653951	A1	20060510	EP 2004-739891	20040615
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007513054	T	20070524	JP 2006-519783	20040615
US 2007010560	A1	20070111	US 2006-564185	20060807
US 2007156268	A1	20070705	US 2006-564169	20061128
US 2007168064	A1	20070719	US 2006-564101	20061128

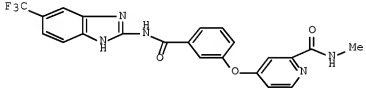
PRIORITY APPLN. INFO.: EP 2003-15582 A 20030711
WO 2004-EP6419 W 20040615
US 2005-740014P P 20051128

OTHER SOURCE(S): CASREACT 142:134604; MARPAT 142:134604

GI



I



II

AB Title compds. I [R6-7 = H, A, SO2A; A = alkyl, alkenyl, cycloalkyl, etc.; Ar2 = aromatic hydrocarbon; R8-10 = H, A, cycloalkyl, etc.; X = divalent alkyl, etc.; p, n = 0-5; q = 0-4] are prepared For instance, II is prepared from the corresponding 2-aminoimidazole and carboxylic acid (DMF, TBTU, HOBt, i-Pr2NEt). I are raf kinase inhibitors and are useful for the treatment of cancer.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L127 ANSWER 17 OF 20 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:55061 ZCAPLUS Full-text
DOCUMENT NUMBER: 142:134603
TITLE: A preparation of benzimidazolecarboxamide derivatives,

useful as raf-kinase inhibitors

INVENTOR(S): Buchstaller, Hans-Peter; Wiesner, Matthias; Zenke, Frank; Amendt, Christiane; Greil, Matthias; Sirrenberg, Christian

PATENT ASSIGNEE(S): Merck Patent GmbH, Germany

SOURCE: PCT Int. Appl., 184 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

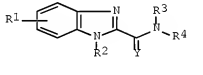
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

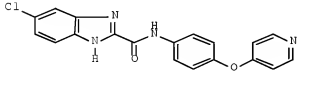
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005004863	A1	20050120	WO 2004-EP6337	20040611
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004255402	A1	20050120	AU 2004-255402	20040611
CA 2531856	A1	20050120	CA 2004-2531856	20040611
EP 1643991	A1	20060412	EP 2004-739826	20040611
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007506676	T	20070322	JP 2006-519782	20040611
US 2007093532	A1	20070426	US 2006-564184	20060807
PRIORITY APPLN. INFO.:			EP 2003-15583 A	20030711
			WO 2004-EP6337 W	20040611

OTHER SOURCE(S): MARPAT 142:134603

GI



I



II

AB The invention relates to a preparation of benzimidazolecarboxamide derivs. of formula I [wherein: R1 is 0 to 5 independent substituents selected from H, cycloalkyl, halogen, CH2-halogen, or (CH2)0-5-CN, etc.; R2 and R3 are independently selected from H, (cyclo)alkyl, alkoxy, or SO2-(cyclo)alkyl, etc.; R4 is 1 to 5 substituted phenyl; Y is O, S, or C(CN)2, etc.], useful as

raf-kinase inhibitors. For instance, benzimidazolecarboxamide derivative of formula II was prepared via amidation of 5-chlorobenzimidazolecarboxylic acid by 4-(4-pyridinyloxy)phenylamine with a yield of 75%. The preferred compound of the invention are raf-kinase inhibitors and showed IC50 values in the range of 100 μM or below.

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L127 ANSWER 18 OF 20 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:817864 ZCAPLUS Full-text
DOCUMENT NUMBER: 141:314164
TITLE: preparation of pyridinyloxyphenylethanediamide derivs. as RAF-kinase inhibitors
INVENTOR(S): Buchstaller, Hans-Peter; Wiesner, Matthias; Zenke, Frank; Amendt, Christiane; Grell, Matthias; Sirrenberg, Christian
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 197 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004085399	A1	20041007	WO 2004-EP2406	20040309
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004224239	A1	20041007	AU 2004-224239	20040309
CA 2520009	A1	20041007	CA 2004-2520009	20040309
EP 1606260	A1	20051221	EP 2004-718645	20040309
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
BR 2004007968	A	20060307	BR 2004-7968	20040309
CN 1764645	A	20060426	CN 2004-80007867	20040309
JP 2006521304	T	20060921	JP 2006-504603	20040309
US 2006189665	A1	20060824	US 2005-549852	20050923
PRIORITY APPLN. INFO.:			EP 2003-6702 A	20030324
			WO 2004-EP2406 W	20040309

OTHER SOURCE(S): CASREACT 141:314164; MARPAT 141:314164

AB ADB [D = (substituted) bivalent oxamide moiety; A = L(ML1)a; L = 5-7 membered cyclic structure, preferably aryl, heteroaryl, arylene, heteroarylene; L1 = (substituted) cyclic moiety having at least 5 members, preferably aryl, heteroaryl, aralkyl, cycloalkyl, heterocyclyl; M = bond, bridging group; a = 1-4; L, L1 contain 0-4 N, O, S atoms; B = (substituted) up to tricyclic aryl, heteroaryl containing 0-4 N, O, S atoms], were prepared for treatment of hyperproliferative and nonhyperproliferative disorders (no data). For example, reaction of N-(4-chloro-3- trifluoromethylphenyl)-2-oxoglycine (preparation given) with 4-(4-pyridinyloxy)phenylamine yielded N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[4-(4-pyridinyloxy)phenyl]ethanediamine.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L127 ANSWER 19 OF 20 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:370904 ZCAPLUS Full-text
DOCUMENT NUMBER: 140:391200
TITLE: Preparation of pyridinyloxybenzylureas as RAF kinase inhibitors.
INVENTOR(S): Buchstaller, Hans-Peter; Wiesner, Matthias; Schadt, Oliver; Amendt, Christiane; Zenke, Frank; Sirrenberg, Christian; Grell, Matthias; Finsinger, Dirk
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 341 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004037789	A2	20040506	WO 2003-EP11134	20031008
WO 2004037789	A3	20041028		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2503445	A1	20040506	CA 2003-2503445	20031008
AU 2003268926	A1	20040513	AU 2003-268926	20031008
EP 1562905	A2	20050817	EP 2003-750697	20031008
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003015580	A	20050830	BR 2003-15580	20031008
CN 1705645	A	20051207	CN 2003-80101925	20031008
JP 2006506454	T	20060223	JP 2005-501513	20031008
MX 2005PA04206	A	20050608	MX 2005-PA4206	20050420
US 2006199844	A1	20060907	US 2005-532574	20050425
ZA 2005004175	A	20060329	ZA 2005-4175	20060117
PRIORITY APPLN. INFO.:			EP 2002-23906 A	20021024
			US 2003-490285P P	20030728
			WO 2003-EP11134 W	20031008

OTHER SOURCE(S): MARPAT 140:391200

AB ADB [D = methyleneurea moiety or derivative thereof; A = (substituted) L(ML')a; L = 5-7 membered cyclic structure, e.g. aryl, heteroaryl, arylene, heteroarylene; L' = (substituted) cyclic moiety having ≥5 members, e.g. aryl, heteroaryl, aralkyl, cycloalkyl, heterocyclyl; M = bond, bridging group having ≥1 atom; a = 1-4; B = (substituted) up to tricyclic aryl, heteroaryl], were prepared for treatment of hyperproliferative and nonproliferative disorders (no data). Thus, 4-(4-pyridinyloxy)benzylamine (preparation given) and 4-chloro-3- trifluoromethylphenyl isocyanate were stirred together for 2 h in CH2Cl2 to give 1-(4-chloro-3-trifluoromethylphenyl)-3-[4-(4-pyridinyloxy)benzyl]urea.

L127 ANSWER 20 OF 20 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:203667 ZCAPLUS Full-text
DOCUMENT NUMBER: 140:253554
TITLE: Preparation of pyridinyloxyphenylaminoacetamides as RAF kinase inhibitors
INVENTOR(S): Buchstaller, Hans-Peter; Wiesner, Matthias; Schadt, Oliver; Amendt, Christiane; Zenke, Frank; Sirrenberg, Christian; Grell, Matthias
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 182 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004019941	A1	20040311	WO 2003-EP8474	20030731
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2496688	A1	20040311	CA 2003-2496688	20030731
AU 2003250197	A1	20040319	AU 2003-250197	20030731
EP 1531817	A1	20050525	EP 2003-790841	20030731
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1678314	A	20051005	CN 2003-820571	20030731
JP 2005539041	T	20051222	JP 2004-531844	20030731
US 2006167261	A1	20060727	US 2005-526043	20050228
PRIORITY APPLN. INFO.:			EP 2002-19023 A	20020827
			WO 2003-EP8474 W	20030731

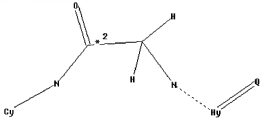
OTHER SOURCE(S): MARPAT 140:253554
AB ADB [D = (substituted) bivalent glycinamide moiety; A = L(ML1)a; L = 5-7 membered cyclic structure, preferably aryl, heteroaryl, arylene, heteroarylene; L1 = (substituted) cyclic moiety having ≥5 members, preferably aryl, heteroaryl, aralkyl, cycloalkyl, heterocyclyl; M = bond, bridging group; a = 1-4; L, L1 contain 0-4 N, O, S atoms; B = (substituted) up to tricyclic aryl, heteroaryl containing 0-4 N, O, S atoms], were prepared for treatment of hyperproliferative and nonhyperproliferative disorders (no data). Thus, 3-(4-pyridinyloxy)aniline (preparation given), N-(5-tert-butyl-3-isoxazolyl)-2-chloroacetamide (preparation given), and diisopropylethylamine were heated in DMF at 100° for 4 h to give 48% N-(5-tert-butyl-3-isoxazolyl)-2-[3-(4-pyridinyloxy)phenylamino]acetami de.
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

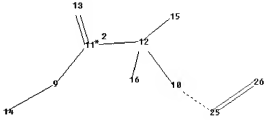
```
=> file registry
FILE 'REGISTRY' ENTERED AT 09:25:34 ON 07 MAR 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:    5 MAR 2008  HIGHEST RN 1006749-26-3
DICTIONARY FILE UPDATES:   5 MAR 2008  HIGHEST RN 1006749-26-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> file zcaplus
FILE 'ZCAPLUS' ENTERED AT 09:25:38 ON 07 MAR 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)


Copyright of the articles to which records in this database refer is
held by the publishers listed in the PUBLISHER (PB) field (available
for records published or updated in Chemical Abstracts after December
26, 1996), unless otherwise indicated in the original publications.
The CA Lexicon is the copyrighted intellectual property of the
American Chemical Society and is provided to assist you in searching
databases on STN.  Any dissemination, distribution, copying, or storing
of this information, without the prior written consent of CAS is
strictly prohibited.

FILE COVERS 1907 - 7 Mar 2008  VOL 148 ISS 11
FILE LAST UPDATED: 6 Mar 2008  (20080306/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.
'OBI' IS DEFAULT SEARCH FIELD FOR 'ZCAPLUS' FILE

=> d stat que L53
L1              SCR 1235
L2              SCR 1839
L3              SCR 1992
L4              SCR 387
L5  (   5459218)SEA FILE=REGISTRY ABB=ON  PLU=ON  NRRS>2
```

L6 STR

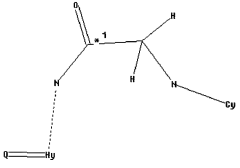
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation:
Uploading L6b.str

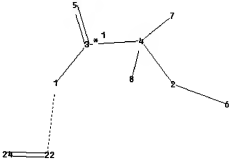




G_2



21



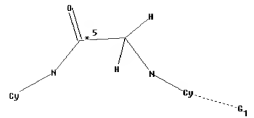
chain nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 21 22 24 25 26
chain bonds :
1-3 1-22 2-4 2-6 3-4 3-5 4-7 4-8 9-11 9-14 10-12 10-25 11-12 11-13
12-15 12-16 22-24 25-26
exact/norm bonds :
1-3 1-22 2-4 2-6 3-5 9-11 9-14 10-12 10-25 11-13 22-24 25-26
exact bonds :
3-4 4-7 4-8 11-12 12-15 12-16

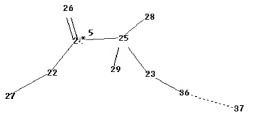
G1

G2:[*1],[*2]

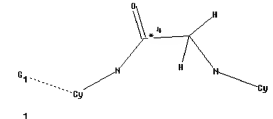
Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:Atom 7:CLASS 8:CLASS 9:CLASS
10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:Atom 15:CLASS 16:CLASS 21:CLASS 22:Atom
24:CLASS 25:Atom
26:CLASS
Generic attributes :
6:
Saturation : Unsaturated
14:
Saturation : Unsaturated
22:
Type of Ring System : Polycyclic

```
25:
Type of Ring System    : Polycyclic

Element Count :
Node 22: Limited
    N,N1

Node 25: Limited
    N,N1




L7           49 SEA FILE=REGISTRY SUB=L5 SSS FUL L6 AND (L1 AND L2 AND L3 AND
                L4)
L46          40 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L7
L47          29 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L46 AND P/DT
L48          11 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L46 NOT L47
L49          10 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L48 AND PY<2003
L50          10 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L47 AND PD<20020827
L51          13 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L47 AND PRD<20020827
L52          13 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L47 AND AD<20020827
L53          23 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  (L49 OR L50 OR L51 OR L52)


=> d stat que L92
L8              SCR 1235
L9              SCR 1839
L10             SCR 1840
L11             SCR 1992
L12             SCR 387
L13             STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation:
Uploading L13b.str
```

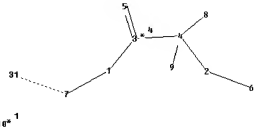




G2

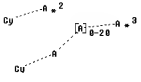


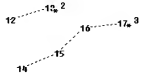
35



Cy* 1

10* 1






```
chain nodes :
1  2  3  4  5  6  7  8  9  10  12  14  22  23  24  25  26  27  28  29  31  35  36
37
ring/chain nodes :
13  15  16  17
chain bonds :
1-3  1-7  2-4  2-6  3-4  3-5  4-8  4-9  7-31  12-13  14-15  22-24  22-27  23-25
23-36  24-25  24-26  25-28  25-29  36-37
ring/chain bonds :
15-16  16-17
exact/norm bonds :
1-3  1-7  2-4  2-6  3-5  7-31  12-13  14-15  15-16  16-17  22-24  22-27  23-25  23-
36
24-26  36-37
exact bonds :
3-4  4-8  4-9  24-25  25-28  25-29


G1:[*1],[*2],[*3]

G2:[*4],[*5]

Match level :
1:CLASS  2:CLASS  3:CLASS  4:CLASS  5:CLASS  6:Atom  7:Atom  8:CLASS  9:CLASS
10:Atom
12:Atom  13:CLASS  14:Atom  15:CLASS  16:CLASS  17:CLASS  22:CLASS  23:CLASS
24:CLASS  25:CLASS
26:CLASS  27:Atom  28:CLASS  29:CLASS  31:CLASS  35:CLASS  36:Atom  37:CLASS
Generic attributes :
6:
Saturation              : Unsaturated
```

27:
Saturation : Unsaturated

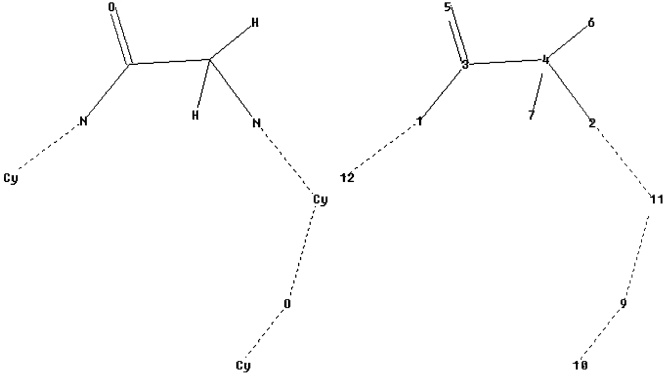
Element Count :
Node 7: Limited
C,C7

Node 36: Limited
C,C7

L14 9647 SEA FILE=REGISTRY SSS FUL L13 AND (L8 AND L9 AND L11 AND L12 AND L10)
L64 STR

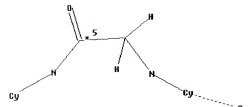
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

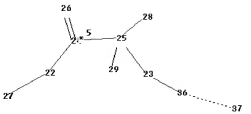
Structure attributes must be viewed using STN Express query preparation:
Uploading L64b.str



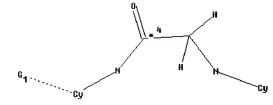
chain nodes :
1 2 3 4 5 6 7 9 10 11 12
chain bonds :
1-3 1-12 2-4 2-11 3-4 3-5 4-6 4-7 9-10 9-11
exact/norm bonds :
1-3 1-12 2-4 2-11 3-5 9-10 9-11
exact bonds :
3-4 4-6 4-7

```
G1

Match level :
1:CLASS  2:CLASS  3:CLASS  4:CLASS  5:CLASS  6:CLASS  7:CLASS  9:CLASS  10:Atom
11:Atom  12:Atom
Generic attributes :
12:
Saturation              : Unsaturated

Element Count :
Node 11: Limited
    C,C7




L66          381 SEA FILE=REGISTRY SUB=L14 SSS FUL L64
L67           22 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L66
L80           16 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L67 AND P/DT
L87            6 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L67 NOT L80
L88            3 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L87 AND PY<2003
L89            5 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L80 AND PRD<20020827
L90            7 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L80 AND PD<20020827
L91            6 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L80 AND AD<20020827
L92           11 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  (L88 OR L89 OR L90 OR L91)


=> d stat que L79
L8              SCR 1235
L9              SCR 1839
L10             SCR 1840
L11             SCR 1992
L12             SCR 387
L13             STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation:
Uploading L13b.str
```

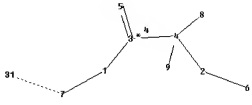




G2

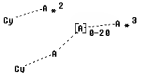


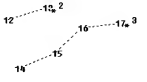
35



Cy* 1

10* 1






```
chain nodes :
1  2  3  4  5  6  7  8  9  10  12  14  22  23  24  25  26  27  28  29  31  35  36
37
ring/chain nodes :
13  15  16  17
chain bonds :
1-3  1-7  2-4  2-6  3-4  3-5  4-8  4-9  7-31  12-13  14-15  22-24  22-27  23-25
23-36  24-25  24-26  25-28  25-29  36-37
ring/chain bonds :
15-16  16-17
exact/norm bonds :
1-3  1-7  2-4  2-6  3-5  7-31  12-13  14-15  15-16  16-17  22-24  22-27  23-25  23-
36
24-26  36-37
exact bonds :
3-4  4-8  4-9  24-25  25-28  25-29


G1:[*1],[*2],[*3]

G2:[*4],[*5]

Match level :
1:CLASS  2:CLASS  3:CLASS  4:CLASS  5:CLASS  6:Atom  7:Atom  8:CLASS  9:CLASS
10:Atom
12:Atom  13:CLASS  14:Atom  15:CLASS  16:CLASS  17:CLASS  22:CLASS  23:CLASS
24:CLASS  25:CLASS
26:CLASS  27:Atom  28:CLASS  29:CLASS  31:CLASS  35:CLASS  36:Atom  37:CLASS
Generic attributes :
6:
Saturation              : Unsaturated
```

27:
Saturation : Unsaturated

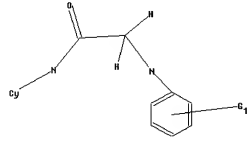
Element Count :
Node 7: Limited
C,C7

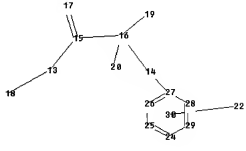
Node 36: Limited
C,C7

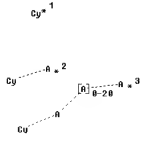
L14 9647 SEA FILE=REGISTRY SSS FUL L13 AND (L8 AND L9 AND L11 AND L12 AND L10)
L69 STR

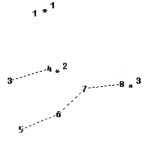
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation:
Uploading L69b.str





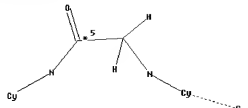


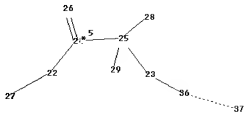


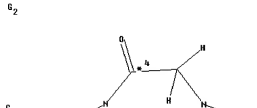
chain nodes :
1 3 5 13 14 15 16 17 18 19 20 22
ring nodes :
24 25 26 27 28 29
ring/chain nodes :
4 6 7 8
chain bonds :
3-4 5-6 13-15 13-18 14-16 14-27 15-16 15-17 16-19 16-20

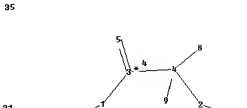
```
ring/chain bonds :
6-7  7-8
ring bonds :
24-25  24-29  25-26  26-27  27-28  28-29
exact/norm bonds :
3-4  5-6  6-7  7-8  13-15  13-18  14-16  14-27  15-17
exact bonds :
15-16  16-19  16-20
normalized bonds :
24-25  24-29  25-26  26-27  27-28  28-29


G1:[*1],[*2],[*3]

Match level :
1:Atom  3:Atom  4:CLASS  5:Atom  6:CLASS  7:CLASS  8:CLASS  13:CLASS  14:CLASS
15:CLASS
16:CLASS  17:CLASS  18:Atom  19:CLASS  20:CLASS  22:CLASS  24:CLASS  25:CLASS
26:Atom  27:Atom
28:Atom  29:Atom  30:CLASS
Generic attributes :
18:
Saturation             : Unsaturated

Element Count :
Node 1: Limited
    N,N1

Node 3: Limited
    N,N1

Node 5: Limited
    N,N1




L71          997 SEA FILE=REGISTRY SUB=L14 SSS FUL L69
L72           46 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L71
L73           28 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L72 AND P/DT
L74           18 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L72 NOT L73
L75           12 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L74 AND PY<2003
L76           13 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L73 AND PD<20020827
L77           14 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L73 AND PRD<20020827
L78           14 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L73 AND AD<20020827
L79           26 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  (L75 OR L76 OR L77 OR L78)


=> d stat que L105
L8              SCR 1235
L9              SCR 1839
L10             SCR 1840
L11             SCR 1992
L12             SCR 387
L13             STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *
```

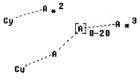
Structure attributes must be viewed using STN Express query preparation:
Uploading L13b.str

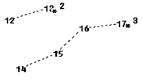












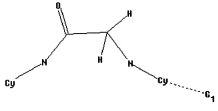
chain nodes :
1 2 3 4 5 6 7 8 9 10 12 14 22 23 24 25 26 27 28 29 31 35 36 37
ring/chain nodes :
13 15 16 17
chain bonds :
1-3 1-7 2-4 2-6 3-4 3-5 4-8 4-9 7-31 12-13 14-15 22-24 22-27 23-25 23-36 24-25 24-26 25-28 25-29 36-37
ring/chain bonds :
15-16 16-17
exact/norm bonds :
1-3 1-7 2-4 2-6 3-5 7-31 12-13 14-15 15-16 16-17 22-24 22-27 23-25 23-36
24-26 36-37
exact bonds :
3-4 4-8 4-9 24-25 25-28 25-29

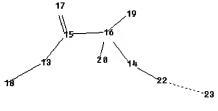
G1:[*1],[*2],[*3]

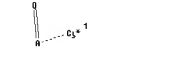
G2:[*4],[*5]

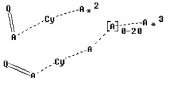
Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:Atom 7:Atom 8:CLASS 9:CLASS 10:Atom
12:Atom 13:CLASS 14:Atom 15:CLASS 16:CLASS 17:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS
26:CLASS 27:Atom 28:CLASS 29:CLASS 31:CLASS 35:CLASS 36:Atom 37:CLASS
Generic attributes :

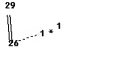
```
6:
Saturation              : Unsaturated
27:
Saturation              : Unsaturated

Element Count :
Node 7: Limited
    C,C7

Node 36: Limited
    C,C7



L14         9647 SEA FILE=REGISTRY SSS FUL L13 AND (L8 AND L9 AND L11 AND L12
                 AND L10)
L95              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation:
Uploading L95b.str
```

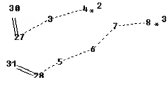













```
chain nodes :
1  3  5  13  14  15  16  17  18  19  20  22  23  26  27  28  29  30  31
ring/chain nodes :
4  6  7  8
chain bonds :
1-26  3-4  3-27  5-6  5-28  13-15  13-18  14-16  14-22  15-16  15-17  16-19  16-20
22-23  26-29  27-30  28-31
ring/chain bonds :
```

```
6-7  7-8
exact/norm bonds :
1-26  3-4  3-27  5-6  5-28  6-7  7-8  13-15  13-18  14-16  14-22  15-17  22-23  26-
29
27-30  28-31
exact bonds :
15-16  16-19  16-20


G1:[*1],[*2],[*3]

Match level :
1:Atom  3:Atom  4:CLASS  5:Atom  6:CLASS  7:CLASS  8:CLASS  13:CLASS  14:CLASS
15:CLASS
16:CLASS  17:CLASS  18:Atom  19:CLASS  20:CLASS  22:Atom  23:CLASS  26:CLASS
27:CLASS  28:CLASS
29:CLASS  30:CLASS  31:CLASS
Generic attributes :
18:
Saturation              : Unsaturated

Element Count :
Node 22: Limited
    C,C7




L97         195 SEA FILE=REGISTRY SUB=L14 SSS FUL L95
L98          39 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L97
L99          26 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L98 AND P/DT
L100         13 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L98 NOT L99
L101         10 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L100 AND PY<2003
L102         19 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L99 AND PD<20020827
L103         16 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L99 AND PRD<20020827
L104         17 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L99 AND AD<20020827
L105         31 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  (L101 OR L102 OR L103 OR
                L104)


=> s L53 or L92 or L79 or L105
L128         75 L53 OR L92 OR L79 OR L105

=> d ibib abs hitstr L128 1-75

L128 ANSWER 1 OF 75  ZCAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:         2006:147730  ZCAPLUS  Full-text
DOCUMENT NUMBER:          144:233378
TITLE:                    Multidentate aza ligands able to complex metal ions
                          and the their use in diagnostics and therapy
INVENTOR(S):              Giovenzana, Giovanni Battista; Palmisano, Giovanni;
                          Sisti, Massimo; Cavallotti, Camilla; Aime, Silvio;
                          Calabi, Luisella; Swenson, Rolf; Kondareddiar,
                          Ramalingam; Lattuada, Luciano; Morosini, Pierfrancesco
PATENT ASSIGNEE(S):       Italy
SOURCE:                   U.S. Pat. Appl. Publ., 73 pp., Cont.-in-part of U.S.
                          Ser. No. 484,111.
                          CODEN: USXXCO
```

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

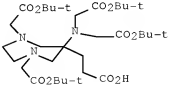
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060034773	A1	20060216	US 2005-165793	20050624 <--
IT 2001MI1518	A1	20030117	IT 2001-MI1518	20010717 <--
WO 2003008390	A1	20030130	WO 2002-EP7658	20020710 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1803711	A1	20070704	EP 2007-3558	20020710 <--
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, SK, TR, AL, LT, LV, MK, RO, SI				
US 2004156786	A1	20040812	US 2004-484111	20040115 <--
US 7186400	B2	20070306		
WO 2006136564	A1	20061228	WO 2006-EP63368	20060620
WO 2006136564	A9	20080207		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				

PRIORITY APPLN. INFO.:

IT 2001-MI1518	A	20010717 <--
WO 2002-EP7658	W	20020710 <--
US 2004-484111	A2	20040115
EP 2002-767192	A3	20020710 <--
US 2005-165793	A	20050624

OTHER SOURCE(S): CASREACT 144:233378; MARPAT 144:233378

GI



I

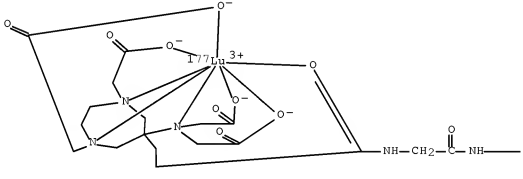
AB The invention relates to multidentate aza ligands such as 1,4-butanediamines or 1,4-diazepanes substituted with iminodiacetate, carboxyalkyl and related groups (including peptides), which were prepared and complexed with radioelements for use as contrast agents in magnetic resonance imaging (MRI). Thus, ligand I was prepared by a multistep procedure starting with reaction of N,N'-dibenzylethylenediamine with paraformaldehyde and 4-nitrobutyric acid tert-Bu ester. I was coupled with a peptide obtained by solid-phase synthesis and then complexed with lutetium-177. The resulting complex demonstrated efficacy similar to 177-Lu-AMBA for delivering radioactivity to PC-3 tumors.

IT 874534-72-2P 874534-73-3P
RL: DGN (Diagnostic use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of multidentate aza ligands and metal complexes as MRI contrast agents)

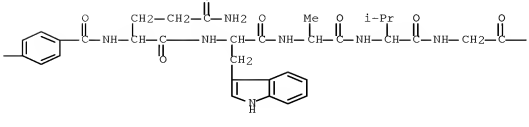
RN 874534-72-2 ZCAPLUS

CN Lutetate(1-)-177Lu, [N-[3-[6-[bis[(carboxy-κO)methyl]amino-κN]-1,4-bis[(carboxy-κO)methyl]hexahydro-1H-1,4-diazepin-6-yl-κN1,κN4]-1-(oxo-κO)propyl]glycyl-4-aminobenzoyl-L-glutaminyl-L-tryptophyl-L-alanyl-L-valylglycyl-L-histidyl-L-leucyl-L-methioninamidato(4-)]-, hydrogen (9CI) (CA INDEX NAME)

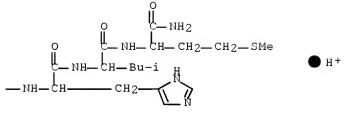
PAGE 1-A



PAGE 1-B



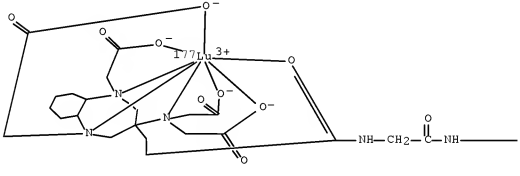
PAGE 1-C



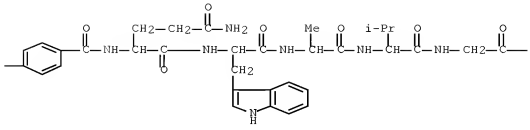
RN 874534-73-3 ZCAPLUS

CN Lutetate(1-)-177Lu, [N-[3-[3-[bis[(carboxy-κO)methyl]amino-κN]-1,5-bis[(carboxy-κO)methyl]decahydro-1H-1,5-benzodiazepin-3-yl-κN1,κN5]-1-(oxo-κO)propyl]glycyl-4-aminobenzoyl-L-glutaminyl-L-tryptophyl-L-alanyl-L-valylglycyl-L-histidyl-L-leucyl-L-methioninamidato(4-)]-, hydrogen (9CI) (CA INDEX NAME)

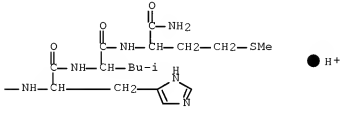
PAGE 1-A



PAGE 1-B



PAGE 1-C



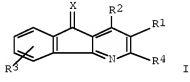
L128 ANSWER 2 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:353146 ZCAPLUS Full-text
DOCUMENT NUMBER: 140:375085
TITLE: Preparation of arylindenopyridines as phosphodiesterase inhibitors and adenosine A2a receptor antagonists
INVENTOR(S): Heintzelman, Geoffrey R.; Averill, Kristin M.; Dodd, John H.; Demarest, Keith T.; Tang, Yuting; Jackson, Paul F.
PATENT ASSIGNEE(S): Ortho-Muniel Pharmaceutical, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 136 pp., Cont.-in-part of U.S. Pat. Appl. 2003 212,089.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004082578	A1	20040429	US 2002-259139	20020927 <--

US 6903109	B2	20050607			
US 2003212089	A1	20031113	US 2002-123389	20020416	<--
US 6958328	B2	20051025			
US 2004127510	A1	20040701	US 2003-678562	20031003	<--
US 2006154949	A1	20060713	US 2005-42281	20050124	<--
US 2005239782	A1	20051027	US 2005-148114	20050608	<--
US 2005239812	A1	20051027	US 2005-169549	20050629	<--
US 2005239810	A1	20051027	US 2005-170044	20050629	<--
US 2005267142	A1	20051201	US 2005-169554	20050629	<--
US 2005267138	A1	20051201	US 2005-170484	20050629	<--
US 2005267139	A1	20051201	US 2005-170569	20050629	<--
US 2006009481	A1	20060112	US 2005-196154	20050803	<--
US 2005277637	A1	20051215	US 2005-197612	20050804	<--
US 2007155760	A1	20070705	US 2006-560637	20061116	<--
PRIORITY APPLN. INFO.:			US 2001-284465P	P 20010418	<--
			US 2002-123389	A2 20020416	<--
			US 2002-259139	A2 20020927	
			US 2003-678562	A3 20031003	
			US 2005-42281	A3 20050124	

OTHER SOURCE(S): MARPAT 140:375085

GI



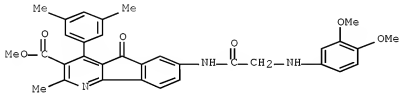
I

AB This invention provides novel arylindenopyridines (shown as I; variables defined below and/or in claims; e.g. 4-(3,5-dimethylphenyl)-2-methyl-5-oxo-5H-indeno[1,2-b]pyridine-3-carboxylic acid Me ester), and pharmaceutical compns. comprising same, useful for treating disorders ameliorated by antagonizing adenosine A2a receptors or by reducing phosphodiesterase (PDE) activity in appropriate cells. I are potent small mol. phosphodiesterase inhibitors that have demonstrated potency for inhibition of PDE7, PDE5, and PDE4; some I are potent small mol. PDE7 inhibitors that have also demonstrated good selectivity against PDE5 and PDE4; data are provided for about 30 I. I are also antagonists of the adenosine A2a receptors that have demonstrated potency for the antagonism of adenosine A2a, A1, and A3 receptors; data are provided for about 45 I. This invention also provides therapeutic and prophylactic methods using the instant pharmaceutical compns. Although the methods of preparation are not claimed, 23 example prepns. of intermediates and I are included; mass spectral data are tabulated for 284 examples of I. In I: R1 = COR5, COOR6, CN, a lactone or lactam formed with R4, CONR7R8; R2 = (un)substituted alkyl, aryl, heteroaryl, heterocyclyl, cycloalkyl; R3 = H, halo, alkyl, arylalkyl, cycloalkyl, alkoxy, CN, carboalkoxy, CF3, alkylsulfonyl, NO2, OH, OCF3, carboxylate, aryl, heteroaryl, heterocyclyl; NR10R11; NR12COR13; R4 = H, alkyl, benzyl, NR13R14; X = S, O; R5, R6 = H, alkyl, aryl, arylalkyl; R7, R8 = H, alkyl, cycloalkyl, etc.; R10, R11 = H, alkyl, arylalkyl, etc.; R12, R14 = H, alkyl; R13 = H, alkyl, alkoxy, etc.

IT 619322-04-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation of arylindenopyridines as phosphodiesterase inhibitors with therapeutic uses)
RN 619323-04-5 ZCAPLUS
CN 5H-Indeno[1,2-b]pyridine-3-carboxylic acid, 7-[[[(3,4-dimethoxyphenyl)amino]acetyl]amino]-4-(3,5-dimethylphenyl)-2-methyl-5-oxo-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 85 THERE ARE 85 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

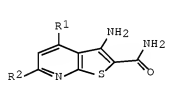
L128 ANSWER 3 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:991342 ZCAPLUS Full-text
DOCUMENT NUMBER: 140:42161
TITLE: Preparation of substituted 3-amino-thieno[2,3-b]pyridine-2-carboxylic acid amide compounds and processes for preparing and their uses as inhibitors of IκB kinase complex
INVENTOR(S): Cywin, Charles L.; Chen, Zhidong; Emeigh, Jonathan; Fleck, Roman Wolfgang; Hao, Ming-hong; Hickey, Eugene; Liu, Weimin; Marshall, Daniel Richard; Morwick, Tina; Nemoto, Peter; Sorcek, Ronald John; Sun, Sanxing; Wu, Jiang-ping
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 165 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003103661	A1	20031218	WO 2003-US17343	20030603 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2483890	A1	20031218	CA 2003-2483890	20030603 <--
AU 2003237330	A1	20031222	AU 2003-237330	20030603 <--
US 2004053957	A1	20040318	US 2003-453175	20030603 <--
US 6964956	B2	20051115		

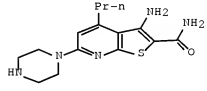
BR 2003011605	A	20050222	BR 2003-11605	20030603	<--
EP 1513516	A1	20050316	EP 2003-736796	20030603	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
CN 1649581	A	20050803	CN 2003-809958	20030603	<--
JP 2005530816	T	20051013	JP 2004-510780	20030603	<--
NZ 537394	A	20061222	NZ 2003-537394	20030603	<--
US 2004180922	A1	20040916	US 2003-730172	20031206	<--
US 6974870	B2	20051213			
IN 2004DN03224	A	20050401	IN 2004-DN3224	20041019	<--
NO 2004004599	A	20050216	NO 2004-4599	20041025	<--
MX 2004PA11246	A	20050603	MX 2004-PA11246	20041112	<--
US 2005288285	A1	20051229	US 2005-206707	20050818	<--
PRIORITY APPLN. INFO.:			US 2002-386312P	P 20020606	<--
			US 2003-457867P	P 20030326	
			US 2003-453175	A1 20030603	
			WO 2003-US17343	W 20030603	

OTHER SOURCE(S): MARPAT 140:42161

GI



I



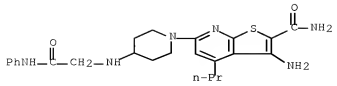
II

AB Title compds. I [R1 = (un)substituted-Ph, -heteroaryl, -heterocyclyl, -alkyl, -alkoxy, etc.; R2 = (un)substituted-alkyl, -alkoxy, -alkylamino, -alkylthio, -Ph, -heterocyclyl, etc.], and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of the kinase activity of the IκB kinase (IKK) complex. Thus, e.g., II was prepared in five steps by cyclization of Me 2-hexynoate with 2-cyanothioacetamide in the presence of morpholine to provide intermediate mercaptopyridone which is S-alkylated with 2-bromoacetamide, converted to the O-triflate derivative, reacted with 1-BOC-piperazine and deprotected. I possessed IC50's of 10 μM or below in assays for inhibition of IKKβ. The compds. are therefore useful in the treatment of IKK mediated diseases including autoimmune diseases, inflammatory diseases and cancer. Also disclosed are pharmaceutical compns. comprising these compds. and processes for preparing these compds.

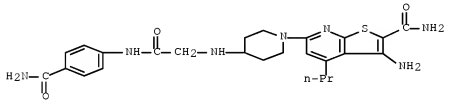
IT 635730-52-8P 635730-54-0P 635731-14-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of substituted 3-amino-thieno[2,3-b]pyridine-2-carboxylic acid amide compds. as inhibitors of IκB kinase complex)

RN 635730-52-8 ZCAPLUS

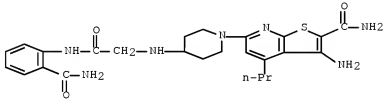
CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-6-[4-[[2-oxo-2-(phenylamino)ethyl]amino]-1-piperidinyl]-4-propyl- (CA INDEX NAME)



RN 635730-54-0 ZCAPLUS
CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-6-[4-[[2-[[4-(aminocarbonyl)phenyl]amino]-2-oxoethyl]amino]-1-piperidinyl]-4-propyl- (CA INDEX NAME)



RN 635731-14-5 ZCAPLUS
CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-6-[4-[[2-[[2-(aminocarbonyl)phenyl]amino]-2-oxoethyl]amino]-1-piperidinyl]-4-propyl- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L128 ANSWER 4 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:855799 ZCAPLUS Full-text
DOCUMENT NUMBER: 139:350637
TITLE: Preparation of 5-oxo and 5-thio derivatives of 5H-indeno[1,2-b]pyridine with adenosine A2a receptor binding and phosphodiesterase inhibiting activity for the treatment of neurodegenerative disorders and inflammation related diseases
INVENTOR(S): Heintzelman, Geoffrey R.; Averill, Kristin M.; Dodd, John H.; Demarest, Keith T.; Tang, Yuting; Jackson, Paul F.
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA

SOURCE: PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003088963	A1	20031030	WO 2002-US30825	20020927 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003212089	A1	20031113	US 2002-123389	20020416 <--
US 6958328	B2	20051025		
CA 2488929	A1	20031030	CA 2002-2488929	20020927 <--
AU 2002341875	A1	20031103	AU 2002-341875	20020927 <--
BR 2002015699	A	20050503	BR 2002-15699	20020927 <--
CN 1809349	A	20060726	CN 2002-810472	20020927 <--
MX 2004PA10307	A	20060222	MX 2004-PA10307	20041018 <--
IN 2005KN00303	A	20070928	IN 2005-KN303	20041116 <--
ZA 2005001390	A	20060830	ZA 2005-1390	20050216 <--
PRIORITY APPLN. INFO.:			US 2002-123389 A	20020416 <--
			US 2001-284465P P	20010418 <--
			WO 2002-US30825 W	20020927

OTHER SOURCE(S): MARPAT 139:350637
GI

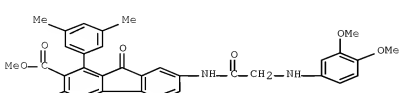
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1 = COR5 (wherein R5 = H, alkyl, aryl, arylalkyl), CO2R6 (R6 = H, alkyl, aryl, arylalkyl), CN, etc.; R2 = alkyl, aryl, heteroaryl, etc.; R3 = H, halo, alkyl, etc.; R4 = H, alkyl, CH2Ph, etc.; X = S, O], useful for treating disorders ameliorated by antagonizing adenosine A2a receptors or reducing PDE activity in appropriate cells, were prepared Thus, oxidation of dihydropyridine II (preparation given) afforded 81% III. The IC50 and %inhibition data on PDE 4,5 and 7A, and Ki on A2a and A1 receptors binding for representative compds. I were given. Pharmaceutical compns. comprising the compound I are claimed. This invention also provides therapeutic and prophylactic methods using the instant pharmaceutical compns.

IT 619323-04-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 5-oxo and 5-thio derivs. of 5H-indeno[1,2-b]pyridine with adenosine A2a receptor binding and phosphodiesterase inhibiting activity for the treatment of neurodegenerative disorders and inflammation related diseases)

RN 619323-04-5 ZCAPLUS
CN 5H-Indeno[1,2-b]pyridine-3-carboxylic acid, 7-[[[(3,4-

dimethoxyphenyl)amino]acetyl]amino]-4-(3,5-dimethylphenyl)-2-methyl-5-oxo-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L128 ANSWER 5 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:434534 ZCAPLUS Full-text
DOCUMENT NUMBER: 139:22111
TITLE: Preparation of piperidine-based MCH antagonists for treatment of obesity and CNS disorders
INVENTOR(S): Burnett, Duane A.; Wu, Wen-Lian
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 2003045918	A1	20030605	WO 2002-US37956	20021125	<--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SC, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2467857	A1	20030605	CA 2002-2467857	20021125	<--
AU 2002350269	A1	20030610	AU 2002-350269	20021125	<--
US 2003199549	A1	20031023	US 2002-303205	20021125	<--
US 6664273	B2	20031216			
EP 1448526	A1	20040825	EP 2002-786803	20021125	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
CN 1592739	A	20050309	CN 2002-823511	20021125	<--
HU 2004002404	A2	20050329	HU 2004-2404	20021125	<--
JP 2005510563	T	20050421	JP 2003-547370	20021125	<--
ZA 2004003784	A	20050519	ZA 2004-3784	20040517	<--
MX 2004PA04956	A	20040811	MX 2004-PA4956	20040525	<--
PRIORITY APPLN. INFO.:			US 2001-333367P	P 20011126	<--
			WO 2002-US37956	W 20021125	

OTHER SOURCE(S): MARPAT 139:22111
GI

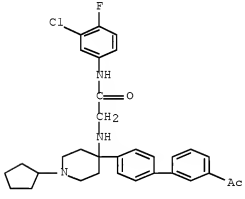
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [Ar1, R10 = (un)substituted (hetero)aryl, etc.; R1 = H, alkyl, aryl, aryloxyalkyl, etc.; R2-3 = H, alkyl; m = 0-2; n = 0, 2] are prepared For instance, 4-(4-bromophenyl)-4-piperidinol is alkylated with cyclopentanone (CH2Cl2, HOAc, NaBH(OAc)3) and the product converted to the corresponding 4-amino derivative (CH3CN, H2SO4; HCl). This intermediate was coupled to 3-cyanophenylboronic acid (PhMe/MeOH, Pd(PPh3)4, Na2CO3) and subsequently alkylated with the appropriate bromoacetamide to give II. Compds. of the invention have Ki = 3 nM to 1500 nM for the melanin-concentrating hormone (MCH) receptor. I are antagonists for MCH and are useful for the treatment of obesity, metabolic disorders, eating disorders such as hyperphagia, and diabetes.

IT 538323-48-7P 538323-50-1P 538323-52-3P
538323-56-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidine-based MCH antagonists for treatment of obesity and CNS disorders)

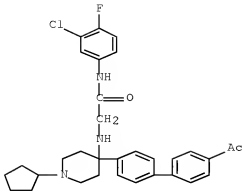
RN 538323-48-7 ZCAPLUS

CN Acetamide, 2-[[4-(3'-acetyl[1,1'-biphenyl]-4-yl)-1-cyclopentyl-4-piperidinyl]amino]-N-(3-chloro-4-fluorophenyl)- (CA INDEX NAME)

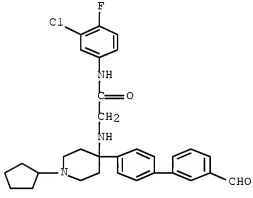


RN 538323-50-1 ZCAPLUS

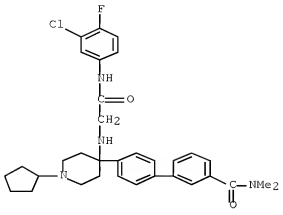
CN Acetamide, 2-[[4-(4'-acetyl[1,1'-biphenyl]-4-yl)-1-cyclopentyl-4-piperidinyl]amino]-N-(3-chloro-4-fluorophenyl)- (CA INDEX NAME)



RN 538323-52-3 ZCAPLUS
CN Acetamide, N-(3-chloro-4-fluorophenyl)-2-[[1-cyclopentyl-4-(3'-formyl[1,1'-biphenyl]-4-yl)-4-piperidinyl]amino]- (CA INDEX NAME)



RN 538323-56-7 ZCAPLUS
CN [1,1'-Biphenyl]-3-carboxamide, 4'-[4-[[2-[(3-chloro-4-fluorophenyl)amino]-2-oxoethyl]amino]-1-cyclopentyl-4-piperidinyl]-N,N-dimethyl- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

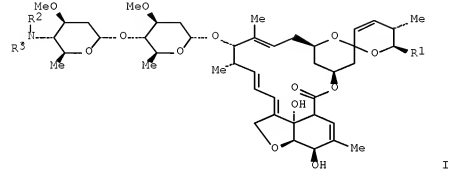
L128 ANSWER 6 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:202656 ZCAPLUS Full-text
DOCUMENT NUMBER: 138:221786
TITLE: Preparation of macrocycle 4"-deoxy-4"-(S)-amino-avermectin oligosaccharides as parasiticides
INVENTOR(S): Tobler, Hans
PATENT ASSIGNEE(S): Syngenta Participations A.-G., Switz.
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003020738	A1	20030313	WO 2002-EP9315	20020820 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2457683	A1	20030313	CA 2002-2457683	20020820 <--
AU 2002331165	A1	20030318	AU 2002-331165	20020820 <--
EP 1421094	A1	20040526	EP 2002-767411	20020820 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002012138	A	20040824	BR 2002-12138	20020820 <--
CN 1549819	A	20041124	CN 2002-816901	20020820 <--
HU 2004001502	A2	20041228	HU 2004-1502	20020820 <--
HU 2004001502	A3	20051028		
JP 2005504068	T	20050210	JP 2003-525008	20020820 <--
EG 23706	A	20070527	EG 2002-967	20020827 <--

ZA 2004001107	A	20041019	ZA 2004-1107		20040211	<--
MX 2004PA01814	A	20040708	MX 2004-PA1814		20040226	<--
US 2004248823	A1	20041209	US 2004-488225		20040226	<--
IN 2004CN00407	A	20051223	IN 2004-CN407		20040227	<--
PRIORITY APPLN. INFO.:			CH 2001-1598	A	20010828	<--
			WO 2002-EP9315	W	20020820	<--

OTHER SOURCE(S): MARPAT 138:221786

GI



I

AB Avermectin compds. I were prepared as parasiticides, in which the 4"-position has the (S)-configuration and wherein R1 is alkyl, cycloalkyl; or alkenyl; R2 is hydrogen, alkyl or alkenyl; R3 is alkyl, 2alkyl, alkoxy-alkyl, cycloalkyl, alkenyl; cycloalkenyl, alkynyl; or R2 and R3 together are a three- to seven-membered alkylene or four- to seven-membered alkenylene bridge in each of which a CH2 group may have been replaced by O, S or NR4; X is O or S; R4 is alkyl, cycloalkyl, alkenyl, alkynyl, benzyl or C(=O)-R5; R5 is for example H, OH, SH, alkyl, alkenyl, alkynyl or halo-alkyl; and, where appropriate, E/Z isomers, mixts. of E/Z isomers and/or tautomers thereof. Thus, benzoate salt of 4''-deoxy-4''-(S)-N,N-dimethyl-amino-avermectin B1 was prepared and tested as parasiticide agent against Spodoptera littoralis, Heliothis virescens, Plutella xylostella caterpillars, Diabrotica balteata, and Tetranychus urticae.

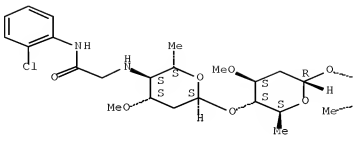
IT 500781-91-9P 500781-92-0P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of macrocycle 4"-deoxy-4"-(S)-amino-avermectin oligosaccharides as parasiticides)

RN 500781-91-9 ZCAPLUS

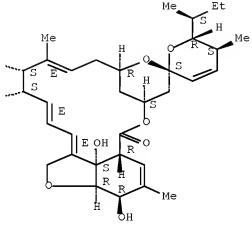
CN Avermectin A1a, 4''-[[2-[(2-chlorophenyl)amino]-2-oxoethyl]amino]-5-O-demethyl-4''-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



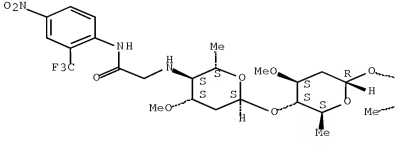
PAGE 1-B

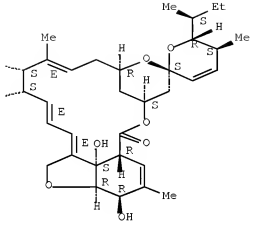


RN 500781-92-0 ZCAPLUS
CN Avermectin Ala, 5-O-demethyl-4''-deoxy-4''-[[2-[[4-nitro-2-(trifluoromethyl)phenyl]amino]-2-oxoethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L128 ANSWER 7 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:869844 ZCAPLUS Full-text
DOCUMENT NUMBER: 138:287638
TITLE: Synthesis and biological investigation of novel tricyclic benzodiazepinedione-based RGD analogues
AUTHOR(S): Addicks, Elisabeth; Mazitschek, Ralph; Giannis, Athanassios
CORPORATE SOURCE: Institut fur Organische Chemie Universitat Leipzig, Leipzig, 04103, Germany
SOURCE: ChemBioChem (2002), 3(11), 1078-1088
CODEN: CBCHFX; ISSN: 1439-4227
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:287638

AB Integrins, a widely expressed family of heterodimeric cell surface adhesion proteins, are expressed in a variety of cell types. They play a decisive role in cell-cell adhesion or cell to extracellular matrix adhesion events. Antagonists of αvβ3 or αIIbβ3 integrin may have a potential use in suppression of pathol. processes. Novel tricyclic benzodiazepinedione-based RGD analogs were prepared and tested in a solid-phase receptor assay in order to investigate their binding affinities towards αvβ3 and αIIbβ3 integrin.

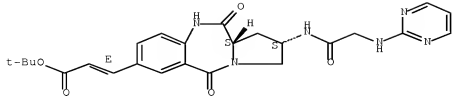
IT 503860-42-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrrolobenzodiazepinepropenoate analogs of RGD as integrin receptor antagonists)

RN 503860-42-2 ZCAPLUS

CN 2-Propenoic acid, 3-[(2S,11aS)-2,3,5,10,11,11a-hexahydro-5,11-dioxo-2-[[(2-pyrimidinylamino)acetyl]amino]-1H-pyrrolo[2,1-c][1,4]benzodiazepin-7-yl]-, 1,1-dimethylethyl ester, (2E)- (9CI) (CA INDEX NAME)

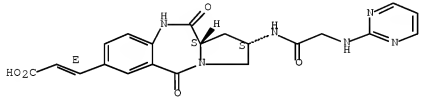
Absolute stereochemistry. Rotation (+).

Double bond geometry as shown.



IT 503860-43-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of pyrrolobenzodiazepinepropenoate analogs of RGD as integrin receptor antagonists)
RN 503860-43-3 ZCAPLUS
CN 2-Propenoic acid, 3-[(2S,11aS)-2,3,5,10,11,11a-hexahydro-5,11-dioxo-2-[[(2-pyrimidinylamino)acetyl]amino]-1H-pyrrolo[2,1-c][1,4]benzodiazepin-7-yl]-, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.

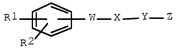


REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L128 ANSWER 8 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:671733 ZCAPLUS Full-text
DOCUMENT NUMBER: 137:201154
TITLE: Preparation of phenyl derivatives as inhibitors of factor Xa and factor VIIa
INVENTOR(S): Cezanne, Bertram; Juraszyk, Horst; Dorsch, Dieter; Tsaklakidis, Chistos; Gleitz, Johannes; Barnes, Christopher
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: Ger. Offen., 20 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10110325	A1	20020905	DE 2001-10110325	20010303 <--
CA 2439644	A1	20020912	CA 2002-2439644	20020204 <--

```
     WO 2002070471        A1    20020912    WO 2002-EP1114         20020204 <--
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
             US, UZ, VN, YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2002250878        A1    20020919    AU 2002-250878         20020204 <--
     EP 1370522           A1    20031217    EP 2002-719754         20020204 <--
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     HU 2003003437        A2    20040128    HU 2003-3437           20020204 <--
     JP 2004525119        T     20040819    JP 2002-569792         20020204 <--
     CN 1524072           A     20040825    CN 2002-805731         20020204 <--
     MX 2003PA07866       A     20031204    MX 2003-PA7866         20030901 <--
     US 2004092517        A1    20040513    US 2003-469687         20030903 <--
     ZA 2003007715        A     20050103    ZA 2003-7715           20031002 <--
PRIORITY APPLN. INFO.:                       DE 2001-10110325    A  20010303 <--
                                             WO 2002-EP1114      W  20020204 <--
OTHER SOURCE(S):         MARPAT 137:201154
GI
```



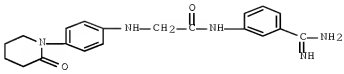
I

AB Title compds. [I; R1 = cyano, COR3, CO2R3, OR3, (amino protecting group-substituted) C(:NH)NH2, CON(R3)2, etc.; R2 = H, halo, A, OR3, N(R3)2, NO2, cyano, CO2R3, CON(R3)2, etc.; R3 = H, A, etc.; A = (branched) (O-, S-interrupted) (fluorinated) alkyl, alkenyl; W = NR3CO, NR3COC(R4)2, NR3C(R4)2, C(R4)2NR3C(R4)2; R4 = H, A; X = C(R3)2, [C(R3)2]2, C(R3)2O, C(R3)2NR3; Y = alkylene, cycloalkylene, (substituted) heterocycldiyl, etc; Z = OR3, N(R3)2, N(R3)2CON(R3)2, etc.], were prepared Thus, a mixture of (rac)-2-(2'-methanesulfonylbiphenyl-4-oxy)-2-phenylacetic acid (preparation given), 3-(methyl-1,2,4-oxadiazol-3-yl)aniline, and TBTU in DMF was stirred with 4-methylmorpholine for 20 h at room temperature to give (rac)-N-[3-(5-methyl-1,2,4-oxadiazol-3-yl)phenyl]-2-(2'- methanesulfonylbiphenyl-4-oxy)-2-phenylacetamide which was hydrogenated in the presence of Raney Ni for 18 h at room temperature to give (rac)-N-(3-amidinophenyl)-2-(2'-methanesulfonylbiphenyl-4-oxy)-2- phenylacetamide. The latter inhibited factor Xa with IC50 = 1.1·10-7 M and factor VIIa with IC50 = 4.6·10-8 M.

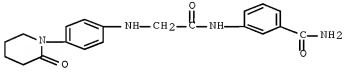
IT 452314-81-7P 452315-08-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidinophenyls as inhibitors of factor Xa and factor VIIa)

RN 452314-81-7 ZCAPLUS

CN Acetamide, N-[3-(aminoiminomethyl)phenyl]-2-[[4-(2-oxo-1-piperidinyl)phenyl]amino]- (CA INDEX NAME)



RN 452315-08-1 ZCAPLUS
CN Benzamide, 3-[[[[4-(2-oxo-1-piperidinyl)phenyl]amino]acetyl]amino]- (9CI)
(CA INDEX NAME)



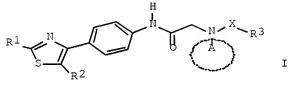
L128 ANSWER 9 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:368463 ZCAPLUS Full-text
DOCUMENT NUMBER: 136:386109
TITLE: Preparation of amide derivatives as antiherpes agents
INVENTOR(S): Kontani, Toru; Miyata, Junji; Hamaguchi, Wataru; Miyazaki, Yoji; Suzuki, Hiroshi; Nakai, Eiichi; Kageyama, Shunji
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; Rational Drug Design Laboratories
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002038554	A1	20020516	WO 2001-JP9790	20011108 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2428184	A1	20020516	CA 2001-2428184	20011108 <--
AU 2002012734	A	20020521	AU 2002-12734	20011108 <--
EP 1340750	A1	20030903	EP 2001-981033	20011108 <--
EP 1340750	B1	20050817		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

AT 302197	T	20050915	AT 2001-981033		20011108 <--
ES 2247177	T3	20060301	ES 2001-981033		20011108 <--
JP 3913172	B2	20070509	JP 2002-541089		20011108 <--
US 2004034232	A1	20040219	US 2003-416371		20030512 <--
US 6949543	B2	20050927			
PRIORITY APPLN. INFO.:			JP 2000-344354	A	20001110 <--
			WO 2001-JP9790	W	20011108 <--

OTHER SOURCE(S): MARPAT 136:386109

GI



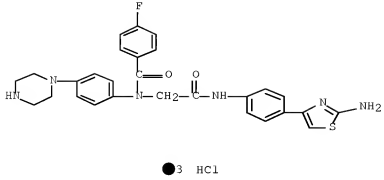
I

AB The title compds. I [R1, R2 = H, alkyl, etc.; ring A = (un)substituted aryl, etc.; X = CO, SO2; R3 = (un)substituted cycloalkyl, etc.] are prepared These amide derivs. are useful as drugs and antiviral agents, in particular, preventives or remedies for various diseases caused by the infection with herpesviruses, more specifically, various herpesvirus infections such as pox (blister) caused by the infection with varicella zoster virus, herpes zoster caused by the recurrent infection with latent varicella zoster virus, herpes labialis and herpes encephalitis caused by the infection with HSV-1 and genital herpes caused by the infection with HSV-2. N-([[4-(2-Aminothiazol-4-yl)phenyl]carbamoyl]methyl)-4-fluoro-N- (2,3-dihydro-1H-indol-6-yl)benzamide dihydrochloride showed EC50 value of 0.046 μM against varicella zoster virus, vs. EC50 value of 4.3 μM shown by acyclovir.

IT 425687-61-2P 425687-62-3P 425687-96-3P
425687-99-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amide derivs. as antiherpes agents)

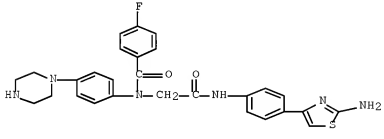
RN 425687-61-2 ZCAPLUS

CN Benzamide, N-[2-[[4-(2-amino-4-thiazolyl)phenyl]amino]-2-oxoethyl]-4-fluoro-N-[4-(1-piperazinyl)phenyl]-, trihydrochloride (9CI) (CA INDEX NAME)

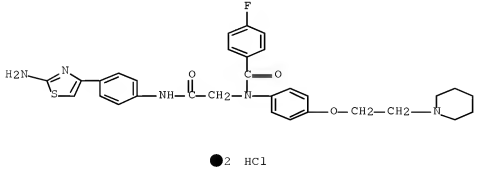


●3 HCl

RN 425687-62-3 ZCAPLUS
CN Benzamide, N-[2-[[4-(2-amino-4-thiazolyl)phenyl]amino]-2-oxoethyl]-4-fluoro-N-[4-(1-piperazinyl)phenyl]- (CA INDEX NAME)



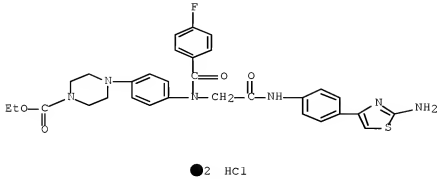
RN 425687-96-3 ZCAPLUS
CN Benzamide, N-[2-[[4-(2-amino-4-thiazolyl)phenyl]amino]-2-oxoethyl]-4-fluoro-N-[4-[2-(1-piperidinyl)ethoxy]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 425687-99-6 ZCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[4-[[2-[[4-(2-amino-4-thiazolyl)phenyl]amino]-2-oxoethyl](4-fluorobenzoyl)amino]phenyl]-, ethyl

ester, dihydrochloride (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L128 ANSWER 10 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:170731 ZCAPLUS Full-text

DOCUMENT NUMBER: 137:226173

TITLE: The Discovery of YM-60828: A Potent, Selective and Orally-Bioavailable Factor Xa Inhibitor

AUTHOR(S): Hirayama, Fukushi; Koshio, Hiroyuki; Katayama, Naoko; Kurihara, Hiroyuki; Taniuchi, Yuta; Sato, Kazuo; Hisamichi, Nami; Sakai-Moritani, Yumiko; Kawasaki, Tomihisa; Matsumoto, Yuzo; Yanagisawa, Isao

CORPORATE SOURCE: Institute for Drug Discovery Research, Yamanouchi Pharmaceutical Co., Ltd., Tsukuba, Ibaraki, 305-8585, Japan

SOURCE: Bioorganic & Medicinal Chemistry (2002), 10(5), 1509-1523
CODEN: BMECEP; ISSN: 0968-0896

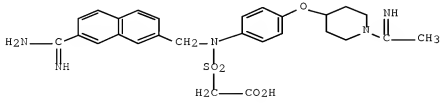
PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 137:226173

GI



I

AB Since Factor Xa (FXa) is well known to play a central role in thrombosis and hemostasis, inhibition of FXa is an attractive target for antithrombotic strategies. As a part of our investigation of a non-peptide, orally available

FXa inhibitor, we found that a series of N-[(7-amidino-2-naphthyl)methyl]aniline derivs. possessed potent and selective inhibitory activities. Structure-activity relation (SAR) of the substituent (R1) on the central aniline moiety suggested that increasing lipophilicity caused a detrimental effect on anticoagulant activity (prothrombin time assay) in plasma. Several compds. bearing a hydrophilic substituent in R1 showed not only potent FXa inhibitory activities but also high anticoagulant activities. The best compound in this series was sulfamoylacetic acid derivative YM-60828 (I) which was a potent, selective and orally bioavailable FXa inhibitor and was chosen for clin. development.

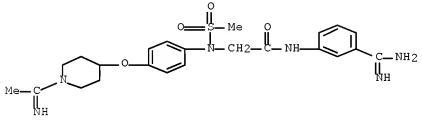
IT 454437-42-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and structure activity of N-[(7-amidino-2-naphthyl)methyl]aniline derivs. as potent, selective and orally-bioavailable factor Xa inhibitor)

RN 454437-42-4 ZCAPLUS

CN Acetamide, N-[3-(aminoiminomethyl)phenyl]-2-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl](methylsulfonyl)amino]-, hydrochloride (10:19) (CA INDEX NAME)



●19/10 HCl

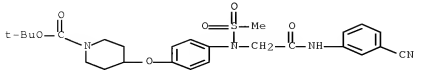
IT 454437-39-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and structure activity of N-[(7-amidino-2-naphthyl)methyl]aniline derivs. as potent, selective and orally-bioavailable factor Xa inhibitor)

RN 454437-39-9 ZCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[4-[[2-[(3-cyanophenyl)amino]-2-oxoethyl](methylsulfonyl)amino]phenoxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L128 ANSWER 11 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:142702 ZCAPLUS Full-text
DOCUMENT NUMBER: 136:209641
TITLE: Perfluoroalkyl-containing tetraazacyclododecane metal complexes comprising sugar residues, method for their preparation and use as imaging agents
INVENTOR(S): Platzek, Johannes; Mareski, Peter; Niedballa, Ulrich; Raduechel, Bernd; Weinmann, Hanns-Joachim; Misselwitz, Bernd
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 152 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002014309	A1	20020221	WO 2001-EP8499	20010723 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10040381	C1	20020606	DE 2000-10040381	20000811 <--
AU 2001089729	A	20020225	AU 2001-89729	20010723 <--
CA 2418790	A1	20030207	CA 2001-2418790	20010723 <--
EP 1307446	A1	20030507	EP 2001-969481	20010723 <--
EP 1307446	B1	20050420		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001013192	A	20030715	BR 2001-13192	20010723 <--
HU 2003000744	A2	20030929	HU 2003-744	20010723 <--
JP 2004506631	T	20040304	JP 2002-519449	20010723 <--
EE 200300059	A	20041215	EE 2003-59	20010723 <--
AT 293623	T	20050515	AT 2001-969481	20010723 <--
ES 2240517	T3	20051016	ES 2001-969481	20010723 <--
NZ 524079	A	20051028	NZ 2001-524079	20010723 <--
RU 2280644	C2	20060727	RU 2003-105815	20010723 <--
US 2002076379	A1	20020620	US 2001-925622	20010810 <--
US 6641797	B2	20031104		
TW 243170	B	20051111	TW 2001-90119623	20010810 <--
BG 107540	A	20031128	BG 2003-107540	20030207 <--
NO 2003000648	A	20030411	NO 2003-648	20030210 <--
IN 2003MN00212	A	20050211	IN 2003-MN212	20030210 <--
MX 2003PA01285	A	20040517	MX 2003-PA1285	20030211 <--
ZA 2003001948	A	20040625	ZA 2003-1948	20030310 <--
HK 1062016	A1	20060811	HK 2004-105095	20040713 <--
PRIORITY APPLN. INFO.:			DE 2000-10040381 A	20000811 <--
			US 2000-234952P P	20000926 <--
			WO 2001-EP8499 W	20010723 <--

OTHER SOURCE(S): MARPAT 136:209641

AB The invention relates to transition metal and rare earth complexes with tetraazacyclododecanetriactate or polyaminopolycarboxylic acids containing perfluoroalkyl groups, sugar residues and amino acid which can be used i.v. lymphog., in tumor diagnosis and for infarct and necrosis imaging. For example, the Gd complex of 6-N-[1,4,7-tris(carboxylatomethyl)]- 1,4,7,10-tetraazacyclododecane-10-N-[(pentanoyl-3-aza-4-oxo-5-methyl-5- yl)]-2-N-[1-O-α-D-carbonylmethylmannopyranose]-L-lysine-[1-(4-perfluorooctylsulfonyl)piperazine]amide was prepared in a multistep process starting from N-benzyloxycarbonyl-L-lysine and Et trifluoroacetate, with subsequent reaction with 1-perfluorooctylsulfonylpiperazine, followed by deprotection and reaction with 1-O-α-D-carboxymethyl-2,3,4,6-tetra-O-benzylmannopyranose, deprotection and reaction with gadolinium complex with 1,4,7-tris(carboxymethyl)-10-(carboxy-3-aza-4-oxo-5-methylpent-5-yl)-1,4,7,10-tetraazacyclododecane.

IT 400708-24-9P

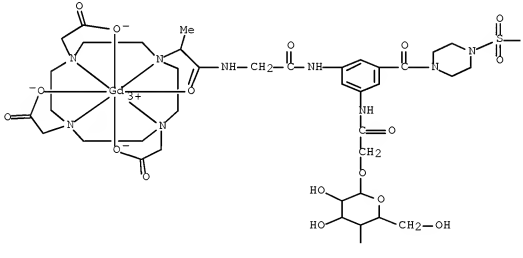
RL: DGN (Diagnostic use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of gadolinium/manganese complexes with polyaminopolycarboxylate containing perfluoroalkyl and sugar and amino acid residues as imaging agents for use in lymphog. tumor diagnosis and infarct and necrosis imaging)

RN 400708-24-9 ZCAPLUS

CN Gadolinium, [10-[2-[[2-[[3-[[4-[(heptadecafluorooctyl)sulfonyl]-1-piperazinyl]carbonyl]-5-[[(α-D-mannopyranosyloxy)acetyl]amino]phenyl]amino]-2-oxoethyl]amino]-1-methyl-2-(oxo-κO)ethyl]-1,4,7,10-tetraazacyclododecane-1,4,7-triacetato(3-)-κN1,κN4,κN7,.kappa.N10,κO1,κO4,κO7]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

—(CF2)7—CF3

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L128 ANSWER 12 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:142564 ZCAPLUS Full-text
DOCUMENT NUMBER: 136:193269
TITLE: Metal tetraazacyclododecane complexes containing perfluoroalkyl with polar radicals, method for their preparation and use as imaging agents
INVENTOR(S): Platzek, Johannes; Mareski, Peter; Niedballa, Ulrich; Raduechel, Bernd; Weinmann, Hanns-Joachim; Misselwitz, Bernd
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002013875	A2	20020221	WO 2001-EP8500	20010723 <--
WO 2002013875	A3	20020822		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10040858	A1	20020328	DE 2000-10040858	20000811 <--
DE 10040858	C2	20031218		
CA 2419259	A1	20020221	CA 2001-2419259	20010723 <--
AU 2001079777	A	20020225	AU 2001-79777	20010723 <--
EP 1307237	A2	20030507	EP 2001-958005	20010723 <--
EP 1307237	B1	20070411		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001013187	A	20030701	BR 2001-13187	20010723 <--
HU 2003000769	A2	20030929	HU 2003-769	20010723 <--
JP 2004506026	T	20040226	JP 2002-519013	20010723 <--
EE 200300060	A	20041215	EE 2003-60	20010723 <--
NZ 524080	A	20051028	NZ 2001-524080	20010723 <--
RU 2289579	C2	20061220	RU 2003-105813	20010723 <--
AT 359093	T	20070515	AT 2001-958005	20010723 <--
ES 2284671	T3	20071116	ES 2001-958005	20010723 <--

US 2002076380	A1	20020620	US 2001-925420		20010810	<--
US 6676928	B2	20040113				
BG 107541	A	20040130	BG 2003-107541		20030207	<--
NO 2003000649	A	20030411	NO 2003-649		20030210	<--
IN 2003MN00214	A	20050211	IN 2003-MN214		20030210	<--
MX 2003PA01286	A	20040420	MX 2003-PA1286		20030211	<--
ZA 2003001947	A	20040625	ZA 2003-1947		20030310	<--
PRIORITY APPLN. INFO.:			DE 2000-10040858	A	20000811	<--
			US 2000-234953P	P	20000926	<--
			WO 2001-EP8500	W	20010723	<--

OTHER SOURCE(S): MARPAT 136:193269

AB The invention relates to transition metal and rare earth metal complexes with tetraazacyclododecane containing perfluoroalkyl with polar radicals and amino acid residues and their use for i.v. lymphog., tumor diagnosis and infarct and necrosis imaging. For example, the Gd complex of 6-N-[1,4,7-tris(carboxylatomethyl)-1,4,7,10-tetraazacyclodocecane-10- (pentanoyl-3-aza-4-oxo-5-methyl-5-yl)]-2-N-(3,6,9,12,15- pentaoxahexadecanoyl)lysin[1-(4-pentafluorooctylsulfonyl)piperazine]amide was prepared in a multi-step process from the reaction of 6-N-benzoyloxycarbonyllysine and Et trifluoroacetate with subsequent reaction with 1-perfluorooctaylsulfonylpiperazine, deprotection, reaction with pentaoxahexadecanoic chloride , reduction and finally reaction with the Gd complex of 1,4,7-tris(carboxylatomethyl)-10-[(3-aza-4-oxo-5-methyl-5- yl)pentanoic acid]-1,4,7,10-tetraazacyclododecane.

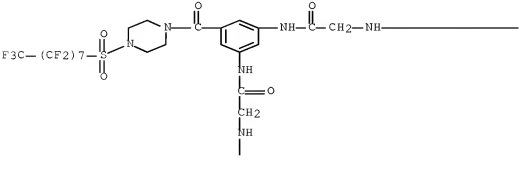
IT 400614-49-5

RL: DGN (Diagnostic use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of gadolinium and manganese complexes with perfluoroalkyl- and amino acid- derivs. of tetraazacyclododecanetriacetate as contrast agents for infarct and necrosis imaging and lymphog. and tumor diagnosis)

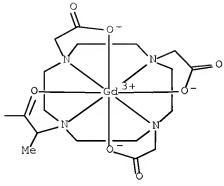
RN 400614-49-5 ZCAPLUS

CN Gadolinium, [μ-[[10,10'-[[5-[[4-[(heptadecafluorooctyl)sulfonyl]-1-piperazinyl]carbonyl]-1,3-phenylene]bis[imino(2-oxo-2,1-ethanediyl)imino[1-methyl-2-(oxo-κO)-2,1-ethanediyl]]]bis[1,4,7,10-tetraazacyclododecane-1,4,7-triacetato-κN1,κN4,κN7,.kapp a.N10,κO1,κO4,κO7]](6-)]di- (9CI) (CA INDEX NAME)

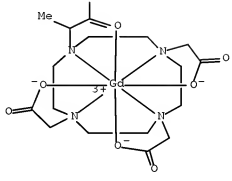
PAGE 1-A



PAGE 1-B



PAGE 2-A



L128 ANSWER 13 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:142563 ZCAPLUS Full-text
DOCUMENT NUMBER: 136:209640
TITLE: Use of metal complexes containing perfluoroalkyl as contrast agents in MR-imaging for the representation of plaques, tumors and necroses
INVENTOR(S): Platzek, Johannes; Mareski, Peter; Niedballa, Ulrich; Raduechel, Bernd; Weinmann, Hanns-Joachim; Misselwitz, Bernd
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 387 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2002013874 A2 20020221 WO 2001-EP8498 20010723 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
DE 10040380 A1 20020228 DE 2000-10040380 20000811 <--
DE 10040380 B4 20060309
DE 10066210 B4 20080228 DE 2000-10066210 20000811 <--
AU 2001077549 A 20020225 AU 2001-77549 20010723 <--
CA 2419223 A1 20030211 CA 2001-2419223 20010723 <--
EP 1307236 A2 20030507 EP 2001-955366 20010723 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
BR 2001013188 A 20030624 BR 2001-13188 20010723 <--
HU 2003000736 A2 20030929 HU 2003-736 20010723 <--
JP 2004506025 T 20040226 JP 2002-519012 20010723 <--
EE 200300061 A 20041215 EE 2003-61 20010723 <--
RU 2290206 C2 20061227 RU 2003-105810 20010723 <--
AU 2001277549 B2 20070208 AU 2001-277549 20010723 <--
NZ 523932 A 20070727 NZ 2001-523932 20010723 <--
US 2003072713 A1 20030417 US 2001-925618 20010810 <--
US 6818203 B2 20041116
NO 2003000604 A 20030411 NO 2003-604 20030207 <--
BG 107542 A 20030930 BG 2003-107542 20030207 <--
MX 2003PA01287 A 20031006 MX 2003-PA1287 20030211 <--
ZA 2003001949 A 20041214 ZA 2003-1949 20030310 <--
US 2005074409 A1 20050407 US 2004-857877 20040602 <--
PRIORITY APPLN. INFO.: DE 2000-10040380 A 20000811 <--
US 2000-235958P P 20000926 <--
WO 2001-EP8498 W 20010723 <--
US 2001-925618 A3 20010810 <--

OTHER SOURCE(S): MARPAT 136:209640

AB The invention relates to the use of metal complexes containing perfluoroalkyl, comprising a critical micelle formation concentration < 10-3 mol/L, a hydrodynamic micelle diameter of (2 Rh) > 1 nm and a proton relaxivity in plasma (R1) > 10 L/mmol, as contrast agents in MR imaging for the representation of plaque, lymph node, infarcted and necrotic tissue and for independent representation of necrotic tissue and tumoral tissue. For example, the Gd complex of 1,4,7-tris(carboxylatomethyl)-10-[(3-aza-4-oxo-5-methylpentanoyl-5-yl-N-(2-methoxyethyl)-N-(1H,1H,2H,2H,4H,4H,5H,5H-3-oxa)perfluorotridecyl)amide]-1,4,7,10-tetraazacyclododecane was prepared in a multistep process from 1H,1H,2H,2H,4H,4H,5H,5H-3-oxaperfluorotridecanoic acid and 2-methoxyethylamine, followed by reduction to the resp. amine and reaction with the Gd complex of 10-[1-(carboxymethylcarbamoyl)ethyl]- 1,4,7,10-tetraazacyclododecane-1,4,7-triacetic acid.

IT 400614-49-5P 460709-24-9P

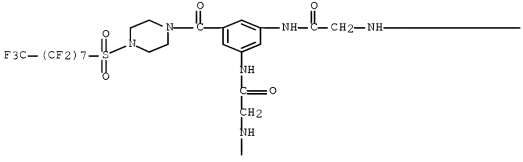
RL: DGN (Diagnostic use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of gadolinium and manganese perfluoroalkyl-containing polyaminopolycarboxylate complexes as MRI contrast agents)

RN 400614-49-5 ZCAPLUS

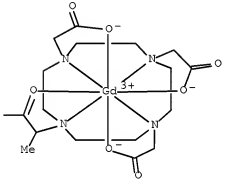
CN Gadolinium, [μ-[[10,10'-[[5-[[4-[(heptadecafluorooctyl)sulfonyl]-1-piperazinyl]carbonyl]-1,3-phenylene]bis[imino(2-oxo-2,1-ethanediyl)imino[1-methyl-2-(oxo-κO)-2,1-ethanediyl]]]bis[1,4,7,10-

tetraazacyclododecane-1,4,7-triacetato-κN1,κN4,κN7,.kappa.N10,κO1,κO4,κO7]](6-)]]di- (9CI) (CA INDEX NAME)

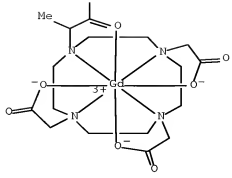
PAGE 1-A



PAGE 1-B

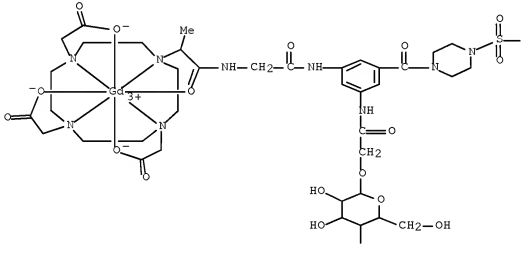


PAGE 2-A

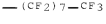


RN 400708-24-9 ZCAPLUS
CN Gadolinium, [10-[2-[[2-[[3-[[4-[(heptadecafluorooctyl)sulfonyl]-1-piperazinyl]carbonyl]-5-[[(α-D-mannopyranosyloxy)acetyl]amino]phenyl]amino]-2-oxoethyl]amino]-1-methyl-2-(oxo-κO)ethyl]-1,4,7,10-tetraazacyclododecane-1,4,7-triacetato(3-)-κN1,κN4,κN7,.kappa.N10,κO1,κO4,κO7]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

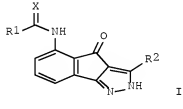


L128 ANSWER 14 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:731369 ZCAPLUS Full-text
DOCUMENT NUMBER: 135:288778
TITLE: Preparation of indeno[1,2-c]pyrazol-4-ones as inhibitors of cyclin dependent kinases
INVENTOR(S): Nugiel, David A.; Carini, David J.; Dimeo, Susan V.; Yue, Eddy W.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Pharma Company, USA
SOURCE: U.S. Pat. Appl. Publ., 104 pp., Cont.-in-part of U.S. Ser. No. 639,618.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 2001027195	A1	20011004	US 2000-731304	20001206	<--
US 6407103	B2	20020618			
US 6413957	B1	20020702	US 2000-639618	20000815	<--
CA 2420164	A1	20020502	CA 2000-2420164	20001020	<--
AU 2001012168	A	20020506	AU 2001-12168	20001020	<--
EP 1414804	A1	20040506	EP 2000-973682	20001020	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY					
JP 2004524277	T	20040812	JP 2002-537713	20001020	<--

PRIORITY APPLN. INFO.:
US 1998-82476P P 19980421 <--
US 1999-295078 B1 19990420 <--
US 2000-639618 A2 20000815 <--
WO 2000-US28952 W 20001020 <--

OTHER SOURCE(S): MARPAT 135:288778
GI



I

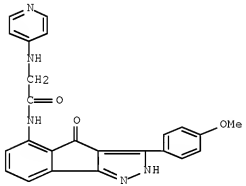
AB The present invention relates to the synthesis of a new class of indeno[1,2-c]pyrazol-4-ones of formula [X = O, S, (un)substituted NH; R1 = H, (un)substituted C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, NH2, C3-10 membered carbocycly1, 3-10 membered heterocycle containing 1-4 heteroatoms selected from O, N, and S; R2 = H, (un)substituted C1-10 alkyl, C2-10 alkenyl, C2-10

alkynyl, (CF2)mCF3, C3-10 membered carbocyclyl, 3-10 membered heterocycle containing 1-4 heteroatoms selected from O, N, and S; wherein m = 0, 1-4]. These compds. are potent inhibitors of the class of enzymes known as cyclin dependent kinases, which relate to the catalytic subunits cdk1-9 and their regulatory subunits know as cyclins A-H. This invention also provides a novel method of treating cancer or other proliferative diseases by administering a therapeutically effective amount of one of these compds. or a pharmaceutically acceptable salt form thereof. Alternatively, cancer or other proliferative diseases can be treated by administering a therapeutically effective combination of one of the compds. of the present invention and one or more other known anti-cancer or anti-proliferative agents (no data). Thus, hydrogenation of di-Me 3-nitrophthalate over 5% Pd-C in methanol in a Parr shaker at 50 psi for 2 h followed by acetylation with Ac2O in pyridine at 25° for 2 h gave 79% di-Me 3-acetamidophthalate which was treated with NaH in DMF and cyclocondensed with 4-methoxyacetophenone at 90° for 20 min to give 30% 2-(4-methoxybenzoyl)-4-acetamidoindane-2,3-dione. Cyclocondensation of the latter triketone with hydrazine hydrate in the presence of p-TsOH in ethanol under reflux for 2 h gave I (R1 = Me, X = O, R2 = 4-methoxyphenyl).

IT 364722-80-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indeno[c]pyrazolones as inhibitors of cyclin dependent kinases)

RN 364733-80-2 ZCAPLUS

CN Acetamide, N-[2,4-dihydro-3-(4-methoxyphenyl)-4-oxoindeno[1,2-c]pyrazol-5-yl]-2-(4-pyridinylamino)- (CA INDEX NAME)



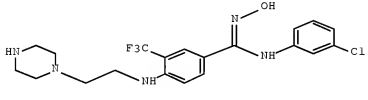
L128 ANSWER 15 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	2001:526050 ZCAPLUS Full-text
DOCUMENT NUMBER:	135:107149
TITLE:	Synthesis, antibacterial activity and RNA polymerase inhibition of phenylamidine derivs.
INVENTOR(S):	Li, Leping; Chen, Xiaoqui; Fan, Pingchen; Mihalic, Jeffrey Thomas; Cutler, Serena
PATENT ASSIGNEE(S):	Tularik Inc., USA
SOURCE:	PCT Int. Appl., 104 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001051456	A2	20010719	WO 2001-US1219	20010112 <--
WO 2001051456	A3	20011220		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2397575	A1	20010719	CA 2001-2397575	20010112 <--
US 2002045749	A1	20020418	US 2001-759633	20010112 <--
US 6780858	B2	20040824		
EP 1246795	A2	20021009	EP 2001-914329	20010112 <--
EP 1246795	B1	20071031		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003519676	T	20030624	JP 2001-551838	20010112 <--
AT 376996	T	20071115	AT 2001-914329	20010112 <--
US 2004235911	A1	20041125	US 2004-877408	20040625 <--
US 7053234	B2	20060530		
US 2006270651	A1	20061130	US 2006-344111	20060201 <--
US 7148259	B1	20061212		
PRIORITY APPLN. INFO.:			US 2000-175892P	P 20000113 <--
			US 2001-759633	A1 20010112 <--
			WO 2001-US1219	W 20010112 <--
			US 2004-877408	A3 20040625
OTHER SOURCE(S):	MARPAT 135:107149			

GI



I

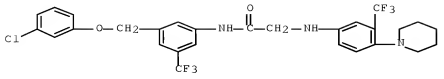
AB Synthesis of hydroxyamidines, e.g. (I) and related compds. are disclosed which are suitable as antibacterial agents by their inhibition of RNA polymerase. Antibacterial activity against S. aureus and E. coli are given.

IT 350488-21-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis, antibacterial activity and RNA polymerase inhibition of phenyl- and heterocyclylhydroxyamidine derivs.)

RN 350488-21-0 ZCAPLUS

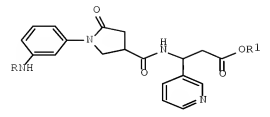
CN Acetamide, N-[3-[(3-chlorophenoxy)methyl]-5-(trifluoromethyl)phenyl]-2-[[4-(1-piperidinyl)-3-(trifluoromethyl)phenyl]amino]- (CA INDEX NAME)



L128 ANSWER 16 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:453053 ZCAPLUS Full-text
DOCUMENT NUMBER: 135:61230
TITLE: 1-(Aminophenyl)-2-pyrrolidones as integrin inhibitors
INVENTOR(S): Dominguez, Celia; Chen, Guoqing; Xi, Ning; Xu, Shimin; Han, Nianhe; Liu, Qingyian; Huang, Qi; Siegmund, Aaron; Handley, Michael; Liu, Longbin; Kiselyov, Alexander S.
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: PCT Int. Appl., 197 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044230	A1	20010621	WO 2000-US33515	20001211 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002019402	A1	20020214	US 2000-732546	20001208 <--
US 6849639	B2	20050201		
CA 2393310	A1	20010611	CA 2000-2393310	20001211 <--
AU 2001020835	A5	20010625	AU 2001-20835	20001211 <--
AU 778374	B2	20041202		
EP 1240158	A1	20020918	EP 2000-984165	20001211 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003535036	T	20031125	JP 2001-544720	20001211 <--
PRIORITY APPLN. INFO.:			US 1999-170824P	P 19991214 <--
			US 2000-732546	A 20001208 <--
			WO 2000-US33515	W 20001211 <--

OTHER SOURCE(S): MARPAT 135:61230
GI



I

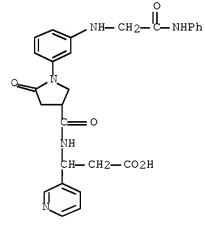
AB Title compds. are effective in the prophylaxis and treatment of diseases or conditions mediated by integrin receptors, such as αvβ3, αvβ5, αvβ6, α5β1. Thus, the pyrrolidinone I [R = PhNHCO, R1 = H] was prepared by treating I [R = H, R1 = Et] with PhNCO and ester hydrolysis.

IT 345296-21-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-(aminophenyl)-2-pyrrolidones as integrin inhibitors)

RN 345296-21-1 ZCAPLUS

CN 3-Pyridinepropanoic acid, β-[[[5-oxo-1-[3-[[2-oxo-2-(phenylamino)ethyl]amino]phenyl]-3-pyrrolidinyl]carbonyl]amino]- (CA INDEX NAME)

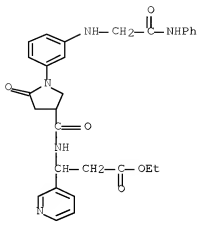


IT 345297-58-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 1-(aminophenyl)-2-pyrrolidones as integrin inhibitors)

RN 345297-58-7 ZCAPLUS

CN 3-Pyridinepropanoic acid, β-[[[5-oxo-1-[3-[[2-oxo-2-(phenylamino)ethyl]amino]phenyl]-3-pyrrolidinyl]carbonyl]amino]-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

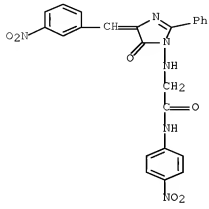
L128 ANSWER 17 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:223061 ZCAPLUS Full-text
DOCUMENT NUMBER: 135:33442
TITLE: Syntheses and QSAR studies of 5-imidazolinone derivatives as potential antibacterial agents
AUTHOR(S): Shah, M. D.; Desai, N. C.; Awasthi, Keshav K.; Saxena, Anil K.
CORPORATE SOURCE: Department of Chemistry, Bhavnagar University, Bhavnagar, 364 002, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (2001), 40B(3), 201-208
CODEN: IJSBDB; ISSN: 0376-4699
PUBLISHER: National Institute of Science Communication, CSIR
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:33442

AB Several 2-[(4-arylmethylene-5-oxo-2-phenyl-1-imidazolinyl)amino]-N-(4-nitrophenyl)acetamides, 2-[(4-arylmethylene-5-oxo-2-phenyl-1-imidazolinyl)amino]-N-benzylacetamides, 2-(4-chlorophenyl)-N-(4-arylmethylene-5-oxo-2-phenyl-1-imidazolinyl)acetamides, and N-(4-arylmethylene-5-oxo-2-phenyl-1-imidazolinyl)-N'-(2,6- dimethylphenyl)thioureas have been synthesized and evaluated for their antibacterial activity against gram (+)ve S. aureus and gram (-)ve E. coli bacteria. Most of the compds. have shown moderate to good activity against gram (+)ve and gram (-)ve bacteria. Correlation studies between the two models of antibacterial screening have established the complementarity between the two models. The QSAR studies of these compds. have been carried out in terms of structural and physicochem. parameters where pos. contribution by bulky lipophilic groups with increased electropos. character in the aryl part at 4-position of the imidazolinones has been observed

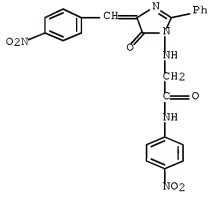
IT 343880-45-5P 343880-51-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antibacterial QSAR of arylmethyleneimidazolinones)

RN 343880-45-5 ZCAPLUS
CN Acetamide, 2-[[4,5-dihydro-4-[(3-nitrophenyl)methylene]-5-oxo-2-phenyl-1H-

imidazol-1-yl]amino]-N-(4-nitrophenyl)- (CA INDEX NAME)



RN 343880-51-3 ZCAPLUS
CN Acetamide, 2-[[4,5-dihydro-4-[(4-nitrophenyl)methylene]-5-oxo-2-phenyl-1H-imidazol-1-yl]amino]-N-(4-nitrophenyl)- (CA INDEX NAME)



REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

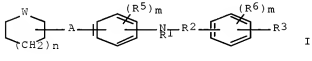
L128 ANSWER 18 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:101104 ZCAPLUS Full-text
DOCUMENT NUMBER: 134:162918
TITLE: Preparation of piperidinyloxyamidinophenylpropenylbenzenamines as anticoagulants.
INVENTOR(S): Guilford, William J.; Sakata, Steven T.; Shaw, Kenneth J.; Wu, Shung; Xu, Wei; Zhao, Zhuchun
PATENT ASSIGNEE(S): Berlex Laboratories, Inc., USA
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001009093	A1	20010208	WO 2000-US20390	20000727 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6350761	B1	20020226	US 2000-624519	20000724 <--
CA 2380029	A1	20010208	CA 2000-2380029	20000727 <--
BR 2000013292	A	20020402	BR 2000-13292	20000727 <--
EP 1200405	A1	20020502	EP 2000-950745	20000727 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, IE, SI, LT, LV, FI, RO, MK, CY, AL				
SI 20815	A	20020831	SI 2000-20032	20000727 <--
HU 2002002234	A2	20021028	HU 2002-2234	20000727 <--
HU 2002002234	A3	20050228		
JP 2003506353	T	20030218	JP 2001-514297	20000727 <--
EE 200200050	A	20030415	EE 2002-50	20000727 <--
NZ 516804	A	20030829	NZ 2000-516804	20000727 <--
AU 766820	B2	20031023	AU 2000-63805	20000727 <--
ZA 2002000560	A	20030422	ZA 2002-560	20020122 <--
LT 4972	B	20021125	LT 2002-9	20020124 <--
IN 2002MN00101	A	20050318	IN 2002-MN101	20020125 <--
NO 2002000457	A	20020327	NO 2002-457	20020129 <--
BG 106363	A	20021031	BG 2002-106363	20020129 <--
MX 2002PA01065	A	20021031	MX 2002-PA1065	20020130 <--
LV 12844	B	20021120	LV 2002-13	20020130 <--

PRIORITY APPLN. INFO.:
US 1999-146572P P 19990730 <--
US 2000-624519 A 20000724 <--
WO 2000-US20390 W 20000727 <--

OTHER SOURCE(S): MARPAT 134:162918
GI



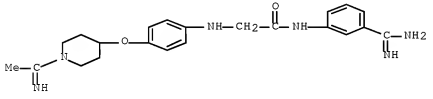
I

AB Title compds. [I; A = O, imino; W = imino, S, O; m = 0-4; n = 0, 1; R1 = H, alkyl, alkylcarbonyl, alkoxycarbonylalkyl, carboxyalkyl, PhCH2, etc.; R2 = [C(R7)2]m, [C(R7)2]m(CR8):CH, CR7R8Ph; R3 = C(:NH)NH2, C(:NH)NHOR7, etc.; R5 = H, alkyl, halo, haloalkyl, NO2, OH, alkoxy, CO2H, etc.; R6 = H, alkyl, OH, alkoxy, (substituted) aralkoxy; R7, R8 = H, alkyl, aryl, aralkyl], were prepared as antithrombotics (no data). Thus, 4-[N-(tert-butoxycarbonyl)piperidin-4-yloxy]benzeneamine (preparation given), 3-[(chloromethyl)carbonylamino]-4-benzyloxybenzonitrile (preparation given),

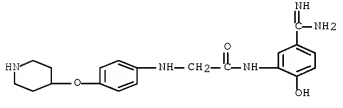
K2CO3, and NaI were heated 5.5 h at 80° in DMF to give 4-[N''-(tert-butoxycarbonyl)piperidin-4-yloxy]-N-[N'-(6-benzyloxy-3-cyanophenyl)aminocarbonyl]methylbenzeneamine. The product in EtOH/CH2Cl2 was treated with HCl under ice cooling to give 4-(piperidin-4-yloxy)-N-[N'- (6-benzyloxy-3-amidinophenyl)aminocarbonyl]methylbenzeneamine.

IT 325456-29-9P 325456-30-2P 325456-31-3P
325456-32-4P 325456-33-5P 325456-35-7P
325456-36-8P 325456-37-9P 325456-38-0P
325456-39-1P 325456-40-4P 325456-41-5P
325456-42-6P 325456-43-7P 325456-44-8P
325456-45-9P 325456-46-0P 325456-47-1P
325457-83-8P 325457-84-9P 325457-85-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidinyloxyamidinophenylpropenylbenzenamines as anticoagulants)

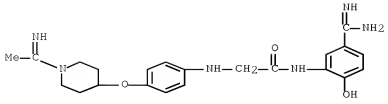
RN 325456-29-9 ZCAPLUS
CN Acetamide, N-[3-(aminoiminomethyl)phenyl]-2-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]- (CA INDEX NAME)



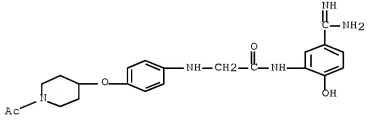
RN 325456-30-2 ZCAPLUS
CN Acetamide, N-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-[[4-(4-piperidinyloxy)phenyl]amino]- (CA INDEX NAME)



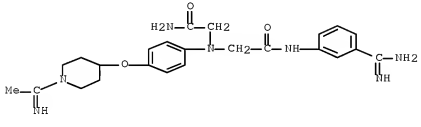
RN 325456-31-3 ZCAPLUS
CN Acetamide, N-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]- (CA INDEX NAME)



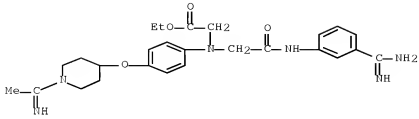
RN 325456-32-4 ZCAPLUS
CN Acetamide, 2-[[4-[(1-acetyl-4-piperidinyl)oxy]phenyl]amino]-N-[5-(aminoiminomethyl)-2-hydroxyphenyl]- (CA INDEX NAME)



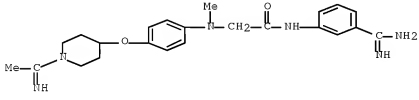
RN 325456-33-5 ZCAPLUS
CN Acetamide, N-[3-(aminoiminomethyl)phenyl]-2-[(2-amino-2-oxoethyl)[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]- (9CI) (CA INDEX NAME)



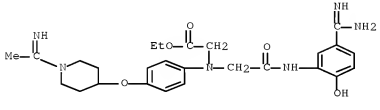
RN 325456-35-7 ZCAPLUS
CN Glycine, N-[2-[[3-(aminoiminomethyl)phenyl]amino]-2-oxoethyl]-N-[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



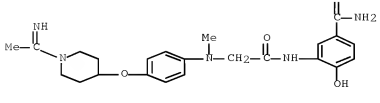
RN 325456-36-8 ZCAPLUS
CN Acetamide, N-[3-(aminoiminomethyl)phenyl]-2-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]methylamino]- (CA INDEX NAME)



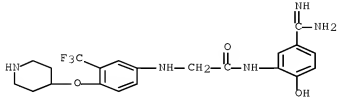
RN 325456-37-9 ZCAPLUS
CN Glycine, N-[2-[[5-(aminoiminomethyl)-2-hydroxyphenyl]amino]-2-oxoethyl]-N-[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



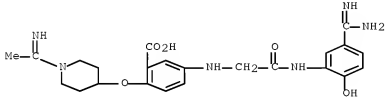
RN 325456-38-0 ZCAPLUS
CN Acetamide, N-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]methylamino]- (CA INDEX NAME)



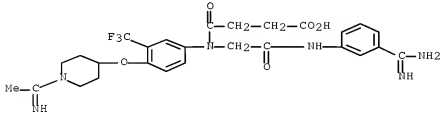
RN 325456-39-1 ZCAPLUS
CN Acetamide, N-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-[[4-(4-piperidinyloxy)-3-(trifluoromethyl)phenyl]amino]- (CA INDEX NAME)



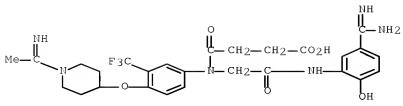
RN 325456-40-4 ZCAPLUS
CN Benzoic acid, 5-[[2-[[5-(aminoiminomethyl)-2-hydroxyphenyl]amino]-2-oxoethyl]amino]-2-[[1-(1-iminoethyl)-4-piperidinyl]oxy]- (CA INDEX NAME)



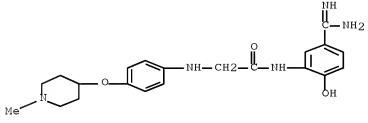
RN 325456-41-5 ZCAPLUS
CN Butanoic acid, 4-[[2-[[3-(aminoiminomethyl)phenyl]amino]-2-oxoethyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]amino]-4-oxo- (CA INDEX NAME)



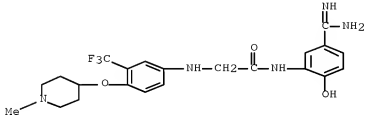
RN 325456-42-6 ZCAPLUS
CN Butanoic acid, 4-[[2-[[5-(aminoiminomethyl)-2-hydroxyphenyl]amino]-2-oxoethyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]amino]-4-oxo- (CA INDEX NAME)



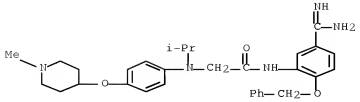
RN 325456-43-7 ZCAPLUS
CN Acetamide, N-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-[[4-[(1-methyl-4-piperidinyl)oxy]phenyl]amino]- (CA INDEX NAME)



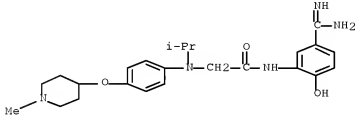
RN 325456-44-8 ZCAPLUS
CN Acetamide, N-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-[[4-[(1-methyl-4-piperidinyl)oxy]-3-(trifluoromethyl)phenyl]amino]- (CA INDEX NAME)



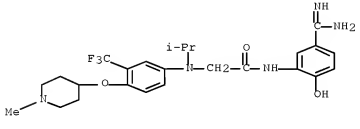
RN 325456-45-9 ZCAPLUS
CN Acetamide, N-[5-(aminoiminomethyl)-2-(phenylmethoxy)phenyl]-2-[(1-methylethyl)[4-[(1-methyl-4-piperidinyl)oxy]phenyl]amino]- (CA INDEX NAME)



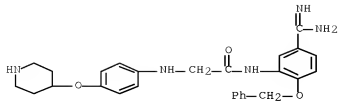
RN 325456-46-0 ZCAPLUS
CN Acetamide, N-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-[(1-methylethyl)[4-[(1-methyl-4-piperidinyl)oxy]phenyl]amino]- (CA INDEX NAME)



RN 325456-47-1 ZCAPLUS
CN Acetamide, N-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-[(1-methylethyl)[4-[(1-methyl-4-piperidinyl)oxy]-3-(trifluoromethyl)phenyl]amino]- (CA INDEX NAME)



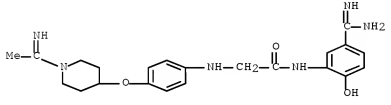
RN 325457-83-8 ZCAPLUS
CN Acetamide, N-[5-(aminoiminomethyl)-2-(phenylmethoxy)phenyl]-2-[[4-(4-piperidinyloxy)phenyl]amino]- (CA INDEX NAME)



RN 325457-84-9 ZCAPLUS
CN Acetamide, N-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]-, tris(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 325456-31-3
CMF C22 H28 N6 O3



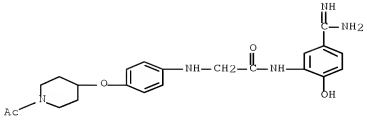
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 325457-85-0 ZCAPLUS
CN Acetamide, 2-[[4-[(1-acetyl-4-piperidinyl)oxy]phenyl]amino]-N-[5-(aminoiminomethyl)-2-hydroxyphenyl]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

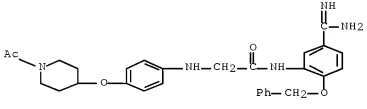
CRN 325456-32-4
CMF C22 H27 N5 O4



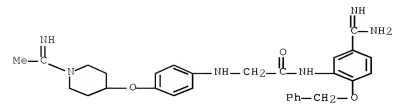
CM 2

CRN 76-05-1
CMF C2 H F3 O2

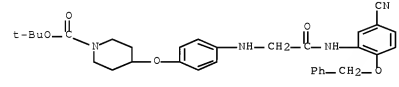
IT 325457-81-6 325457-82-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of piperidinyloxyamidinophenylpropenylbenzenamines as anticoagulants)
RN 325457-81-6 ZCAPLUS
CN Acetamide, 2-[[4-[(1-acetyl-4-piperidinyl)oxy]phenyl]amino]-N-[5-(aminoiminomethyl)-2-(phenylmethoxy)phenyl]- (CA INDEX NAME)



RN 325457-82-7 ZCAPLUS
CN Acetamide, N-[5-(aminoiminomethyl)-2-(phenylmethoxy)phenyl]-2-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]- (CA INDEX NAME)



IT 325457-77-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperidinyloxyamidinophenylpropenylbenzenamines as anticoagulants)
RN 325457-77-0 ZCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[4-[[2-[[5-cyano-2-(phenylmethoxy)phenyl]amino]-2-oxoethyl]amino]phenoxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)

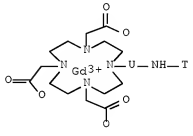


REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L128 ANSWER 19 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:553451 ZCAPLUS Full-text
DOCUMENT NUMBER: 133:168385
TITLE: Metal macrocycles for two-step forms of radiotherapy
INVENTOR(S): Lawaczeck, Rudiger; Platzek, Johannes; Raduchel, Bernd
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000045857	A2	20000810	WO 2000-EP473	20000121 <--
WO 2000045857	A3	20010405		
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KP, KR, LC, LK, LR, LS, LT, LV, MA, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, SD, SG, SI, SK, SL, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
DE 19905094	C1	20001012	DE 1999-19905094	19990201 <--

PRIORITY APPLN. INFO.: DE 1999-19905094 A 19990201 <--
OTHER SOURCE(S): MARPAT 133:168385
GI



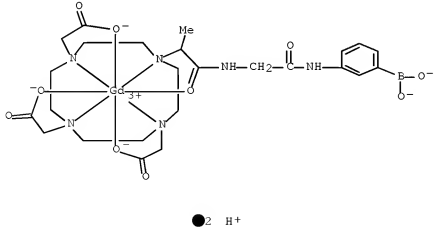
I

AB The invention relates to the use of at least one physiol. compatible compound of general formula (I), wherein U represents -CH2-CH(OH)-CH2- or -CHR-CO-NH-(CH2)n-CO, with R = H or Me, and n = 1-10, and T represents a tumor-specific radical of biol. or synthetic origin, for producing prepns. for neutron capture and photon activation therapy.

IT 287972-52-5
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(metal macrocycles for two-step forms of radiotherapy)

RN 287972-52-5 ZCAPLUS

CN Gadolinate(2-), [10-[2-[[2-[(3-boronophenyl)amino]-2-oxoethyl]amino]-1-methyl-2-(oxo-κO)ethyl]-1,4,7,10-tetraazacyclododecane-1,4,7-triacetato(5-)-κN1,κN4,κN7,κN10,κO1,κO4,κO7]-, dihydrogen (9CI) (CA INDEX NAME)

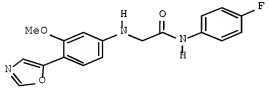


2 H+

L128 ANSWER 20 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:314682 ZCAPLUS Full-text
DOCUMENT NUMBER: 132:334449
TITLE: Preparation of N-[4-(5-oxazolyl)phenyl] amides as novel inhibitors of IMPDH enzyme
INVENTOR(S): Gu, Henry H.; Dhar, T. G. Murali; Iwanowicz, Edwin
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000026197	A1	20000511	WO 1999-US24889	19991022 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2348267	A1	20000511	CA 1999-2348267	19991022 <--
EP 1127054	A1	20010829	EP 1999-960145	19991022 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002528533	T	20020903	JP 2000-579586	19991022 <--
US 6624184	B1	20030923	US 1999-427953	19991027 <--
US 2004082562	A1	20040429	US 2003-465425	20030619 <--
US 7053111	B2	20060530		
US 2006122245	A1	20060608	US 2003-465427	20030619 <--
US 7205324	B2	20070417		
US 2004102497	A1	20040527	US 2003-717287	20031119 <--
US 7060720	B2	20060613		
PRIORITY APPLN. INFO.:			US 1998-106180P P	19981029 <--
			WO 1999-US24889 W	19991022 <--
			US 1999-427953 A3	19991027 <--
OTHER SOURCE(S):	MARPAT 132:334449			

GI



II

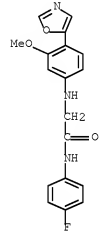
AB The title compds. ZJKLX [I; Z = (un)substituted monocyclic or bicyclic ring system containing up to 4 heteroatoms selected from N, O, and S; J = NR7, CO; K = NR7, CO, CHR9; L = a single bond, CO, CR10R11, etc.; X = alkyl, alkenyl, cycloalkylalkyl, etc.; R7 = H, alkyl, alkenyl, etc.; R9 = H, alkyl, alkenyl,

etc.; R10, R11 = H, F, Cl, etc.], useful in treating or preventing IMPDH associated disorders, such as transplant rejection and autoimmune disease, were prepared E.g., a multi-step synthesis of glycinamide II was given. Compds. I are effective at 0.1-500 mg/kg/day.

IT 267405-35-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-[4-(5-oxazolyl)phenyl] amides as novel inhibitors of IMPDH enzyme)

RN 267405-35-6 ZCAPLUS

CN Acetamide, N-(4-fluorophenyl)-2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L128 ANSWER 21 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:54951 ZCAPLUS Full-text

DOCUMENT NUMBER: 132:329515

TITLE: The p16 status of tumor cell lines identifies small molecule inhibitors specific for cyclin-dependent kinase 4

AUTHOR(S): Kubo, Akihito; Nakagawa, Kazuhiko; Varma, Ravi K.; Conrad, Nicholas K.; Cheng, Jin Quan; Lee, Wen-Ching; Testa, Joseph R.; Johnson, Bruce E.; Kaye, Frederic J.; Kelley, Michael J.

CORPORATE SOURCE: Medicine Branch Developmental Therapeutics Program, National Cancer Institute, Bethesda, MD, 20889, USA

SOURCE: Clinical Cancer Research (1999), 5(12), 4279-4286
CODEN: CCREF4; ISSN: 1078-0432

PUBLISHER: American Association for Cancer Research

DOCUMENT TYPE: Journal

LANGUAGE: English

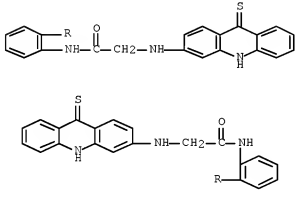
AB Loss of p16 functional activity leading to disruption of the p16/cyclin-dependent kinase (CDK) 4:cyclin D/retinoblastoma pathway is the most common event in human tumorigenesis, suggesting that compds. with CDK4 kinase inhibitory activity may be useful to regulate cancer cell growth. To identify

such inhibitors, the 60 cancer cell lines of the National Cancer Institute drug screen panel were examined for p16 alterations (biallelic deletion, intragenic mutations, or absent p16 protein), and the growth-inhibitory activity of more than 50,000 compds. against these 60 cell lines was compared with their p16 status. One compound, 3-amino thioacridone (3-ATA; NSC 680434), whose growth-inhibitory activity correlated with the p16 status of the cell lines had an IC50 of 3.1 μM in a CDK4 kinase assay. In addition, four compds. structurally related to 3-ATA inhibited CDK4 kinase with IC50s ranging from 0.2-2.0 μM. All five of these compds. were less potent inhibitors of cell division cycle 2 and CDK2 kinases, with IC50s 30- to 500-fold higher than that for CDK4. ATP competition expts. demonstrated a noncompetitive mode of inhibition for 3-ATA (Ki = 5.5 μM) and a linear mixed mode for benzothiadiazine (NSC 645787; Ki = 0.73 μM). The authors have successfully demonstrated a novel approach to identify specific CDK4 kinase inhibitors that may selectively induce growth inhibition of p16-altered tumors.

IT 215649-26-6, NSC 645153
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(p16INK4A gene status of tumor cell lines identifies small mol. inhibitors specific for cyclin-dependent kinase 4 in relation to antitumor activity)

RN 215649-26-6 ZCAPLUS

CN Acetamide, N,N'-[1,1'-biphenyl]-2,2'-diylbis[2-[(9,10-dihydro-9-thioxo-3-acridinyl)amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L128 ANSWER 22 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:672796 ZCAPLUS Full-text
DOCUMENT NUMBER: 131:299286
TITLE: Preparation of amidine compounds as Xa inhibitors
INVENTOR(S): Katoh, Susumu; Yokota, Katsuyuki; Hayashi, Mikio
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: PCT Int. Appl., 280 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9952895	A1	19991021	WO 1999-JP1900	19990409 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2327488	A1	19991021	CA 1999-2327488	19990409 <--
AU 9931677	A	19991101	AU 1999-31677	19990409 <--
AU 752588	B2	20020926		
SG 74717	A1	20000822	SG 1999-1654	19990409 <--
EP 1070714	A1	20010124	EP 1999-913608	19990409 <--
EP 1070714	B1	20040804		
EP 1070714	A9	20051019		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO				
TR 200002904	T2	20010221	TR 2000-2904	19990409 <--
BR 9910122	A	20011016	BR 1999-10122	19990409 <--
HU 2001001137	A2	20011028	HU 2001-1137	19990409 <--
NZ 508101	A	20021220	NZ 1999-508101	19990409 <--
RU 2201927	C2	20030410	RU 2000-128036	19990409 <--
AT 272630	T	20040815	AT 1999-913608	19990409 <--
JP 2000136190	A	20000516	JP 1999-103432	19990412 <--
JP 3283485	B2	20020520		
US 6562828	B1	20030513	US 2000-647847	20001006 <--
NO 2000005083	A	20001208	NO 2000-5083	20001009 <--
MX 2000PA09968	A	20010405	MX 2000-PA9968	20001011 <--
ZA 2000006430	A	20010725	ZA 2000-6430	20001108 <--
IN 2000CN00627	A	20050304	IN 2000-CN627	20001109 <--
US 2004006099	A1	20040108	US 2003-386458	20030313 <--
PRIORITY APPLN. INFO.:			JP 1998-116233 A	19980410 <--
			JP 1998-237869 A	19980825 <--
			WO 1999-JP1900 W	19990409 <--
			US 2000-647847 A3	20001006 <--
OTHER SOURCE(S):	MARPAT 131:299286			

GI

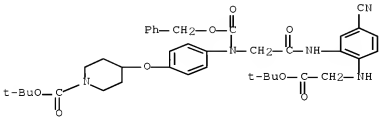
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. R1R2NCR:NR3 [wherein R1, R2 and R3 are the same or different and each represents hydrogen, hydroxy, lower alkyl or aryl; and R represents formulas Q, Q1, and Q2; A = OCH2, OCH2CH2, SO2NH, ; R4 = H, Cl; R5 = H, CO2H, COOEt, COOMe; B = C6H5CH2SO2, CH2CH2OH, 4-pyridyl, 4-quinolinyl, 4-(2,6-dimethylpyridyl), 4-(2-methylpyridyl), 4-imidazolyl; G = 4-CH2N(4-COC6H4COOH)C6H4O, CH2O, CH2N(COCOOEt);F = (un)substituted aryl; n = 1, 2; D = arylcarbamoyl, OMe, H, C6H5CH2; etc.], stereoisomers, and salts thereof or prodrugs of the same are prepared and tested as factor Xa inhibitors and anticoagulants and usable in preventing and/or treating diseases caused by blood coagulation or thrombi. Thus, the title compound I was prepared

IT 247132-60-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of amidine compds. as Xa inhibitors)
RN 247132-60-1 ZCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[4-[[2-[[5-cyano-2-[[2-(1,1-dimethylethoxy)-2-oxoethyl]amino]phenyl]amino]-2-oxoethyl][(phenylmethoxy)carbonyl]amino]phenoxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L128 ANSWER 23 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:487291 ZCAPLUS Full-text
DOCUMENT NUMBER: 131:116262
TITLE: Preparation of novel benzene-fused heterocyclic derivatives as anticoagulant
INVENTOR(S): Hirayama, Fukushi; Koshio, Hiroyuki; Ishihara, Tsukasa; Kaizawa, Hiroyuki; Katayama, Naoko; Taniuchi, Yuta; Matsumoto, Yuzo
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9937643	A1	19990729	WO 1999-JP276	19990125 <--
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9920746	A	19990809	AU 1999-20746	19990125 <--
PRIORITY APPLN. INFO.:			JP 1998-12970 A	19980126 <--
			WO 1999-JP276 W	19990125 <--

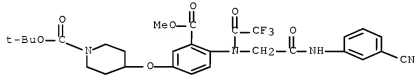
OTHER SOURCE(S): MARPAT 131:116262
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

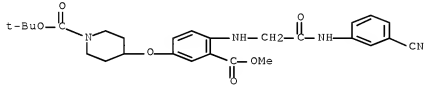
AB Title compds. [I; or salts thereof, R1 = Q1, Q2; A = -CH=CCH3-CH2-, -CH2-CH2-CH2-, -NH-CO-CH2-, -O-CH2-CH2-; Z = a bond, -CO-, -CO-O-, -SO2-; Y = lower alkylene, -NH-CO-, -CH2-NH-CO-, -NMe-CH2, -C(CO2Me)=CH-; R2 = hydrogen, lower alkyl, forming -(CH=CH)2-; R3 = H, C(:NH)CH3] are prepared via cyclization and have anticoagulant effects based on inhibition of activated blood coagulation factor X, these compds. are useful as blood anticoagulants or preventives/remedies for diseases induced by thrombosis or embolism. The title compound II was prepared

IT 233282-00-3P 233282-01-4P 233282-02-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzoheterocyclic derivs. as anticoagulant)

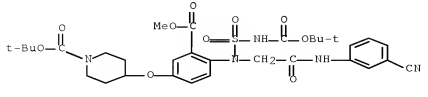
RN 233282-00-3 ZCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[4-[[2-[(3-cyanophenyl)amino]-2-oxoethyl](trifluoroacetyl)amino]-3-(methoxycarbonyl)phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 233282-01-4 ZCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[4-[[2-[(3-cyanophenyl)amino]-2-oxoethyl]amino]-3-(methoxycarbonyl)phenoxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 233282-02-5 ZCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[4-[[2-[(3-cyanophenyl)amino]-2-oxoethyl][[[(1,1-dimethylethoxy)carbonyl]amino]sulfonyl]amino]-3-(methoxycarbonyl)phenoxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

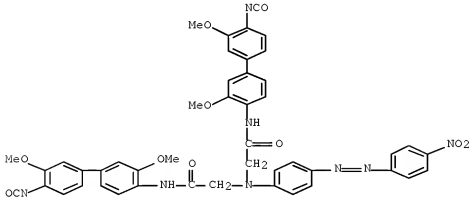
L128 ANSWER 24 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:265218 ZCAPLUS Full-text
DOCUMENT NUMBER: 131:51956
TITLE: Optical image storage based on all-optical poling in polymer films
AUTHOR(S): Si, Jinhai; Kitaoka, Kenji; Mitsuyu, Tsuneo; Hirao, Kazuyuki
CORPORATE SOURCE: Hirao Active Glass Project, ERATO, JST, Super-laboratory 2-6, Kyoto, 619-0237, Japan
SOURCE: Japanese Journal of Applied Physics, Part 2: Letters (1999), 38(4A), L390-L392
CODEN: JAPLD8; ISSN: 0021-4922
PUBLISHER: Japanese Journal of Applied Physics
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Optical image storage was investigated by an all-optical poling method in thermally crosslinked azo group-containing polyurethane films. During the writing process, samples were irradiated simultaneously by the coherent superposition of the 1064-nm fundamental and the 532-nm second-harmonic light of a nanosecond-pulsed Nd:YAG laser. This optical image storage provides a micropatterning of the second-order susceptibility for polymer films, which can transfer an IR reading beam into a visible signal for optical processing.

IT 227177-10-8
RL: TEM (Technical or engineered material use); USES (Uses)
(optical image storage based on all-optical poling in thermally crosslinked films of)

RN 227177-10-8 ZCAPLUS

CN Acetamide, 2,2'-[[4-[(4-nitrophenyl)azo]phenyl]imino]bis[N-(4'-isocyanato-3,3'-dimethoxy[1,1'-biphenyl]-4-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L128 ANSWER 25 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:193845 ZCAPLUS Full-text
DOCUMENT NUMBER: 130:247055
TITLE: Protein tyrosine phosphatase inhibitors for modulating

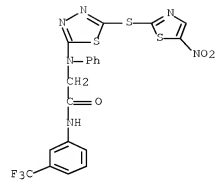
signal transduction, pharmaceutical compositions, and therapeutic use
INVENTOR(S): Tang, Peng Cho; McMahon, Gerald
PATENT ASSIGNEE(S): Sugen, Inc., USA
SOURCE: U.S., 38 pp., Cont.-in-part of U.S. Ser. No. 481,954.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5883110	A	19990316	US 1996-660900	19960607 <--
US 5798374	A	19980825	US 1995-481954	19950607 <--
AU 9662671	A	19961219	AU 1996-62671	19960607 <--
AU 697649	B2	19981015		
WO 9640129	A1	19961219	WO 1996-US9795	19960607 <--
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GB, HU, IL, IS, JP, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
HU 9603484	A2	19980528	HU 1996-3484	19960607 <--
CN 1184635	A	19980617	CN 1996-121386	19961213 <--
US 6080772	A	20000627	US 1997-988833	19971211 <--
US 6143765	A	20001107	US 1998-120346	19980721 <--
PRIORITY APPLN. INFO.:			US 1995-481954	A2 19950607 <--
			US 1996-660900	A2 19960606 <--
			WO 1996-US9795	W 19960607 <--
			US 1996-33522P	P 19961219 <--

OTHER SOURCE(S): MARPAT 130:247055

AB Organic mols. capable of inhibiting protein tyrosine phosphatase activity are disclosed. The invention further relates to the use of such mols. to modulate or regulate signal transduction by inhibiting protein tyrosine phosphatase activity. Finally, the invention relates to the use of such mols. to treat various disease states including various cancers and diabetes mellitus.

IT 221295-38-1
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(protein tyrosine phosphatase inhibitors for modulating signal transduction, pharmaceutical compns., and therapeutic use)
RN 221295-38-1 ZCAPLUS
CN Acetamide, 2-[[5-[(5-nitro-2-thiazolyl)thio]-1,3,4-thiadiazol-2-yl]phenylamino]-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L128 ANSWER 26 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:721680 ZCAPLUS Full-text
DOCUMENT NUMBER: 130:483
TITLE: Cyclin-dependent kinase (cdk)4 inhibitors and their use for treating cancer
INVENTOR(S): Kelley, Michael J.; Nakagawa, Kazuhiko; Dent, Barry Roy
PATENT ASSIGNEE(S): United States Dept. of Health and Human Services, USA
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9849146	A2	19981105	WO 1998-US8602	19980428 <--
WO 9849146	A3	19990812		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2288154	A1	19981105	CA 1998-2288154	19980428 <--
AU 9874698	A	19981124	AU 1998-74698	19980428 <--
AU 736979	B2	20010809		
EP 977738	A2	20000209	EP 1998-922070	19980428 <--
EP 977738	B1	20051116		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002506427	T	20020226	JP 1998-547319	19980428 <--
AT 309991	T	20051215	AT 1998-922070	19980428 <--
US 6630464	B1	20031007	US 2000-403659	20000218 <--
US 2004006074	A1	20040108	US 2002-308343	20021202 <--
PRIORITY APPLN. INFO.:			US 1997-44256P P	19970428 <--
			WO 1998-US8602 W	19980428 <--

US 2000-403659 A3 20000218 <--

OTHER SOURCE(S): MARPAT 130:483

AB Certain derivs. of acridones and benzothiadiazines have been found to have anti-cancer properties by virtue of their specific inhibition of the cyclin D dependent kinase CDK4. These mols. inhibit CDK4 activity more than they inhibit the activity of other such kinases (e.g. CDC2 and CDK2). This specificity results in an improved therapeutic index when used as drugs to treat susceptible cancers. The inhibitory activities against cyclin-dependant kinases were tested by methods including three stages: determining which cell lines contain p16 alterations, determining which drugs are most active against p16 altered cells, and (3) determining the CDK4 kinase inhibitory activity of selected, screened compds., e.g. 3-amino-10H-acridine-9- thione.

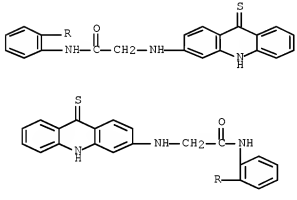
IT 215649-26-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(cyclin-dependent kinase inhibitors and their use for treating cancer)

RN 215649-26-6 ZCAPLUS

CN Acetamide, N,N'-[1,1'-biphenyl]-2,2'-diylbis[2-[(9,10-dihydro-9-thioxo-3-acridinyl)amino]- (9CI) (CA INDEX NAME)



L128 ANSWER 27 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:693417 ZCAPLUS Full-text

DOCUMENT NUMBER: 129:343326

TITLE: Preparation of benzenes as protein kinase C inhibitors

INVENTOR(S): Mori, Toyoki; Tominaga, Michiaki; Tabusa, Fujio; Ei, Kazuyoshi; Nakaya, Kenji; Takemura, Isao; Shinohara, Tomokazu; Tanada, Yoshihisa; Yamauchi, Takahito; Kitano, Kazuyoshi

PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 359 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

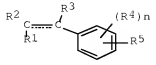
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10287634	A	19981027	JP 1997-110527	19970411 <--
PRIORITY APPLN. INFO.:			JP 1997-110527	19970411 <--

OTHER SOURCE(S): MARPAT 129:343326

GI



I

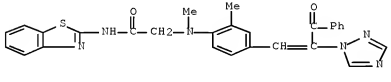
AB Benzenes I [R1 = 5- to 6-membered (un)substituted unsatd. heterocyclyl having 1-4 N, O, or S; cyano, carboxylalkyl, alkoxycarbonyl, H, Bz, (un)substituted amido, etc.; R2 = (un)substituted Bz, (un)substituted 1,2,3,4-tetrahydroquinolinylcarbonyl, pyridylcarbonyl, (un)substituted phenoxycarbonyl, etc.; R3 = H, lower alkyl, PhS, (un)substituted lower alkylthio, cycloalkylthio, cyano, etc.; R4 = H, (un)substituted lower alkyl, lower alkoxy, (un)substituted aminoalkylene, (un)substituted aminoalkylenyloxy; R5 = substituted alkenyl, phenylthioureidocarbonyl, pyrimidylaminocarbonylalkoxy, etc.; n = 1-3; the dot line may be double bond] or their salts are prepared I are useful for prevention and treatment of chronic rheumatoid arthritis, systemic lupus erythematosus, atopic dermatitis, heart failure, allergy, multiple sclerosis, tumor, Alzheimer-type dementia, etc. Condensation of 250 mg 2- (benzoylmethyl)pyridine with 300 mg 4-[(2-benzothiazolyl)aminocarbonyl]ben zaldehyde in C6H6 for 10 h gave 0.3 g 2-[4-[2-benzoyl-2-(2- pyridyl)vinyl]benzoylamino]benzothiazole.

IT 215507-38-3P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzenes as protein kinase C inhibitors for treatment of diseases)

RN 215507-38-3 ZCAPLUS

CN Acetamide, N-2-benzothiazolyl-2-[methyl[2-methyl-4-[3-oxo-3-phenyl-2-(1H-1,2,4-triazol-1-yl)-1-propenyl]phenyl]amino]- (9CI) (CA INDEX NAME)



L128 ANSWER 28 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:559955 ZCAPLUS Full-text

DOCUMENT NUMBER: 129:297935

TITLE: Effect of new thioacridine derivatives on P-gp function and on mdr1 gene expression

AUTHOR(S): Hever, Aniko; Santelli-Rouvier, Christiane; Brouant, Pierre; El Khyari, Said; Molnar, Joseph; Barra, Yves; Barbe, Jacques

CORPORATE SOURCE: Department of Microbiology, Albert Szent-Gyorgyi Medical University, Szeged, 6720, Hung.

SOURCE: Anticancer Research (1998), 18(4C), 3053-3058
CODEN: ANTRD4; ISSN: 0250-7005
PUBLISHER: Anticancer Research
DOCUMENT TYPE: Journal
LANGUAGE: English

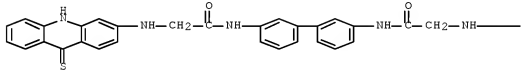
AB We studied the effect of thioacridine derivs. on the function of P-glycoprotein in MDR mouse T-lymphoma cell line L5178 and in MDR human leukemia cell line K562/ADR by rhodamine 123 uptake assay. The effect of some selected thioacridines was also investigated on the expression of the mdr1 gene. Expression was analyzed by RT-PCR. Two compds.: 3-amino-9-thio-(4'-nitrobenzyl)acridinone and 2,7-dimethoxy-9-thio-(2'- diethylaminoethyl) acridinone were able to block the function of the P-gp, and also to decrease significantly mdr1 gene expression. Because these two derivs. exert their pos. effects as reversing agents they could be potential candidate anticancer agents for further investigation. The thioacridines, which do not affect P-gp function, do not affect or increase the expression of mdr1 gene. Our results showed the structure activity relationships of these compds., providing a direction for the development of new, more active compds.

IT 214599-66-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(effect of new thioacridine derivs. on P-gp function and on mdr1 gene expression)

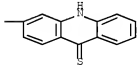
RN 214599-66-3 ZCAPLUS

CN Acetamide, N,N'-[1,1'-biphenyl]-3,3'-diylbis[2-[(9,10-dihydro-9-thioxo-3-acridinyl)amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



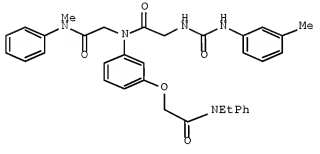
PAGE 1-B



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

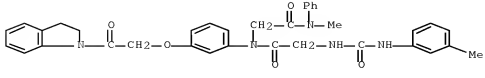
L128 ANSWER 29 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:409304 ZCAPLUS Full-text
DOCUMENT NUMBER: 129:202741
TITLE: Synthesis of phenoxyacetic acid derivatives as highly potent antagonists of gastrin/cholecystokinin-B receptors. II

AUTHOR(S): Takeda, Yasuyuki; Kawagoe, Keiichi; Yokomizo, Aki; Yokomizo, Yoshihiro; Hosokami, Toru; Shimoto, Yoshimasa; Tabuchi, Yoshiaki; Ogihara, Yoshiyasu; Otsubo, Rira; Honda, Yuko; Yokohama, Shuichi
CORPORATE SOURCE: New Product Research Laboratories III, Daiichi Pharmaceutical Co., Ltd., Tokyo, 134-8630, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1998), 46(6), 951-961
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 129:202741
GI

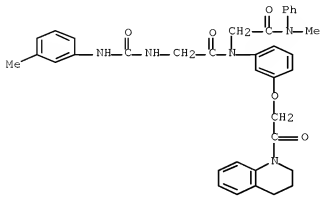


I

AB A series of phenoxyacetanilide derivs., e.g. I (R = substituted phenyl) was synthesized and their antagonist activities for human gastrin/cholecystokinin (CCK)-B and CCK-A receptors were evaluated. Among the compds. synthesized, 2-[3-[3-[N-[2-(N-methyl-N- phenylcarbamoylmethoxy)phenyl]-N-(N-methyl-N-phenylcarbamoylmethyl)carbamo ylmethyl]ureido]phenyl]acetic acid (DA-3934) exhibited high affinity for gastrin/CCK-B receptors and high selectivity over CCK-A receptors. DA-3934 and its Me ester derivative inhibited pentagastrin-induced gastric acid secretion in rats in a dose-dependent manner.
IT 183176-58-1P 183176-59-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of phenoxyacetic acid derivs. as highly potent antagonists of gastrin/cholecystokinin-B receptors)
RN 183176-58-1 ZCAPLUS
CN Glycinamide, N-[[(3-methylphenyl)amino]carbonyl]glycyl-N2-[3-[2-(2,3-dihydro-1H-indol-1-yl)-2-oxoethoxy]phenyl]-N-methyl-N-phenyl- (9CI) (CA INDEX NAME)



RN 183176-59-2 ZCAPLUS
CN Glycinamide, N-[[(3-methylphenyl)amino]carbonyl]glycyl-N2-[3-[2-(3,4-dihydro-1(2H)-quinolinyl)-2-oxoethoxy]phenyl]-N-methyl-N-phenyl- (9CI) (CA INDEX NAME)

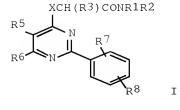


REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L128 ANSWER 30 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:314282 ZCAPLUS Full-text
DOCUMENT NUMBER: 129:54385
TITLE: Preparation of acetic acid amide derivatives as drugs
INVENTOR(S): Murata, Akiya; Hino, Katsuhiko; Furukawa, Kiyoshi; Oka, Makoto; Ito, Mari
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 44 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10130150	A	19980519	JP 1997-257573	19970905 <--
PRIORITY APPLN. INFO.:			JP 1996-257704 A	19960905 <--
OTHER SOURCE(S):		MARPAT 129:54385		

GI

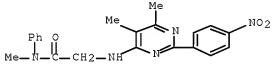


I

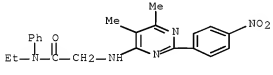
AB The title compds. [I; X = O, NR4; R1 = H, (un)substituted lower alkyl or alkenyl, etc.; R2 = cycloalkyl, lower alkyl, (un)substituted Ph, etc.; R3 = H, alkyl, hydroxyalkyl, etc.; R4 = H, alkyl, or combine with R3 and N to form a pyrrolidine or piperidine; R5 = H, lower alkyl or alkenyl, hydroxyalkyl, CF3, etc.; R6 = H, lower alkyl, CF3, etc.; R7 = H, halo, lower alkyl, etc.; R8 = H, halo, lower alkoxy, etc.] are prepared I, possessing affinity toward the benzodiazepine receptor, are useful for prevention and treatment of melancholia, insecure related diseases, central nervous system diseases, and immunity inflammation diseases. Thus, 4-chloro-5,6-dimethyl-2-phenylpyrimidine was reacted with 2-amino-N,N-dipropylacetamide in the presence of Et3N to give I (R1 = R2 = n-Pr, R3 = R7 = R8 = H, R5 = R6 = Me, X = NH), which showed IC50 of 3.10 nM with abenzodiazepine receptor (BZω3) when tested with rat. A formulation containing I was also prepared

IT 184107-92-4P 184108-15-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acetic acid amide derivs. as drugs)

RN 184107-92-4 ZCAPLUS
CN Acetamide, 2-[[5,6-dimethyl-2-(4-nitrophenyl)-4-pyrimidinyl]amino]-N-methyl-N-phenyl- (CA INDEX NAME)



RN 184108-15-4 ZCAPLUS
CN Acetamide, 2-[[5,6-dimethyl-2-(4-nitrophenyl)-4-pyrimidinyl]amino]-N-ethyl-N-phenyl- (CA INDEX NAME)



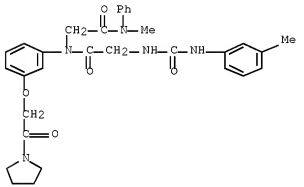
L128 ANSWER 31 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:226509 ZCAPLUS Full-text
DOCUMENT NUMBER: 128:270426
TITLE: Synthesis of phenoxyacetic acid derivatives as highly potent antagonists of gastrin/cholecystokinin-B receptors
AUTHOR(S): Takeda, Yasuyuki; Kawagoe, Keiichi; Yokomizo, Aki; Yokomizo, Yoshihiro; Hosokami, Toru; Ogihara,

Yoshiyasu; Honda, Yuko; Yokohama, Shuichi
CORPORATE SOURCE: New Product Research Laboratories III, Daiichi Pharmaceutical Co., Ltd., Tokyo, 134, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1998), 46(3), 434-444
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English

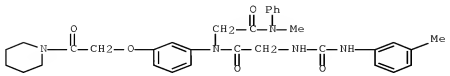
AB A novel series of phenoxyacetic acid derivs. was synthesized based on considerations of the three-dimensional structural similarity of YM022 and RP72540. The gastrin/cholecystokinin (CCK)-B and CCK-A receptor antagonist activities of these compds. were evaluated by investigation of their affinities for human gastrin/CCK-B receptors and human CCK-A receptors, resp. It was found that N-methyl-N-phenyl-2-[2-[N-(N-methyl-N-phenylcarbamoylmethyl)-N-[2-[3-(3-methylphenyl)ureido]acetyl]amino]phenoxy]acetamide (DZ-3514) exhibited high affinity for gastrin/CCK-B receptors and high selectivity over CCK-A receptors.

IT 183176-65-0P 183176-66-1P 183176-67-2P 183176-70-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of phenoxyacetic acid derivs. as highly potent antagonists of gastrin/cholecystokinin-B receptors)

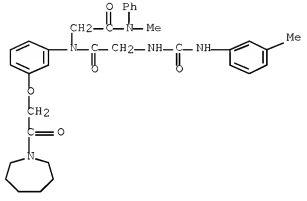
RN 183176-65-0 ZCAPLUS
CN Glycinamide, N-[[(3-methylphenyl)amino]carbonyl]glycyl-N-methyl-N2-[3-[2-oxo-2-(1-pyrrolidinyl)ethoxy]phenyl]-N-phenyl- (9CI) (CA INDEX NAME)



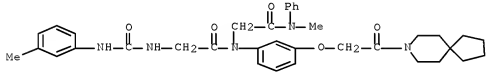
RN 183176-66-1 ZCAPLUS
CN Glycinamide, N-[[(3-methylphenyl)amino]carbonyl]glycyl-N-methyl-N2-[3-[2-oxo-2-(1-piperidinyl)ethoxy]phenyl]-N-phenyl- (9CI) (CA INDEX NAME)



RN 183176-67-2 ZCAPLUS
CN Glycinamide, N-[[(3-methylphenyl)amino]carbonyl]glycyl-N2-[3-[2-(hexahydro-1H-azepin-1-yl)-2-oxoethoxy]phenyl]-N-methyl-N-phenyl- (9CI) (CA INDEX NAME)

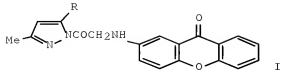


RN 183176-70-7 ZCAPLUS
CN Glycinamide, N-[[(3-methylphenyl)amino]carbonyl]glycyl-N2-[3-[2-(8-azaspiro[4.5]dec-8-yl)-2-oxoethoxy]phenyl]-N-methyl-N-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L128 ANSWER 32 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:61888 ZCAPLUS Full-text
DOCUMENT NUMBER: 128:154042
TITLE: Synthesis of new xanthenone derivatives of expected antibilharzial activity
AUTHOR(S): Omar, Mahmoud T.
CORPORATE SOURCE: Chemotherapeutic Department, National Research Centre, Cairo, 12311, Egypt
SOURCE: Archives of Pharmacal Research (1997), 20(6), 602-609
CODEN: APHRDQ; ISSN: 0253-6269
PUBLISHER: Pharmaceutical Society of Korea
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



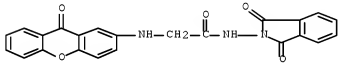
I

AB A new series of 1,3,4-oxadiazoles, 1,3,4-thiadiazoles and 1,2,4-triazoles, pyrazoles, thiazoles, and imidazoles attached directly and/or indirectly to a xanthenone moiety at position 2 were synthesized. Some of the newly prepared compds. have schistosomicidal activity, the best activity being observed in pyrazoles I [R = Me, OH].

IT 202478-28-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of new xanthenone derivs. with antibilharzial activity)

RN 202478-28-2 ZCAPLUS

CN Acetamide, N-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-2-[(9-oxo-9H-xanthen-2-yl)amino]- (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L128 ANSWER 33 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:587674 ZCAPLUS Full-text

DOCUMENT NUMBER: 127:278069

TITLE: Preparation of N-[(2-aminocarbonyl)phenyl]-N-[(N-methylanilino)carbonylmethyl]-2-[3-(3-methylphenyl)ureido]acetamides as CCK and gastrin receptor antagonists

INVENTOR(S): Shimamura, Hiroshi; Kamisaki, Toshiaki; Tanaka, Yuji; Takahashi, Kazuyoshi

PATENT ASSIGNEE(S): Morishita Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

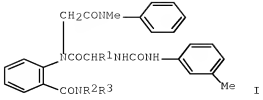
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09227494	A	19970902	JP 1996-61729	19960222 <--
PRIORITY APPLN. INFO.:			JP 1996-61729	19960222 <--

OTHER SOURCE(S): MARPAT 127:278069

GI



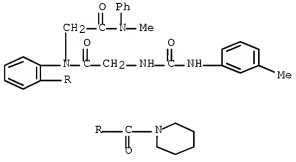
I

AB Title compds. I (R1 = H, lower alkyl, PhCH2; R2, R3 = H, lower alkyl, Ph; R2R3 may form C4-6 alkylene), useful for treatment of anxiety, hypophagia, ulcer, pancreatitis, and other diseases associated with CCK or gastrin receptors, are prepared 2-Amino-N-[2-(isopropylaminocarbonyl)phenyl]-N-[(N-methylanilino)carbonylmethyl]acetamide was treated with 3-methylphenyl isocyanate in THF at room temperature for 24 h to give 78% N-[2-(isopropylaminocarbonyl)phenyl]-N-[(N-methylanilino)carbonylmethyl]-2-[3- (3-methylphenyl)ureido]acetamide, which inhibited binding of CCK-A, CCK-B, and gastrin to their receptors with IC50 of 2300, 70, and 7.5 nM, resp.

IT 195967-58-9P 195967-62-5P 195967-63-6P
195967-66-9P
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (phenylureido)acetamides as CCK and gastrin receptor antagonists)

RN 195967-58-9 ZCAPLUS

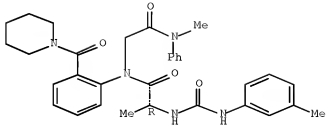
CN Glycinamide, N-[[(3-methylphenyl)amino]carbonyl]glycyl-N-methyl-N-phenyl-N2-[2-(1-piperidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 195967-62-5 ZCAPLUS

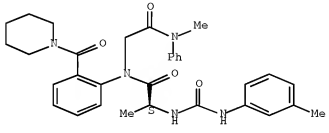
CN Glycinamide, N-[[(3-methylphenyl)amino]carbonyl]-D-alanyl-N-methyl-N-phenyl-N2-[2-(1-piperidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



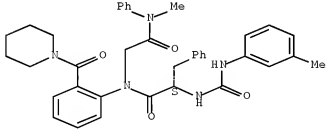
RN 195967-63-6 ZCAPLUS
CN Glycinamide, N-[[(3-methylphenyl)amino]carbonyl]-L-alanyl-N-methyl-N-phenyl-N2-[2-(1-piperidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



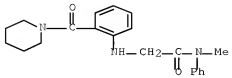
RN 195967-66-9 ZCAPLUS
CN Glycinamide, N-[[(3-methylphenyl)amino]carbonyl]-L-phenylalanyl-N-methyl-N-phenyl-N2-[2-(1-piperidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



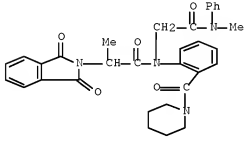
IT 195967-72-7P 195967-73-8P 195967-74-9P
195967-77-2P 195967-78-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (phenylureido)acetamides as CCK and gastrin receptor antagonists)
RN 195967-72-7 ZCAPLUS

CN Acetamide, N-methyl-N-phenyl-2-[[2-(1-piperidinylcarbonyl)phenyl]amino]-
(CA INDEX NAME)



RN 195967-73-8 ZCAPLUS

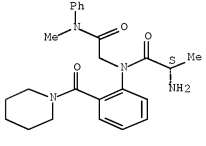
CN 2H-Isoindole-2-acetamide, 1,3-dihydro-α-methyl-N-[2-
(methylphenylamino)-2-oxoethyl]-1,3-dioxo-N-[2-(1-
piperidinylcarbonyl)phenyl]- (CA INDEX NAME)



RN 195967-74-9 ZCAPLUS

CN Glycinamide, L-alanyl-N-methyl-N-phenyl-N2-[2-(1-
piperidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

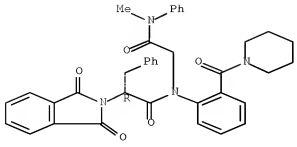
Absolute stereochemistry.



RN 195967-77-2 ZCAPLUS

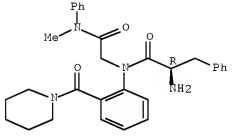
CN 2H-Isoindole-2-acetamide, 1,3-dihydro-N-[2-(methylphenylamino)-2-oxoethyl]-
1,3-dioxo-α-(phenylmethyl)-N-[2-(1-piperidinylcarbonyl)phenyl]-,
(R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 195967-78-3 ZCAPLUS
CN Glycinamide, D-phenylalanyl-N-methyl-N-phenyl-N2-[2-(1-piperidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

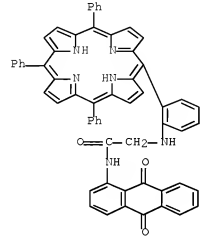


L128 ANSWER 34 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:575754 ZCAPLUS Full-text
DOCUMENT NUMBER: 127:301131
TITLE: Study of intramolecular electron transfer of porphyrin-anthraquinone under photoinduction. (I). Fluorescence method
AUTHOR(S): Wang, Xing-Qiao; Wang, Cong-Xiao; Wang, Qing-Min; Yu, Lian-Xiang; Cao, Xi-Zhang; Min, Chun-Zong; Wang, Li-Ping
CORPORATE SOURCE: Dep. Chem., Jilin Univ., Changchun, 130023, Peop. Rep. China
SOURCE: Gaodeng Xuexiao Huaxue Xuebao (1997), 18(6), 834-839
CODEN: KTHPDM; ISSN: 0251-0790
PUBLISHER: Gaodeng Jiaoyu Chubanshe
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
AB In this paper, the fluorescence spectra of porphyrin anthraquinone, porphyrin-anthraquinone Zn(II), and parent porphyrin were studied by means of fluorescence. The energy of singlet excited state(Es), fluorescence quantum yield and quench percentage were estimated It is demonstrated by the data of fluorescence quench that the intramol. electron transfer, which leads to the formation of intramol. elec. charge separating-state, occurred under excitation of light indeed. In the meantime, the effects of axial coordination and solvent on fluorescence property of PAQ compds. were studied.
IT 197097-98-6 197097-99-7 197098-00-3
RL: PRP (Properties)

(study of intramol. electron transfer of)

RN 197097-98-6 ZCAPLUS

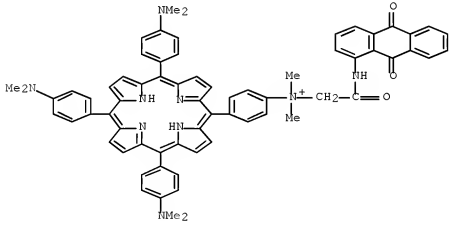
CN Acetamide, N-(9,10-dihydro-9,10-dioxo-1-anthracenyl)-2-[[2-(10,15,20-triphenyl-21H,23H-porphin-5-yl)phenyl]amino]- (CA INDEX NAME)



RN 197097-99-7 ZCAPLUS

CN Benzenaminium, N-[2-[(9,10-dihydro-9,10-dioxo-1-anthracenyl)amino]-2-oxoethyl]-N,N-dimethyl-4-[10,15,20-tris[4-(dimethylamino)phenyl]-21H,23H-porphin-5-yl]-, bromide (9CI) (CA INDEX NAME)

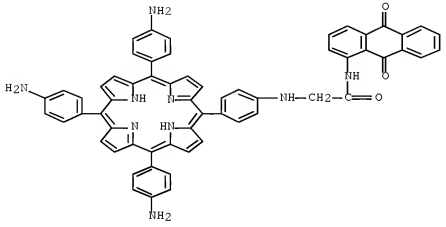
PAGE 1-A



PAGE 2-A

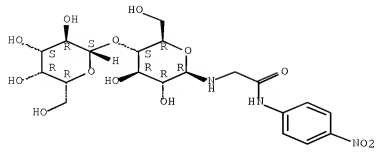
● Br⁻

RN 197098-00-3 ZCAPLUS
CN Acetamide, N-(9,10-dihydro-9,10-dioxo-1-anthracenyl)-2-[[4-[10,15,20-tris(4-aminophenyl)-21H,23H-porphin-5-yl]phenyl]amino]- (CA INDEX NAME)



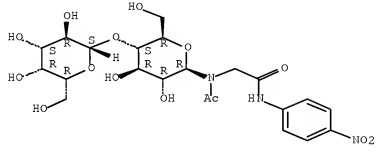
L128 ANSWER 35 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:216329 ZCAPLUS Full-text
DOCUMENT NUMBER: 126:305710
TITLE: New synthesis of glyco-amino acid conjugates
AUTHOR(S): Sdiqui, Nadia; Roche, Annie-Claude; Mayer, Roger; Monsigny, Michel
CORPORATE SOURCE: Centre de Biophysique Moleculaire, CNRS et Universite d'Orleans, Orleans, F-45071, Fr.
SOURCE: Carbohydrate Letters (1995), 1(4), 269-275
CODEN: CLETEC; ISSN: 1073-5070
PUBLISHER: Harwood
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 126:305710
AB Glycopeptides are useful compds. to analyze carbohydrate-protein interactions and biol. functions of glycosylation. They may also find applications in clin. research, as diagnostic tools or even as therapeutic agents. A one-pot synthesis of glyco-amino acid conjugates starting form free oligosaccharides and amino acid derivs. is described.
IT 189275-25-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(one-pot synthesis of glyco-amino acid conjugate starting from free oligosaccharide and amino acid derivative)
RN 189275-25-0 ZCAPLUS
CN Acetamide, 2-[(4-O-β-D-galactopyranosyl-β-D-glucopyranosyl)amino]-N-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 189275-26-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(one-pot synthesis of glyco-amino acid conjugate starting from free oligosaccharide and amino acid derivative)
RN 189275-26-1 ZCAPLUS
CN Acetamide, N-(4-O-β-D-galactopyranosyl-β-D-glucopyranosyl)-N-[2-[(4-nitrophenyl)amino]-2-oxoethyl]- (CA INDEX NAME)

Absolute stereochemistry.

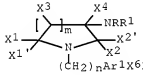


REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L128 ANSWER 36 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:134849 ZCAPLUS Full-text
DOCUMENT NUMBER: 126:157509
TITLE: Preparation of substituted (sulfinic acid, sulfonic acid, sulfonylamino or sulfinylamino) N-[(aminoiminomethyl)phenylalkyl]azaheterocyclylamide compounds as Factor Xa inhibitors
INVENTOR(S): Ewing, William R.; Becker, Michael R.; Pauls, Henry W.; Cheney, Daniel L.; Mason, Jonathan Stephen; Spada, Alfred P.; Choi-Sledeski, Yong Mi
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 272 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9640679	A1	19961219	WO 1996-US9816	19960607 <--
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
US 5612353	A	19970318	US 1995-481024	19950607 <--
CA 2223403	A1	19961219	CA 1996-2223403	19960607 <--
CA 2223403	C	20020423		
AU 9661669	A	19961230	AU 1996-61669	19960607 <--
AU 714319	B2	20000106		
EP 853618	A1	19980722	EP 1996-919298	19960607 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LV, FI				
CN 1190395	A	19980812	CN 1996-194489	19960607 <--
JP 11507368	T	19990629	JP 1996-502029	19960607 <--
BR 9608405	A	19990824	BR 1996-8405	19960607 <--
AP 799	A	20000119	AP 1997-1144	19960607 <--
NO 9705762	A	19980206	NO 1997-5762	19971208 <--
NO 310457	B1	20010709		
BG 63628	B1	20020731	BG 1998-102162	19980106 <--
US 6034093	A	20000307	US 1998-130336	19980806 <--
PRIORITY APPLN. INFO.:			US 1995-481024 A	19950607 <--
			WO 1996-US9816 W	19960607 <--
			US 1996-761414 A2	19961206 <--
			US 1997-976034 A2	19971121 <--
			WO 1997-US22414 A2	19971201 <--
OTHER SOURCE(S):	MARPAT 126:157509			

GI



I

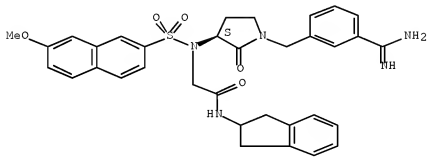
AB About 165 title compds. I [R = H, alkyl, aralkyl, hydroxyalkyl; R1 = H, R3S(O)p, R3R4NS(O)p; R2 = H, alkyl,aralkyl; R3 = alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, aralkyl; RR3 = 5-7 membered ring; R4 = alkyl, cycloalkyl, aryl, heteroaryl; R3R4N = 4-7 membered heterocyclyl; X1, X1' = H, alkyl, aryl, aralkyl, etc.; X1X1' = oxo; X2, X2' = H; X2X2' = O; X4 = H, alkyl, aralkyl, hydroxyalkyl; X5, X5' = H; X5X5' = NR5; R5 = H, R6O2C, R6O, cyano, R6CO, alkyl, NO2, etc.; X6, X6' = H, R7R8N, R9O, R7R8NCO, R7R8NSO2, etc.; R7, R8 = H, alkyl; R9 = H, alkyl, acyl, etc.; m = 0-3; n = 1-3; p = 1, 2] were prepared I are inhibitors of the activity of Factor Xa. E.g., 7-hydroxynaphthalene-2-sulfonic acid Na salt was methylated with di-Me sulfate/NaOH, treated with phosphorus oxychloride/PCl5, and reacted with 3-(3S-amino-2-oxopyrrolidin-1- ylmethyl)benzonitrile hydrochloride to give 7-hydroxynaphthalene-2- sulfonic acid {1-[3-(aminoiminomethyl)benzyl]-2-oxopyrrolidin-3(S)- yl}amide trifluoroacetate. In a test of Factor Xa inhibition, the last had a Ki value of 35 nM.

IT 186548-36-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted (sulfinic acid, sulfonic acid, sulfonylamino or sulfinylamino) N-[(aminoiminomethyl)phenylalkyl]azaheterocyclylamide compds. as Factor Xa inhibitors)
RN 186548-36-7 ZCAPLUS
CN Acetamide, 2-[[1-[[3-(aminoiminomethyl)phenyl]methyl]-2-oxo-3-pyrrolidinyl][(7-methoxy-2-naphthalenyl)sulfonyl]amino]-N-(2,3-dihydro-1H-inden-2-yl)-, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 186548-35-6
CMF C34 H35 N5 O5 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

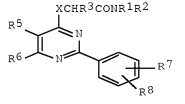
L128 ANSWER 37 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:753799 ZCAPLUS Full-text
DOCUMENT NUMBER: 126:18884
TITLE: Preparation and formulation of pyrimidine derivatives as agents with effect on the peripheral benzodiazepine receptors
INVENTOR(S): Murata, Teruya; Hino, Katsuhiko; Furukawa, Kiyoshi; Oka, Makoto; Itoh, Mari
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 110 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

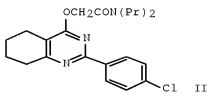
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9632383	A1	19961017	WO 1996-JP977	19960410 <--
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML				
IL 117659	A	20001206	IL 1996-117659	19960326 <--
ZA 9602438	A	19961001	ZA 1996-2438	19960327 <--
CA 2218033	A1	19961017	CA 1996-2218033	19960410 <--
AU 9652874	A	19961030	AU 1996-52874	19960410 <--
AU 694647	B2	19980723		
EP 826673	A1	19980304	EP 1996-909327	19960410 <--
EP 826673	B1	20021120		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
CN 1186487	A	19980701	CN 1996-194408	19960410 <--
CN 1094929	B	20021127		
BR 9604894	A	19980714	BR 1996-4894	19960410 <--
HU 9801688	A2	19990329	HU 1998-1688	19960410 <--
RU 2160256	C2	20001210	RU 1997-118591	19960410 <--
SK 281840	B6	20010806	SK 1997-1374	19960410 <--
CZ 289093	B6	20011017	CZ 1997-3223	19960410 <--
RO 117532	B1	20020430	RO 1997-1858	19960410 <--
AT 228113	T	20021215	AT 1996-909327	19960410 <--
PT 826673	T	20030228	PT 1996-909327	19960410 <--
ES 2187644	T3	20030616	ES 1996-909327	19960410 <--
TW 450963	B	20010821	TW 1996-85104372	19960412 <--
NO 9704685	A	19971212	NO 1997-4685	19971010 <--
NO 310619	B1	20010730		
US 5972946	A	19991026	US 1997-930604	19971014 <--

PRIORITY APPLN. INFO.: JP 1995-113937 A 19950413 <--
WO 1996-JP977 W 19960410 <--

OTHER SOURCE(S): MARPAT 126:18884
GI



I



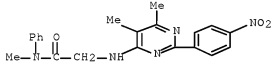
II

AB The title compds. I [X represents O or NR4; R1 represents H, lower alkyl, lower alkenyl or cycloalkyl(lower)alkyl; R2 represents lower alkyl,

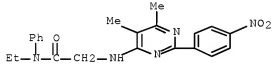
cycloalkyl, optionally substituted Ph, etc.; R3 represents H, lower alkyl or hydroxy(lower)alkyl; R4 represents H, lower alkyl, etc.; R5 represents hydroxy(lower)alkyl, etc.; R6 represents H, lower alkyl, CF3 or optionally substituted Ph, or R5 and R6 together form (CH2)n; n = 3 - 6; R7 represents H, halogeno, lower alkyl, lower alkoxy, CF3, OH, NH2, etc.; and R8 represents H, halogeno, lower alkyl or lower alkoxy] are prepared In an in vitro test for affinity for the peripheral benzodiazepine receptors, the title compound II in vitro showed IC50 of 0.89 nM.

IT 184107-92-4P 184108-15-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidine derivs. as agents with effect on peripheral benzodiazepine receptors)

RN 184107-92-4 ZCAPLUS
CN Acetamide, 2-[[5,6-dimethyl-2-(4-nitrophenyl)-4-pyrimidinyl]amino]-N-methyl-N-phenyl- (CA INDEX NAME)



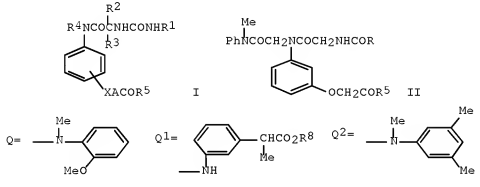
RN 184108-15-4 ZCAPLUS
CN Acetamide, 2-[[5,6-dimethyl-2-(4-nitrophenyl)-4-pyrimidinyl]amino]-N-ethyl-N-phenyl- (CA INDEX NAME)



L128 ANSWER 38 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:685277 ZCAPLUS Full-text
DOCUMENT NUMBER: 125:328308
TITLE: Preparation of N-(N-phenylcarbamoylmethyl)-N'-phenylurea derivatives as antagonists of gastrin and cholecystokinin (CCK) receptors
INVENTOR(S): Yokohama, Shuichi; Kawagoe, Keiichi; Takeda, Yasuyuki; Yokomizo, Yoshihiro; Yokomizo, Aki
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 291 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9628416	A1	19960919	WO 1996-JP611	19960312 <--
W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2214569	A1	19960919	CA 1996-2214569	19960312 <--
AU 9648913	A	19961002	AU 1996-48913	19960312 <--
EP 985660	A1	20000315	EP 1996-905068	19960312 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 3688714	B2	20050831	JP 1996-527466	19960312 <--
NO 9704233	A	19971112	NO 1997-4233	19970912 <--
US 5919824	A	19990706	US 1997-894799	19970912 <--
PRIORITY APPLN. INFO.:			JP 1995-54752 A	19950314 <--
			JP 1996-17634 A	19960202 <--
			WO 1996-JP611 W	19960312 <--
OTHER SOURCE(S):	MARPAT 125:328308			

GI



AB Aminophenol derivs. represented by general formula [I; X = O or S; A = linear or branched alkylene; R1 = (un)substituted Ph; R2, R3 = H, alkyl; R4 = (un)substituted alkyl or alkenyl; R5 = OH, alkoxy, aralkyl, aryl, (un)substituted cycloalkyl, NR6R7; wherein R6, R7 = H, alkoxy, (un)substituted alkyl, Ph, aralkyl, pyridyl, or thiazolyl; or NR6R7 forms a (un)substituted (un)saturated heterocyclic ring] or salts or optical isomers thereof, are prepared The compds. have a potent gastrin or CCK-A receptor antagonism and a high selectivity for one of the CCK-A and gastrin receptor and are particularly useful for the treatment or prevention of digestive tract diseases such as peptic ulcer, stomach inflammation, and cancer of rectum and colon or the treatment of central nervous diseases such as Zollinger-Ellison syndrome and anxiety. Thus, N-(1-imidazolyl)carbonylaminoacetamide derivative (II; R = 1-imidazolyl, R5 = Q) (preparation given) was condensed with Me (RS)-2-(3-aminophenyl)propionate in PhMe under reflux for 2 h to give the title compound II (R = Q1, wherein R8 = Me, R5 = Q). II (R = Q1, wherein R8 = Na, R5 = Q2) in vitro showed IC50 of 0.5 nM for inhibiting the binding of

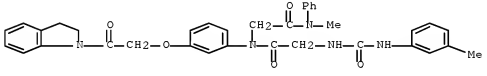
[125I]gastrin to CHO cells expressing human CCK-B/gastrin receptors and IC50 of 3,640 nM for inhibiting the binding of [125I]CCK-8 to CHO cells expressing human CCK-A receptor. The IC50 ratio of CCK-A/gastrin receptor was 7,280, indicating very high binding selectivity of the latter compound for gastrin receptor.

IT 183176-58-1P 183176-59-2P 183176-65-0P
183176-66-1P 183176-67-2P 183176-78-7P
183176-86-5P 183179-07-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(N-phenylcarbamoylmethyl)-N'-phenylurea derivs. as antagonists of gastrin and cholecystokinin receptors for disease treatment)

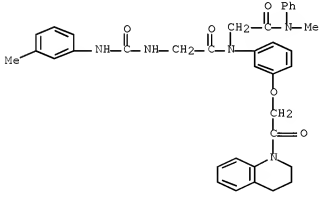
RN 183176-58-1 ZCAPLUS

CN Glycinamide, N-[[(3-methylphenyl)amino]carbonyl]glycyl-N2-[3-[2-(2,3-dihydro-1H-indol-1-yl)-2-oxoethoxy]phenyl]-N-methyl-N-phenyl- (9CI) (CA INDEX NAME)



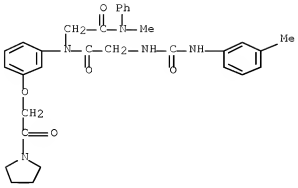
RN 183176-59-2 ZCAPLUS

CN Glycinamide, N-[[(3-methylphenyl)amino]carbonyl]glycyl-N2-[3-[2-(3,4-dihydro-1(2H)-quinolinyl)-2-oxoethoxy]phenyl]-N-methyl-N-phenyl- (9CI) (CA INDEX NAME)

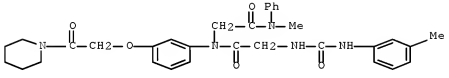


RN 183176-65-0 ZCAPLUS

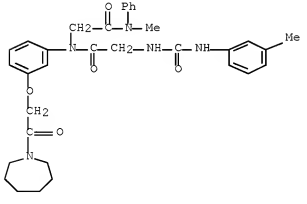
CN Glycinamide, N-[[(3-methylphenyl)amino]carbonyl]glycyl-N-methyl-N2-[3-[2-oxo-2-(1-pyrrolidinyl)ethoxy]phenyl]-N-phenyl- (9CI) (CA INDEX NAME)



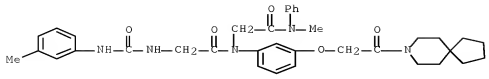
RN 183176-66-1 ZCAPLUS
CN Glycinamide, N-[[(3-methylphenyl)amino]carbonyl]glycyl-N-methyl-N2-[3-[2-oxo-2-(1-piperidinyl)ethoxy]phenyl]-N-phenyl- (9CI) (CA INDEX NAME)



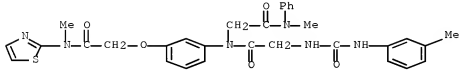
RN 183176-67-2 ZCAPLUS
CN Glycinamide, N-[[(3-methylphenyl)amino]carbonyl]glycyl-N2-[3-[2-(hexahydro-1H-azepin-1-yl)-2-oxoethoxy]phenyl]-N-methyl-N-phenyl- (9CI) (CA INDEX NAME)



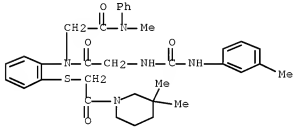
RN 183176-70-7 ZCAPLUS
CN Glycinamide, N-[[(3-methylphenyl)amino]carbonyl]glycyl-N2-[3-[2-(8-azaspiro[4.5]dec-8-yl)-2-oxoethoxy]phenyl]-N-methyl-N-phenyl- (9CI) (CA INDEX NAME)



RN 183176-86-5 ZCAPLUS
CN Glycinamide, N-[[(3-methylphenyl)amino]carbonyl]glycyl-N-methyl-N2-[3-[2-(methyl-2-thiazolylamino)-2-oxoethoxy]phenyl]-N-phenyl- (9CI) (CA INDEX NAME)



RN 183179-07-9 ZCAPLUS
CN Glycinamide, N-[[(3-methylphenyl)amino]carbonyl]glycyl-N2-[2-[[2-(3,3-dimethyl-1-piperidinyl)-2-oxoethyl]thio]phenyl]-N-methyl-N-phenyl- (9CI) (CA INDEX NAME)



L128 ANSWER 39 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:476652 ZCAPLUS Full-text
DOCUMENT NUMBER: 125:142578
TITLE: Pyridopyrimidones, quinolines and fused N-heterocycles as bradykinin antagonists.
INVENTOR(S): Oku, Teruo; Kayakiri, Hiroshi; Satoh, Shigeki; Abe, Yoshito; Sawada, Yuki; Inoue, Takayuki; Tanaka, Hirokazu
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 263 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9613485	A1	19960509	WO 1995-JP2192	19951025 <--
W: AU, CA, CN, HU, JP, KR, MX, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2203659	A1	19960509	CA 1995-2203659	19951025 <--
AU 9537536	A	19960523	AU 1995-37536	19951025 <--
AU 705883	B2	19990603		
EP 807105	A1	19971119	EP 1995-935563	19951025 <--
EP 807105	B1	20040616		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
CN 1168667	A	19971224	CN 1995-196602	19951025 <--
JP 10507764	T	19980728	JP 1996-514166	19951025 <--
JP 3697486	B2	20050921		
AT 269310	T	20040715	AT 1995-935563	19951025 <--
ES 2218554	T3	20041116	ES 1995-935563	19951025 <--
US 5994368	A	19991130	US 1997-809416	19970425 <--
PRIORITY APPLN. INFO.:			GB 1994-21684 A	19941027 <--
			GB 1995-12339 A	19950616 <--
			WO 1995-JP2192 W	19951025 <--
OTHER SOURCE(S):		MARPAT 125:142578		

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

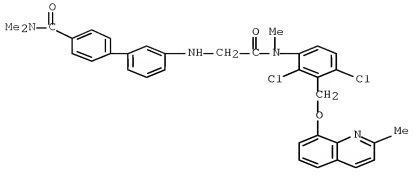
AB The invention relates to title compds. I [Z = group Q1 or Q2; X1 = N or CR1; X2 = N or CR9; X3 = N or CR2; R1 = alkyl; R2 = H, (un)substituted alkyl, alkoxy, halo, aryl, amino, etc.; R3 = H, alkyl, alkoxy, halo; R4 = alkyl, alkoxy, halo; R5 = OH, nitro, (un)substituted alkoxy, substituted piperazinyl, NR6R7; R6 = H, alkyl; R7 = H, alkoxycarbonyl, (un)substituted aroyl, carbamoyl, -(AA)COQR8, -(AA)R10; R8 = (un)substituted arylthio, aryloxy, arylamino, heterocyclylthio, heterocyclylamino, etc.; R9 = H, alkyl; R10 = H, acylbiphenyl; A = alkylene; (AA) = amino acid; Y = O, NR11; R11 = H, N-protective group], and pharmaceutically acceptable salts thereof, processes for their preparation, pharmaceutical compns., and therapeutic use in the prevention and/or the treatment of bradykinin-mediated diseases. Such diseases include allergy, inflammation, autoimmune disease, shock, and pain. For instance, amidation of 8-[3-(N-glycyl-N-methylamino)-2,6-dichlorobenzyloxy]-2- methylquinoline with (E)-3-[6-(ethoxycarbonyl)-3-pyridyl]acrylic acid [prepns. given] using EDC and HOBt in DMF gave title compound II. The similarly prepared title compound III.HCl gave 100% inhibition of [3H]-bradykinin binding to rat ileum receptors in vitro at 10-6 M.

IT 179625-12-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridopyrimidones, quinolines, and fused N-heterocycles as bradykinin antagonists)

RN 179625-12-8 ZCAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, 3'-[[2-[[2,4-dichloro-3-[[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-N,N-dimethyl- (CA INDEX NAME)



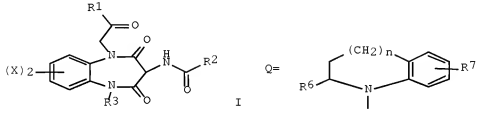
L128 ANSWER 40 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1995:998135 ZCAPLUS Full-text
DOCUMENT NUMBER: 124:176160
TITLE: Preparation of CCK or gastrin modulating 5-heterocyclyl-1,5-benzodiazepinediones
INVENTOR(S): Aquino, Christopher Joseph; Sugg, Elizabeth Ellen; Szewczyk, Jerzy Ryszard
PATENT ASSIGNEE(S): Glaxo Wellcome Inc., USA
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

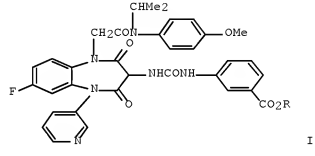
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9528419	A1	19951026	WO 1995-US4163	19950412 <--
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TT, UA				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2186900	A1	19951026	CA 1995-2186900	19950412 <--
AU 9522390	A	19951110	AU 1995-22390	19950412 <--
AU 697349	B2	19981001		
ZA 9503005	A	19960320	ZA 1995-3005	19950412 <--
EP 756602	A1	19970205	EP 1995-915540	19950412 <--
EP 756602	B1	19990630		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
HU 76135	A2	19970630	HU 1996-2835	19950412 <--
BR 9507381	A	19970923	BR 1995-7381	19950412 <--
JP 09511223	T	19971111	JP 1995-516406	19950412 <--
CN 1176646	A	19980318	CN 1995-193582	19950412 <--
AT 181737	T	19990715	AT 1995-915540	19950412 <--
ES 2135722	T3	19991101	ES 1995-915540	19950412 <--
CZ 286764	B6	20000614	CZ 1996-2972	19950412 <--
RU 2152939	C1	20000720	RU 1996-121555	19950412 <--
PL 180026	B1	20001229	PL 1995-316870	19950412 <--
SK 281433	B6	20010312	SK 1996-1300	19950412 <--
IL 113365	A	19991130	IL 1995-113365	19950413 <--

FI 9604045	A	19961009	FI 1996-4045		19961009	<--
NO 9604348	A	19961202	NO 1996-4348		19961011	<--
US 5739129	A	19980414	US 1996-722191		19961011	<--
PRIORITY APPLN. INFO.:			GB 1994-7433	A	19940414	<--
			GB 1994-20783	A	19941014	<--
			WO 1995-US4163	W	19950412	<--

OTHER SOURCE(S): MARPAT 124:176160

GI



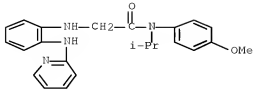


AB The title compds. [I; X = H, CF3, alkyl, alkylthio, alkoxy, halo; R1 = Q, disubstituted NH2; R6 = H, Me; R7 = H, OH, F, dimethylamino, alkoxy, benzyloxy; R2 = (un)substituted 2-heterocyclyl, Ph, or pyridyl, 7-indazolylamino, PhNH optionally substituted on Ph; R3 = (un)substituted heterocyclyl] and physiol. salts thereof, which exhibit agonist activity for CCK-A receptors and thereby enable them to modulate the hormones gastrin and CCk in mammals, are prepared Thus, a solution of 84 mg 2-(3-amino-7-fluoro-2,4-dioxo-5-pyridin-3-yl-2,3,4,5- tetrahydrobenzo[b][1,4]diazepin-1-yl)-N-isopropyl-N-(4- methoxyphenyl)acetamide in 4 mL MeCN was combined with 59 mg tert-Bu 3-[(4-nitrophenyl)oxycarbonyl]aminobenzoate and heated under reflux for 3 h to give the title compound tert-Bu ester (II; R = tert-butyl), which was stirred with CF3CO2H for 1.5 h to give II.CF3CO2H (R = H). In guinea pig gall bladder contraction assay, the title compds. I at 1 μM gave 32-96% sulfated CCK-8 maximal response.

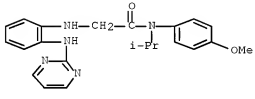
IT 173944-70-2P 173944-72-5P 173944-73-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of CCK- or gastrin-modulating heterocyclylbenzodiazepinediones as CCK-A receptor agonists)

RN 173944-70-2 ZCAPLUS

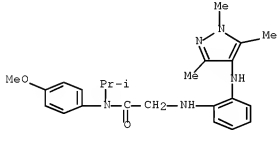
CN Acetamide, N-(4-methoxyphenyl)-N-(1-methylethyl)-2-[[2-(2-pyridinylamino)phenyl]amino]- (CA INDEX NAME)



RN 173944-73-5 ZCAPLUS
CN Acetamide, N-(4-methoxyphenyl)-N-(1-methylethyl)-2-[[2-(2-pyrimidinylamino)phenyl]amino]- (CA INDEX NAME)



RN 173944-78-0 ZCAPLUS
CN Acetamide, N-(4-methoxyphenyl)-N-(1-methylethyl)-2-[[2-[(1,3,5-trimethyl-1H-pyrazol-4-yl)amino]phenyl]amino]- (CA INDEX NAME)



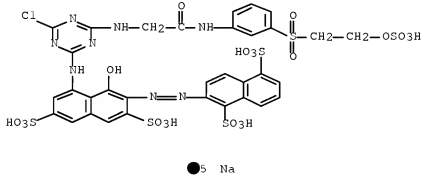
L128 ANSWER 41 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1995:831812 ZCAPLUS Full-text
DOCUMENT NUMBER: 123:259728
TITLE: Design and properties of reactive dyes with heterobifunctional reactive systems
AUTHOR(S): Omura, Takashi; Yokogawa, Kazufumi; Kayane, Yutaka; Tezuka, Yasuo
CORPORATE SOURCE: Fine Chem. Res. Lab., Sumitomo Chem. Co., Ltd., Osaka, 554, Japan
SOURCE: Dyes and Pigments (1995), 29(1), 1-21
CODEN: DYPIDX; ISSN: 0143-7208
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Azo dyes with two different reactive groups have been investigated for establishing the mol. design concept of heterobifunctional reactive dyes. The β-sulfatoethylsulfonyl/monochlorotriazinyl combined reactive system on the same side of a chromogen offers great flexibility in mol. engineering techniques for the dyes, making it possible to achieve improved application properties on cotton. The bridge links between the two reactive groups and between the chromogen and the triazine play a vital role in optimizing the system. Heterobifunctional dyes with a 4-chloro-5-[3-(β-sulfatoethylsulfonyl)anilino]-1,3,5-triazin-2- ylamino group show the best overall picture with respect to application properties, permitting the conclusion that their distinct advantages over comparable mono- and homobifunctional dyes can be achieved by cooperative functions of these structural units.

IT 169135-38-0
RL: TEM (Technical or engineered material use); USES (Uses)
(design and properties of reactive azo dyes with heterobifunctional reactive systems)

RN 169135-38-0 ZCAPLUS

CN 1,5-Naphthalenedisulfonic acid, 2-[[8-[[4-chloro-6-[[2-oxo-2-[[3-[[2-(sulfooxy)ethyl]sulfonyl]phenyl]amino]ethyl]amino]-1,3,5-triazin-2-yl]amino]-1-hydroxy-3,6-disulfo-2-naphthalenyl]azo]-, pentasodium salt (9CI) (CA INDEX NAME)



●5 Na

L128 ANSWER 42 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	1995:481888 ZCAPLUS Full-text
DOCUMENT NUMBER:	122:230143
TITLE:	Electrophilic N-Benzylnaltrindoles as δ Opioid Receptor-Selective Antagonists
AUTHOR(S):	Korlipara, Vijaya L.; Takemori, Akira E.; Portoghese, Philip S.
CORPORATE SOURCE:	College of Pharmacy, University of Minnesota, Minneapolis, MN, 55455, USA
SOURCE:	Journal of Medicinal Chemistry (1995), 38(8), 1337-43 CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER:	American Chemical Society
DOCUMENT TYPE:	Journal
LANGUAGE:	English

AB The N-benzyl group of N-benzylnaltrindole (BNTI), a potent and selective δ2 opioid receptor antagonist, was employed as a scaffold to hold electrophilic moieties (isothiocyanate and haloacetamide) in an effort to obtain selective affinity labels. The corresponding acetamide derivs. also were synthesized to

serve as nonelectrophilic controls. The o- and p-isothiocyanates and the haloamides were selective δ opioid receptor antagonists in the mouse vas deferens (MVD) prepns., while the meta isomer was a δ-selective full agonist (IC50 = 5 nM). The fact that the effect of o- and p-isothiocyanates was found to increase as a function of time in MVD suggests a covalent mechanism for the wash resistant component. The m-isothiocyanate was a δ-selective and irreversible agonist in the MVD, and it is suggested that it may be covalently binding to an agonist recognition site. In the mouse abdominal stretch antinociceptive assay, o- and p-isothiocyanates and a haloamide derivative were δ-selective antagonists but exhibited δ2/δ1 selectivity ratios lower than that of BNTI.

IT 162439-83-0P

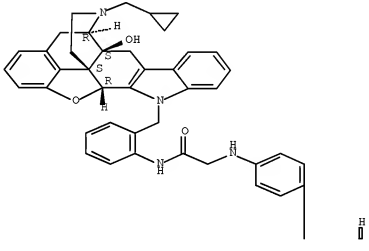
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and structure activity relations of electrophilic benzylnaltrindoles as δ opioid receptor-selective antagonists)

RN 162439-83-0 ZCAPLUS

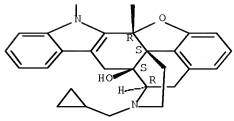
CN Acetamide, N-[2-[[(4bS,8R,8aS,14bR)-7-(cyclopropylmethyl)-6,7,8,8a,9,14b-hexahydro-4,8-methanobenzofuro[2,3-a]pyrido[4,3-b]carbazol-14(5H)-yl]methyl]phenyl]-2-[4-[[(4bS,8R,8aS,14bR)-7-(cyclopropylmethyl)-6,7,8,8a,9,14b-hexahydro-4,8-methanobenzofuro[2,3-a]pyrido[4,3-b]carbazol-14(5H)-yl]phenyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

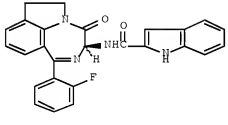
PAGE 1-A



PAGE 2-A



L128 ANSWER 43 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1995:439547 ZCAPLUS Full-text
DOCUMENT NUMBER: 123:198771
TITLE: Studies on a novel, potent and orally effective cholecystokinin A antagonist, FK-480. Synthesis and structure-activity relationships of FK-480 and related compounds
AUTHOR(S): Satoh, Yoshinari; Matsuo, Teruaki; Sogabe, Hajime; Itoh, Harunobu; Tada, Toshiji; Kinoshita, Takayoshi; Yoshida, Keizou; Takaya, Takao
CORPORATE SOURCE: New Drug Research Labs., Fujisawa Pharmaceutical Co., Ltd., Osaka, 532, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1994), 42(10), 2071-83
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB Tricyclic 1,4-benzodiazepine derivs. were prepared as cholecystokinin (CCK) A antagonists, which were evaluated preliminarily for inhibition of 125I-CCK-8 binding to rate pancreatic membranes in vitro and inhibiting effect on CCK-8-induced inhibition of charcoal meal gastric emptying in mice. On the basis of structure-activity relationship studies, as well as the stability and availability of the starting materials of those compds., (S)-N-[1-(2-fluorophenyl)-3,4,6,7-tetrahydro-4-oxo-pyrrolo[3,2,1- jk][1,4]benzodiazepin-3-yl]-1H-indole-2-carboxamide (FK-480) (I) was selected as a candidate compound for further evaluation. The absolute configuration of the precursor of FK-480, (3S)-amino-1,4-benzodiazepine derivative was determined by an x-ray crystallog. study of its ureido derivative with (S)-α-methylbenzyl isocyanate.

FK-480 is now undergoing clin. studies for the treatment of chronic pancreatitis.

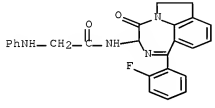
IT 167645-29-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of FK-480 analogs as cholecystokinin A antagonists)

RN 167645-29-6 ZCAPLUS

CN Acetamide, N-[1-(2-fluorophenyl)-3,4,6,7-tetrahydro-4-oxopyrrolo[3,2,1-jk][1,4]benzodiazepin-3-yl]-2-(phenylamino)- (CA INDEX NAME)



L128 ANSWER 44 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:64076 ZCAPLUS Full-text

DOCUMENT NUMBER: 122:56013

TITLE: Triazines: 2-(2'-anilino-1',3',4'-thiadiazol-5'-ylthio)-4,6-di(N-arylcarbamoylmethylamino)-s-triazines

AUTHOR(S): Parasharya, P. M.; Shah, V. H.; Parikh, A. R.

CORPORATE SOURCE: Chemistry Department, Saurashtra University, Rajkot, 360 005, India

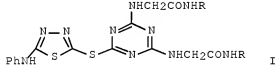
SOURCE: Journal of the Institution of Chemists (India) (1993), 65(3), 106-7

CODEN: JOICA7; ISSN: 0020-3254

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

AB The title compds. I [R = (un)substituted Ph, 1-naphthyl, PhCH2] were prepared I showed good antibacterial and antifungal activity.

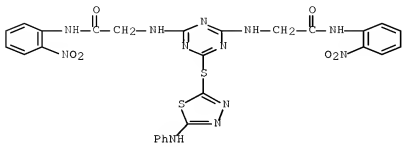
IT 159753-20-5P 159753-21-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of (anilinothiadiazolylthio)bis(arylcarbamoylmethylamino)-s-triazines as antibacterial and antifungal agents)

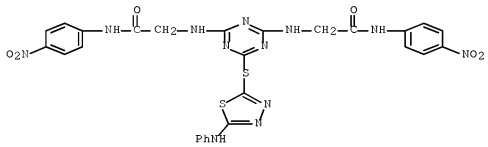
RN 159753-20-5 ZCAPLUS

CN Acetamide, 2,2'-[[6-[[5-(phenylamino)-1,3,4-thiadiazol-2-yl]thio]-1,3,5-triazine-2,4-diyl]diimino]bis[N-(2-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 159753-21-6 ZCAPLUS

CN Acetamide, 2,2'-[[6-[[5-(phenylamino)-1,3,4-thiadiazol-2-yl]thio]-1,3,5-triazine-2,4-diyl]diimino]bis[N-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



L128 ANSWER 45 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1994:700848 ZCAPLUS Full-text

DOCUMENT NUMBER: 121:300848

TITLE: Synthesis of some new 6-iodo-2-methyl-3-substituted-4(3H)-quinazolinones

AUTHOR(S): Mali, M

CORPORATE SOURCE: Faculty Science, Al-Azhar University, Cairo, Egypt

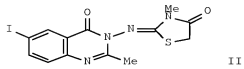
SOURCE: Proceedings of the Indian National Science Academy, Part A: Physical Sciences (1994), 60(3), 497-502
CODEN: PIPSBD; ISSN: 0370-0046

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 121:300848

GI



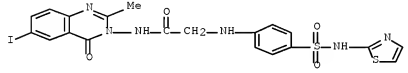
II

AB Some reactions of 6-iodo-2-methyl-3-amino-4(3H)-quinazolinone (I) are described. E.g., reaction of I with MeNCS, followed by cyclization with ClCH2CO2H, gave thiazolidinone derivative II.

IT 159048-73-4P 159048-74-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of iodomethylquinazolinone derivs.)

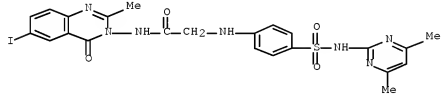
RN 159048-73-4 ZCAPLUS

CN Acetamide, N-(6-iodo-2-methyl-4-oxo-3(4H)-quinazolinyl)-2-[[4-[(2-thiazolylamino)sulfonyl]phenyl]amino]- (CA INDEX NAME)



RN 159048-74-5 ZCAPLUS

CN Acetamide, 2-[[4-[[(4,6-dimethyl-2-pyrimidinyl)amino]sulfonyl]phenyl]amino]-N-(6-iodo-2-methyl-4-oxo-3(4H)-quinazolinyl)- (CA INDEX NAME)



L128 ANSWER 46 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1994:579937 ZCAPLUS Full-text

DOCUMENT NUMBER: 121:179937

TITLE: Convenient syntheses of pyrroloiminoquinone and its lexitropsin-linked derivative

AUTHOR(S): Wang, Huiying; Al-Said, Naim H.; Lown, J. William

CORPORATE SOURCE: Dep. Chem., Univ. Alberta, Edmonton, AB, T6G 2G2, Can.

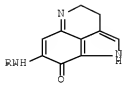
SOURCE: Tetrahedron Letters (1994), 35(24), 4085-6
CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 121:179937

GI



I

AB The syntheses of pyrroloiminoquinone chromophore I (R = H, Ph) and its lexitropsin carrier linked derivative designed to improved cellular uptake are described.

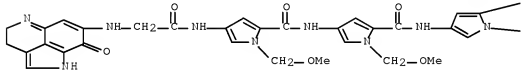
IT 157669-66-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

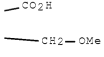
RN 157669-66-4 ZCAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 1-(methoxymethyl)-4-[[[1-(methoxymethyl)-4-[[[1-(methoxymethyl)-4-[[[(1,3,4,8-tetrahydro-8-oxopyrrolo[4,3,2-de]quinolin-7-yl)amino]acetyl]amino]-1H-pyrrol-2-yl]carbonyl]amino]-1H-pyrrol-2-yl]carbonyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



L128 ANSWER 47 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1993:212886 ZCAPLUS Full-text

DOCUMENT NUMBER: 118:212886

TITLE: Preparation of indolizine derivatives as testosterone 5α-reductase inhibitors

INVENTOR(S): Okada, Satoshi; Sawada, Kozo; Kuroda, Akio; Watanabe, Shinya; Tanaka, Hirokazu

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 64 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

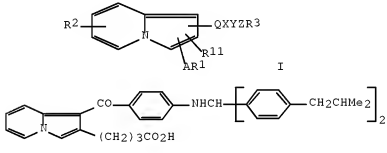
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
EP 519353	A2	19921223	EP 1992-109968	19920613	<--
EP 519353	A3	19930414			
EP 519353	B1	20000816			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE					
ZA 9203958	A	19930224	ZA 1992-3958	19920529	<--
US 5334716	A	19940802	US 1992-892453	19920602	<--
AT 195521	T	20000915	AT 1992-109968	19920613	<--
ES 2149160	T3	20001101	ES 1992-109968	19920613	<--
PT 519353	T	20001229	PT 1992-109968	19920613	<--
HU 61544	A2	19930128	HU 1992-1993	19920615	<--
CA 2071375	A1	19921218	CA 1992-2071375	19920616	<--
CA 2071375	C	20030211			
AU 9218270	A	19921224	AU 1992-18270	19920616	<--
AU 656197	B2	19950127			
CN 1067893	A	19930113	CN 1992-104790	19920616	<--
CN 1042226	B	19990224			
JP 05178856	A	19930720	JP 1992-157074	19920616	<--
RU 2120942	C1	19981027	RU 1992-5011971	19920616	<--
HU 9500394	A3	19950928	HU 1995-394	19950622	<--
GR 3034429	T3	20001229	GR 2000-402118	20000918	<--
PRIORITY APPLN. INFO.:			GB 1991-13027 A	19910617	<--
			GB 1991-20764 A	19910930	<--
			GB 1991-24345 A	19911115	<--
			GB 1992-3809 A	19920221	<--
OTHER SOURCE(S):		MARPAT 118:212886			

GI

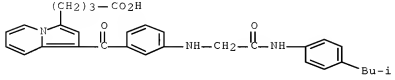


AB Title compds. I [R1 = HO2C, protected-HO2C; R2 = H, alkyl, halo; R3 = (substituted) aryl, aralkyl, -carbamoylalkyl, N-heterocyclyl, etc.; R11 = H, alkyl, A = (substituted) alkylene, alkenylene; Q = CO, alkylene; X = (substituted) Ph, furandiyl; Y = bond, alkylene; Z = alkylene, alkenylene, O, R6N wherein R6 = H, (substituted) alkyl, -aralkyl, protecting group] and their salts are prepared To Et 4-[1-(4-aminobenzoyl)indolizin-3- yl]butyrate (preparation given) in CH2Cl2 were added diisopropylethylamine and bis(4-isobutylphenyl)chlormethane in CH2Cl2 to give Et 4-[1-[4-[bis(4-isobutylphenyl)methylamino]benzoyl]indolizin-3-yl]butyrate to which was added 4N NaOH to give title compound II. II showed IC50 of 4.4 × 10-10 M against testosterone 5α-reductase.

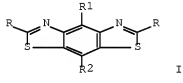
IT 146939-76-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, as testosterone reductase inhibitor)
RN 146939-76-6 ZCAPLUS
CN 3-Indolizinebutanoic acid, 1-[3-[[2-[[4-(2-methylpropyl)phenyl]amino]-2-oxoethyl]amino]benzoyl]- (CA INDEX NAME)



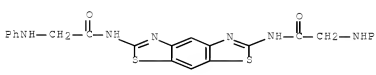
L128 ANSWER 48 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1992:59260 ZCAPLUS Full-text
DOCUMENT NUMBER: 116:59260
TITLE: Bis basic substituted diaminobenzobisthiazoles as potential antiarthritic agents
AUTHOR(S): Cullen, Ernest; Becker, Reinhold; Freter, Kurt; LeClerq, Thelma; Possanza, Genus; Wong, Hin Chor
CORPORATE SOURCE: Dep. Med. Chem., Boehringer Ingelheim Pharm., Inc., Ridgefield, CT, 06877, USA
SOURCE: Journal of Medicinal Chemistry (1992), 35(2), 350-61
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB A series of benzobisthiazoles, e.g. I [R = NHCOCH2NEt2, NHCOCH2N(CH2CH2OEt)2, NHCOCH2R3, R1 = R2 = H, R3 = 1-piperazinyl, etc.; R = NEtCOCH2NEt2, R1 = Br, R2 = H; NHCOCH2NEt2, R1 = R2 = Cl, etc.], were prepared and screened for antiinflammatory activity in the carrageenan paw edema and adjuvant arthritis tests. Thus, amination of I (R = NHCOCH2Cl, R1 = R2 = H) with NEt2 in dioxane gave I (R = NHCOCH2NEt2, R1 = R2 = H) (II) in 50% yield as well as a monoacylated product. II was found to inhibit the swelling of the injected paw in the prophylactic adjuvant arthritis model with an ED50 of 2.3 mg/kg orally. As with most compds. of this series, II was inactive in the acute model of inflammation, such as paw edema; like steroids, it showed activity in the granuloma pouch assay but did not inhibit cyclooxygenase, indicating a mode of action different from the classical nonsteroidal antiinflammatory drugs. At doses higher than those producing antiinflammatory activity, II had some immunoregulating properties.
IT 70175-73-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antiarthritic activity of)
RN 70175-71-2 ZCAPLUS
CN Acetamide, N,N'-benzo[1,2-d:5,4-d']bisthiazole-2,6-diylbis[2-(phenylamino)-
(9CI) (CA INDEX NAME)

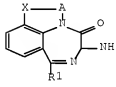


L128 ANSWER 49 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1990:515345 ZCAPLUS Full-text
DOCUMENT NUMBER: 113:115345
TITLE: Preparation of tricyclic benzodiazepine derivatives as cholecystokinin antagonists
INVENTOR(S): Sato, Yoshinari; Matuo, Teruaki; Ogahara, Takatomo
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 48 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

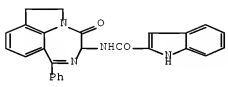
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 360079	A1	19900328	EP 1989-116504	19890907 <--
EP 360079	B1	19940202		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 8906335	A	19900530	ZA 1989-6335	19890818 <--
IL 91361	A	19941007	IL 1989-91361	19890820 <--
US 4981847	A	19910101	US 1989-396124	19890821 <--
AU 8940257	A	19900315	AU 1989-40257	19890825 <--
AU 628370	B2	19920917		
FI 8904169	A	19900310	FI 1989-4169	19890905 <--
FI 92401	B	19940729		
FI 92401	C	19941110		
JP 02111774	A	19900424	JP 1989-232643	19890906 <--
JP 06065673	B	19940824		
AT 101152	T	19940215	AT 1989-116504	19890907 <--
ES 2061848	T3	19941216	ES 1989-116504	19890907 <--
DK 8904447	A	19900310	DK 1989-4447	19890908 <--
NO 8903616	A	19900312	NO 1989-3616	19890908 <--
NO 171913	B	19930208		
NO 171913	C	19930519		
CN 1040981	A	19900404	CN 1989-107000	19890908 <--
CN 1022187	B	19930922		
HU 54152	A2	19910128	HU 1989-4800	19890908 <--
RU 2007406	C1	19940215	RU 1989-4742037	19890908 <--
US 5155101	A	19921013	US 1990-612955	19901115 <--
US 5248679	A	19930928	US 1992-919265	19920727 <--
US 5401737	A	19950328	US 1993-77607	19930723 <--
JP 07041480	A	19950210	JP 1994-7479	19940127 <--
JP 07048373	A	19950221	JP 1994-7480	19940127 <--

JP 2848230	B2	19990120		
US 5461048	A	19951024	US 1994-351164	19941130 <--
PRIORITY APPLN. INFO.:			GB 1988-21257	A 19880909 <--
			GB 1988-29265	A 19881215 <--
			US 1989-396124	A3 19890821 <--
			EP 1989-116504	A 19890907 <--
			US 1990-612955	A3 19901115 <--
			US 1992-919265	A3 19920727 <--
			US 1993-77607	A3 19930723 <--

OTHER SOURCE(S): MARPAT 113:115345
GI



I



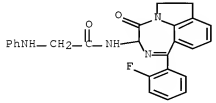
II

AB Title compds. I [R1 = (substituted) aryl; X = O, CHR3; R2 = H, acyl; R3 = H, alkyl; A = bond, (alkyl-substituted) alkylene] were prepared as cholecystokinin (CCK) antagonists for treatment or prevention of emesis, pancreatitis, etc. Thus, (3RS)-3,4,6,7-tetrahydro-3-hydroxy-4-oxo-1-phenylpyrrolo[3,2,1-jk][1,4]-benzodiazepine (preparation given) underwent mesylation and ammonolysis to give its 3-amino analog, which was coupled with indole-2-carboxylic acid using a carbodiimide reagent to give (indolylcarbonylamino)pyrrolobenzodiazepine derivative II. At 10-6 M in an assay using isolated fundic circular muscle from guinea pig stomach, the analog of II with R1 = 2-FC6H4 gave 99.4% inhibition of contractile force induced by CCK-8.

IT 167645-23-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as cholecystokinin antagonist)

RN 167645-29-6 ZCAPLUS

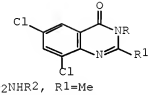
CN Acetamide, N-[1-(2-fluorophenyl)-3,4,6,7-tetrahydro-4-oxopyrrolo[3,2,1-jk][1,4]benzodiazepin-3-yl]-2-(phenylamino)- (CA INDEX NAME)



L128 ANSWER 50 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1989:530542 ZCAPLUS Full-text
DOCUMENT NUMBER: 111:130542
TITLE: Synthesis and screening of some newer

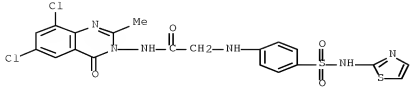
6,8-dichloro-2-methyl-3-(substituted)-4(3H)-quinazolinones as antimicrobial agents

AUTHOR(S): Mohamed, Y. A.; Ammar, Y. A.; El-Sharief, A. M. S.; Ahmed, H.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Nasr, Egypt
SOURCE: Proceedings of the Indian National Science Academy, Part A: Physical Sciences (1989), 55(1), 87-95
CODEN: PIPSBD; ISSN: 0370-0046
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 111:130542
GI

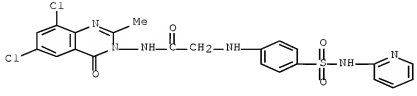


I, R=$C_6H_4SO_2NHR^2$, R^1=Me
II, R=$NHCOCH_2Cl$, R^1=Me
III, R=$NHCOCH_2NHR^2$, R^1=Me
IV, R=NH_2, R^1=Me
V, R= N=CHAr, R^1=Me
VI, R= N=CHAr, R^1=CH=CHAr
VII, R=CH_2COCl, R^1=Me
VIII, R=CH_2CONHR^2, R^1=Me
IX, R=4-oxo-2H-3,1-benzoxazinylmethyl, R^1=Me

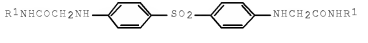
AB 6,8-Dichloro-2-methyl-3-(4-N-substituted sulfonamidophenyl)-4(3H)-quinazolinones (I, R2 = H, or heterocyclic or NHR2 = guanidino) were prepared by reaction of 6,8-dichloro-2-methyl-2H-3,1-benzoxazin-4-one with sulfonamides. Also, II was prepared and condensed with amines to give III (R2 = iso-Bu, CH2Ph, C6H4OMe-4, or sulfonamido group). Condensation of IV with aldehydes under different conditions gave V and VI. VII underwent condensation with amines to give VIII (R2 = aromatic or sulfonamido group). Cyclization of VIII(R2 = C6H4CO2H-2) with Ac2O gave IX. Some of these compds. showed antimicrobial activity.
IT 122417-83-8P 122417-84-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antimicrobial activity of)
RN 122417-83-8 ZCAPLUS
CN Acetamide, N-(6,8-dichloro-2-methyl-4-oxo-3(4H)-quinazolinyl)-2-[[4-[(2-thiazolylamino)sulfonyl]phenyl]amino]- (CA INDEX NAME)



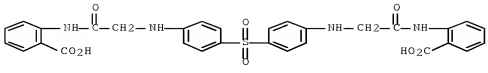
RN 122417-84-9 ZCAPLUS
CN Acetamide, N-(6,8-dichloro-2-methyl-4-oxo-3(4H)-quinazolinyl)-2-[[4-[(2-pyridinylamino)sulfonyl]phenyl]amino]- (CA INDEX NAME)



L128 ANSWER 51 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1989:23439 ZCAPLUS Full-text
DOCUMENT NUMBER: 110:23439
TITLE: Studies on aminoacetamides. Part I. Preparation and antimicrobial activity of p,p'-bis(arylamidomethylamino)diphenyl sulfones
AUTHOR(S): Meshkatalsadat, M. H.; Shahsafi, M. A.; Parekh, Hansa
CORPORATE SOURCE: Dep. Chem., Saurashtra Univ., Rajkot, 360 005, India
SOURCE: Journal of the Indian Chemical Society (1987), 64(12), 768-70
CODEN: JICSAH; ISSN: 0019-4522
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:23439
GI

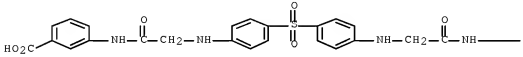


AB 4,4'-Sulfonylbis(anilinoacetic acid) was amidated by SOCl2 and R1NH2 (R1 = Ph, tolyl, anisyl, HO2CC6H4, ClC6H4, O2NC6H4, AcC6H4, PhCH2, naphthyl, BrC6H4, EtOC6H4, HOC6H4, antipyrinyl, EtO2CC6H4, HO3SC6H4) to give diamides I. Most I showed bactericidal and fungicidal activity.
IT 118061-83-9P 118061-84-0P 118061-85-1P
118061-89-5P 118061-90-8P 118061-91-9P
118061-92-0P 118061-93-1P 118061-96-4P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as bactericide and fungicide)
RN 118061-83-9 ZCAPLUS
CN Benzoic acid, 2,2'-[sulfonylbis[4,1-phenyleneimino(1-oxo-2,1-ethanediyl)imino]]bis- (9CI) (CA INDEX NAME)

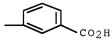


RN 118061-84-0 ZCAPLUS
CN Benzoic acid, 3,3'-[sulfonylbis[4,1-phenyleneimino(1-oxo-2,1-ethanediyl)imino]]bis- (9CI) (CA INDEX NAME)

PAGE 1-A

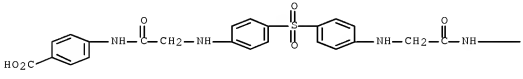


PAGE 1-B

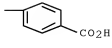


RN 118061-85-1 ZCAPLUS
CN Benzoic acid, 4,4'-[sulfonylbis[4,1-phenyleneimino(1-oxo-2,1-ethanediyl)imino]]bis- (9CI) (CA INDEX NAME)

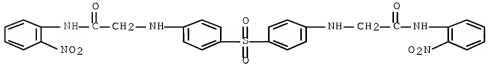
PAGE 1-A



PAGE 1-B

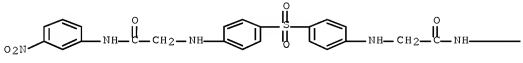


RN 118061-89-5 ZCAPLUS
CN Acetamide, 2,2'-[sulfonylbis(4,1-phenyleneimino)]bis[N-(2-nitrophenyl)-
(9CI) (CA INDEX NAME)

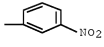


RN 118061-90-8 ZCAPLUS
CN Acetamide, 2,2'-[sulfonylbis(4,1-phenyleneimino)]bis[N-(3-nitrophenyl)-
(9CI) (CA INDEX NAME)

PAGE 1-A

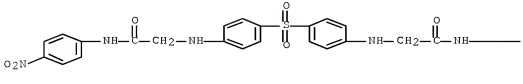


PAGE 1-B

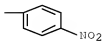


RN 118061-91-9 ZCAPLUS
CN Acetamide, 2,2'-[sulfonylbis(4,1-phenyleneimino)]bis[N-(4-nitrophenyl)-
(9CI) (CA INDEX NAME)

PAGE 1-A

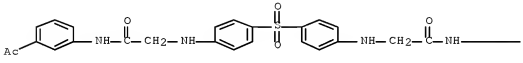


PAGE 1-B



RN 118061-92-0 ZCAPLUS
CN Acetamide, 2,2'-[sulfonylbis(4,1-phenyleneimino)]bis[N-(3-acetylphenyl)-
(9CI) (CA INDEX NAME)

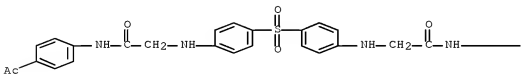
PAGE 1-A



PAGE 1-B

RN 118061-93-1 ZCAPLUS
CN Acetamide, 2,2'-[sulfonylbis(4,1-phenyleneimino)]bis[N-(4-acetylphenyl)-
(9CI) (CA INDEX NAME)

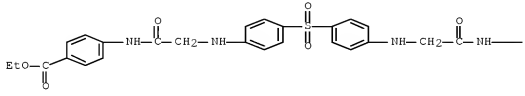
PAGE 1-A



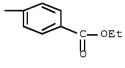
PAGE 1-B

RN 118061-96-4 ZCAPLUS
CN Benzoic acid, 4,4'-[sulfonylbis[4,1-phenyleneimino(1-oxo-2,1-ethanediyl)imino]]bis-, diethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



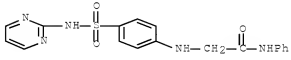
PAGE 1-B



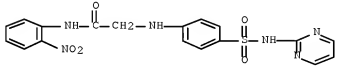
L128 ANSWER 52 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1988:610992 ZCAPLUS Full-text
DOCUMENT NUMBER: 109:210992
TITLE: Studies on acetamide derivatives. Part-II. Preparation, antimicrobial and anthelmintic activity of N-arylaminoacetylbenzimidazole/sulfadiazine or sulfamethazine and N-arylbenzimidazol-1-yl/sulfadiazin-4-yl or sulfamethazin-4-yl/acetamides
AUTHOR(S): Shah, V. H.; Chauhan, N. A.; Parikh, A. R.
CORPORATE SOURCE: Dep. Chem., Saurashtra Univ., Rajkot, 360 005, India
SOURCE: Journal of the Indian Chemical Society (1987), 64(11), 678-81
CODEN: JICSAH; ISSN: 0019-4522
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 109:210992
AB The preparation of 85 title heterocyclic compds., e.g. I or II (R = substituted phenyl), and results of their screening for antibacterial and anthelmintic activity, are reported. I were prepared by condensation of N-(chloroacetyl)benzimidazole with various aromatic amines. II were prepared by condensation of N-arylsulfadiazine with various N-chloroacetylated aromatic amines.
IT 116488-68-7P 116488-69-8P 116488-70-1P
116488-71-2P 116488-72-3P 116488-73-4P
116488-74-5P 116488-75-6P 116488-76-7P
116488-77-8P 116488-78-9P 116488-79-0P
116488-80-3P 116488-81-4P 116488-82-5P
116488-83-6P 116488-84-7P 116488-85-8P

116488-86-9P 116488-87-0P 116488-88-1P
116488-89-2P 116488-90-5P 116488-91-6P
116488-92-7P 116524-27-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, and antibacterial and anthelmintic activity of)

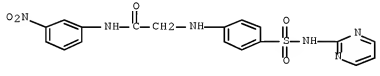
RN 116488-68-7 ZCAPLUS
CN Acetamide, N-phenyl-2-[[4-[(2-pyrimidinylamino)sulfonyl]phenyl]amino]- (CA INDEX NAME)



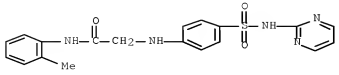
RN 116488-69-8 ZCAPLUS
CN Acetamide, N-(2-nitrophenyl)-2-[[4-[(2-pyrimidinylamino)sulfonyl]phenyl]amino]- (CA INDEX NAME)



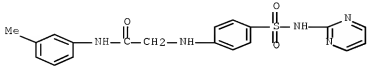
RN 116488-70-1 ZCAPLUS
CN Acetamide, N-(3-nitrophenyl)-2-[[4-[(2-pyrimidinylamino)sulfonyl]phenyl]amino]- (CA INDEX NAME)



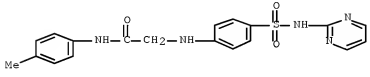
RN 116488-71-2 ZCAPLUS
CN Acetamide, N-(2-methylphenyl)-2-[[4-[(2-pyrimidinylamino)sulfonyl]phenyl]amino]- (CA INDEX NAME)



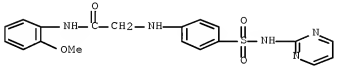
RN 116488-72-3 ZCAPLUS
CN Acetamide, N-(3-methylphenyl)-2-[[4-[(2-pyrimidinylamino)sulfonyl]phenyl]amino]- (CA INDEX NAME)



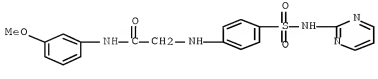
RN 116488-73-4 ZCAPLUS
CN Acetamide, N-(4-methylphenyl)-2-[[4-[(2-pyrimidinylamino)sulfonyl]phenyl]amino]- (CA INDEX NAME)



RN 116488-74-5 ZCAPLUS
CN Acetamide, N-(2-methoxyphenyl)-2-[[4-[(2-pyrimidinylamino)sulfonyl]phenyl]amino]- (CA INDEX NAME)

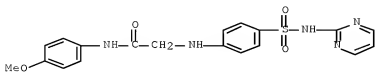


RN 116488-75-6 ZCAPLUS
CN Acetamide, N-(3-methoxyphenyl)-2-[[4-[(2-pyrimidinylamino)sulfonyl]phenyl]amino]- (CA INDEX NAME)



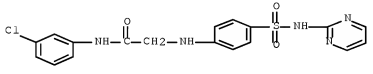
RN 116488-76-7 ZCAPLUS

CN Acetamide, N-(4-methoxyphenyl)-2-[[4-[(2-pyrimidinylamino)sulfonyl]phenyl]amino]- (CA INDEX NAME)



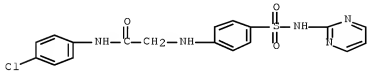
RN 116488-77-8 ZCAPLUS

CN Acetamide, N-(3-chlorophenyl)-2-[[4-[(2-pyrimidinylamino)sulfonyl]phenyl]amino]- (CA INDEX NAME)



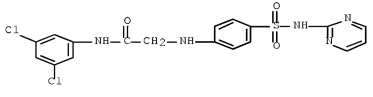
RN 116488-78-9 ZCAPLUS

CN Acetamide, N-(4-chlorophenyl)-2-[[4-[(2-pyrimidinylamino)sulfonyl]phenyl]amino]- (CA INDEX NAME)



RN 116488-79-0 ZCAPLUS

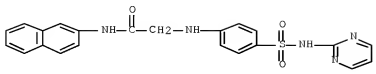
CN Acetamide, N-(3,5-dichlorophenyl)-2-[[4-[(2-pyrimidinylamino)sulfonyl]phenyl]amino]- (CA INDEX NAME)



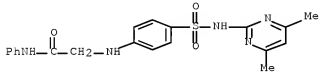
RN 116488-80-3 ZCAPLUS

CN Acetamide, N-2-naphthalenyl-2-[[4-[(2-pyrimidinylamino)sulfonyl]phenyl]ami

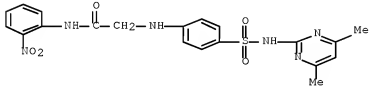
no]- (CA INDEX NAME)



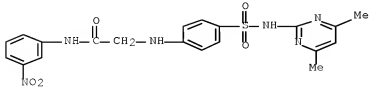
RN 116488-81-4 ZCAPLUS
CN Acetamide, 2-[[4-[[(4,6-dimethyl-2-pyrimidinyl)amino]sulfonyl]phenyl]amino]-N-phenyl- (CA INDEX NAME)



RN 116488-82-5 ZCAPLUS
CN Acetamide, 2-[[4-[[(4,6-dimethyl-2-pyrimidinyl)amino]sulfonyl]phenyl]amino]-N-(2-nitrophenyl)- (CA INDEX NAME)

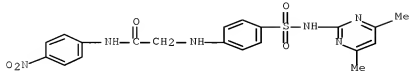


RN 116488-83-6 ZCAPLUS
CN Acetamide, 2-[[4-[[(4,6-dimethyl-2-pyrimidinyl)amino]sulfonyl]phenyl]amino]-N-(3-nitrophenyl)- (CA INDEX NAME)

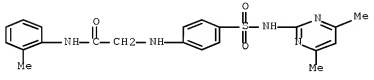


RN 116488-84-7 ZCAPLUS
CN Acetamide, 2-[[4-[[(4,6-dimethyl-2-pyrimidinyl)amino]sulfonyl]phenyl]amino

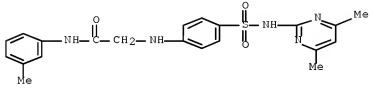
]-N-(4-nitrophenyl)- (CA INDEX NAME)



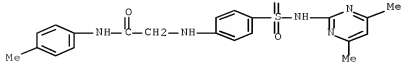
RN 116488-85-8 ZCAPLUS
CN Acetamide, 2-[[4-[[(4,6-dimethyl-2-pyrimidinyl)amino]sulfonyl]phenyl]amino
]-N-(2-methylphenyl)- (CA INDEX NAME)



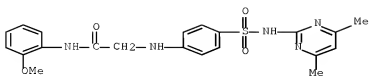
RN 116488-86-9 ZCAPLUS
CN Acetamide, 2-[[4-[[(4,6-dimethyl-2-pyrimidinyl)amino]sulfonyl]phenyl]amino
]-N-(3-methylphenyl)- (CA INDEX NAME)



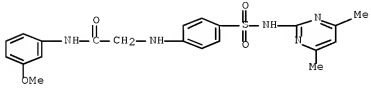
RN 116488-87-0 ZCAPLUS
CN Acetamide, 2-[[4-[[(4,6-dimethyl-2-pyrimidinyl)amino]sulfonyl]phenyl]amino
]-N-(4-methylphenyl)- (CA INDEX NAME)



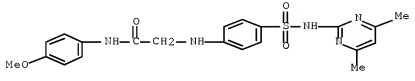
RN 116488-88-1 ZCAPLUS
CN Acetamide, 2-[[4-[[(4,6-dimethyl-2-pyrimidinyl)amino]sulfonyl]phenyl]amino
]-N-(2-methoxyphenyl)- (CA INDEX NAME)



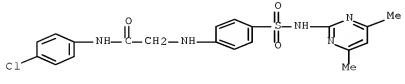
RN 116488-89-2 ZCAPLUS
CN Acetamide, 2-[[4-[[(4,6-dimethyl-2-pyrimidinyl)amino]sulfonyl]phenyl]amino]-N-(3-methoxyphenyl)- (CA INDEX NAME)



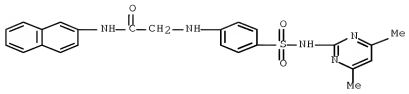
RN 116488-90-5 ZCAPLUS
CN Acetamide, 2-[[4-[[(4,6-dimethyl-2-pyrimidinyl)amino]sulfonyl]phenyl]amino]-N-(4-methoxyphenyl)- (CA INDEX NAME)



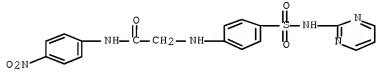
RN 116488-91-6 ZCAPLUS
CN Acetamide, N-(4-chlorophenyl)-2-[[4-[[(4,6-dimethyl-2-pyrimidinyl)amino]sulfonyl]phenyl]amino]- (CA INDEX NAME)



RN 116488-92-7 ZCAPLUS
CN Acetamide, 2-[[4-[[(4,6-dimethyl-2-pyrimidinyl)amino]sulfonyl]phenyl]amino]-N-2-naphthalenyl- (CA INDEX NAME)



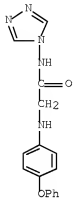
RN 116524-27-7 ZCAPLUS
CN Acetamide, N-(4-nitrophenyl)-2-[[4-[(2-pyrimidinylamino)sulfonyl]phenyl]amino]- (CA INDEX NAME)



L128 ANSWER 53 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1987:568618 ZCAPLUS Full-text
DOCUMENT NUMBER: 107:168618
TITLE: Pharmacological study of a series of α-aminoacetanilides with local anesthetic activity
AUTHOR(S): Colombo, M.; Gutierrez, B.; Fort, M.; Colombo, A.; Farre, A. J.
CORPORATE SOURCE: Lab. Dr. Esteve S. A., Barcelona, 08026, Spain
SOURCE: Revista de Farmacologia Clinica y Experimental (1987), 4(1), 41-7
CODEN: RFCEEC; ISSN: 0213-0157
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A series of 18 α-aminoacetanilide derivs. (ArNHCOC(R3)NR1R2) (I; R1 = alkyl, aryl, or alicyclic; R2 = Et or H; R3 = H or Me; Ar = aryl) related to lidocaine were screened for analgesic, anti-inflammatory, antidiarrheic, and local anesthetic properties. In mice, HOAC-induced writhing was inhibited by 2 I and acetylcholine bromide-induced writhing by 9. Only 2 I had anti-inflammatory activity against carrageenin-induced rat paw edema. Two other I had antidiarrheic activity. Fifteen of the derivs. had considerable local anesthetic activity. One derivative (I; R1 = PhCH:NC5H9; R2 = H; R3 = Me; Ar = 3-F3CC6H4) had local anesthetic activity greater than that of lidocaine in all tests.

IT 110690-53-4
RL: BIOL (Biological study)
(local anesthetic and analgesic and anti-inflammatory and antidiarrheic activity of)
RN 110690-53-4 ZCAPLUS
CN Acetamide, 2-[(4-phenoxyphenyl)amino]-N-4H-1,2,4-triazol-4-yl- (CA INDEX NAME)

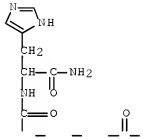


L128 ANSWER 54 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1985:216583 ZCAPLUS Full-text
DOCUMENT NUMBER: 102:216583
ORIGINAL REFERENCE NO.: 102:33895a,33898a
TITLE: Photoaffinity labeling of components of the apamin-sensitive potassium ion channel in neuronal membranes
AUTHOR(S): Seagar, Michael J.; Labbe-Jullie, Catherine; Granier, Claude; Van Rietschoten, Jurphaas; Couraud, Francois
CORPORATE SOURCE: Inst. Natl. Sante Rech. Med., Fac. Med., Marseille, 13326/15, Fr.
SOURCE: Journal of Biological Chemistry (1985), 260(7), 3895-8
CODEN: JBCHA3; ISSN: 0021-9258
DOCUMENT TYPE: Journal
LANGUAGE: English

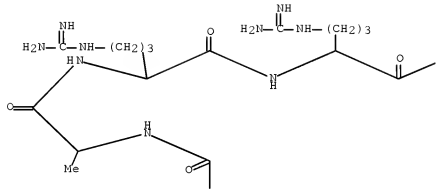
AB 4-Azido-2-nitrophenylaminoacetylmono[125I]iodoapamin [96518-36-4] was prepared which showed specific binding to rat neuronal membranes. UV photolysis lead to the irreversible occupation of binding sites. Photolabeling of intact primary cultured rat neurons following by membrane solubilization, SDS-polyacrylamide gel electrophoresis, and autoradiog. revealed the covalent incorporation of radioactivity into 3 main components with mol. weight (Mr) = 86,000, 30,000, and 23,000. Labeling was completely prevented by a competing excess of native apamin. Similar studies on purified synaptic membranes from the rat brain showed another labeling pattern with major bands corresponding to Mr = 86,000 and 59,000. Although the reasons for the partial discrepancy between cultured embryonic neurons and an adult brain membrane fraction are not yet clear, these proteins are intimately associated with the apamin binding site and are probably components of a type of Ca2+-activated K+ channel.

IT 96518-36-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of and binding to potassium channel of neuronal membrane)
RN 96518-36-4 ZCAPLUS
CN Apamin, N-[N-(4-azido-2-nitrophenyl)glycyl]-18-[(iodo-125I)-L-histidinamide]- (9CI) (CA INDEX NAME)

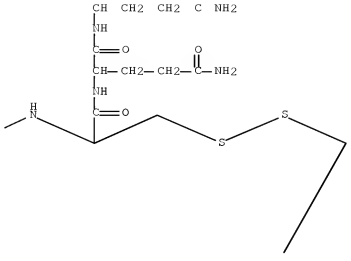
PAGE 1-B



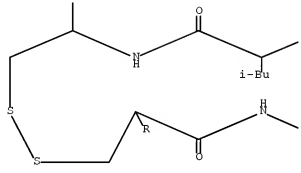
PAGE 2-A



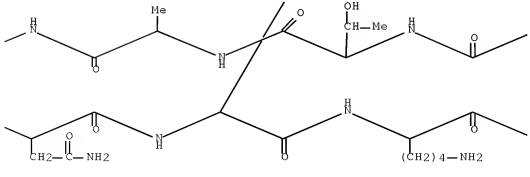
PAGE 2-B



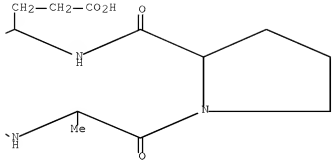
PAGE 3-A



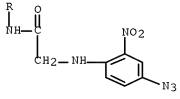
PAGE 3-B



PAGE 3-C

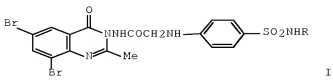


PAGE 4-A



D1—125I

L128 ANSWER 55 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1985:78818 ZCAPLUS Full-text
DOCUMENT NUMBER: 102:78818
ORIGINAL REFERENCE NO.: 102:12361a,12364a
TITLE: Synthesis and biological activities of N4[N-(6,8-dibromo-2-methyl-3-quinazolin-4(3H)-onyl)acetamido]-N1-substituted sulfanilamides
AUTHOR(S): Shanker, C. Ravi; Rao, A. Devender; Rao, A. Bhaskar; Reddy, V. Malla; Sattur, P. B.
CORPORATE SOURCE: Univ. Coll. Pharm. Sci., Kakatiya Univ., Warangal, 500 007, India
SOURCE: Current Science (1984), 53(20), 1069-71
CODEN: CUSCAM; ISSN: 0011-3891
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



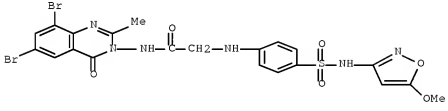
I

AB The title compds. (I, R = H, Ac, 5-methoxyisoxazolyl, 5-methyl-2-(1,3,4-thiadiazolyl), 1-phenylpyrazolyl, 4,6-dimethyl-2-pyrimidinyl, 2,6-dimethyl-, 2,6-dimethoxy-4-pyrimidinyl) were prepared Thus, refluxing 3-chloroacetamido-6,8-dibromo-2-methylquinazolin-4(3H)-one with sulfanilamide in EtOH containing pyridine gave 65% I (R = H). I were screened for their antibacterial, analgesic, and antiinflammatory activities (data given).

IT 94650-21-2P 94650-22-3P 94650-23-4P
94650-24-5P 94650-25-6P 94650-26-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and biol. activity of)

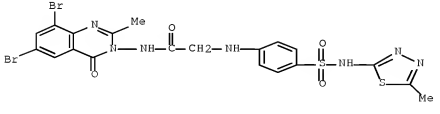
RN 94650-21-2 ZCAPLUS

CN Acetamide, N-(6,8-dibromo-2-methyl-4-oxo-3(4H)-quinazolinyl)-2-[[4-[[(5-methoxy-3-isoxazolyl)amino]sulfonyl]phenyl]amino]- (CA INDEX NAME)



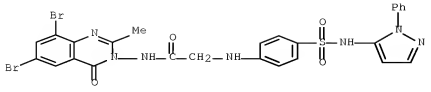
RN 94650-22-3 ZCAPLUS

CN Acetamide, N-(6,8-dibromo-2-methyl-4-oxo-3(4H)-quinazolinyl)-2-[[4-[[(5-methyl-1,3,4-thiadiazol-2-yl)amino]sulfonyl]phenyl]amino]- (CA INDEX NAME)

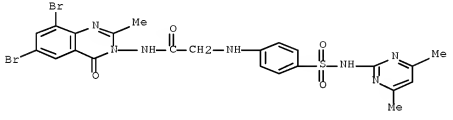


RN 94650-23-4 ZCAPLUS

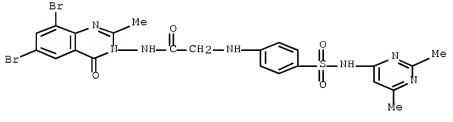
CN Acetamide, N-(6,8-dibromo-2-methyl-4-oxo-3(4H)-quinazolinyl)-2-[[4-[[(1-phenyl-1H-pyrazol-5-yl)amino]sulfonyl]phenyl]amino]- (CA INDEX NAME)



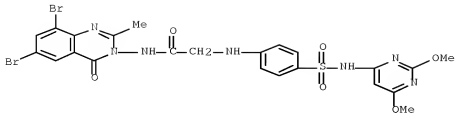
RN 94650-24-5 ZCAPLUS
CN Acetamide, N-(6,8-dibromo-2-methyl-4-oxo-3(4H)-quinazolinyl)-2-[[4-[[(4,6-dimethyl-2-pyrimidinyl)amino]sulfonyl]phenyl]amino]- (CA INDEX NAME)



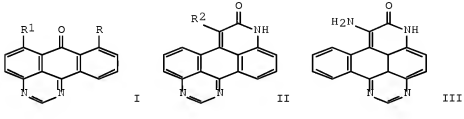
RN 94650-25-6 ZCAPLUS
CN Acetamide, N-(6,8-dibromo-2-methyl-4-oxo-3(4H)-quinazolinyl)-2-[[4-[[(2,6-dimethyl-4-pyrimidinyl)amino]sulfonyl]phenyl]amino]- (CA INDEX NAME)



RN 94650-26-7 ZCAPLUS
CN Acetamide, N-(6,8-dibromo-2-methyl-4-oxo-3(4H)-quinazolinyl)-2-[[4-[[(2,6-dimethoxy-4-pyrimidinyl)amino]sulfonyl]phenyl]amino]- (CA INDEX NAME)



L128 ANSWER 56 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1984:611086 ZCAPLUS Full-text
DOCUMENT NUMBER: 101:211086
ORIGINAL REFERENCE NO.: 101:31987a,31990a
TITLE: Synthesis of pyridonoanthrapyrimidines
AUTHOR(S): Kazankov, M. V.; Bernadskii, M. I.
CORPORATE SOURCE: Nauchno-Issled. Inst. Org. Poluprod. Krasitelei, Moscow, 103787, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1984), (7), 989-93
CODEN: KGSSAQ; ISSN: 0453-8234
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 101:211086
GI

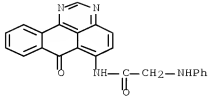


AB Refluxing benzoperimidine I (R = NHCOCH2Cl, R1 = H) in pyridine 1 h gave for the 8-isomer an ω-pyridinium salt which was cyclized by PhNH2 to give 97% II (R2 = NH2); refluxing 3 h gave the 7-pyridinium salt which underwent elimination to give 94% II (R2 = H). Similarly, I (R = H, R1 = NHCOCH2Cl) gave a pyridinium salt which was cyclized by PhNH2 to give 96% III.

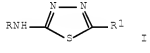
IT 92944-56-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 92944-56-4 ZCAPLUS

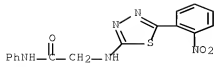
CN Acetamide, N-(7-oxo-7H-benzo[e]perimidin-6-yl)-2-(phenylamino)- (CA INDEX NAME)



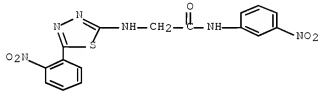
L128 ANSWER 57 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1982:598150 ZCAPLUS Full-text
DOCUMENT NUMBER: 97:198150
ORIGINAL REFERENCE NO.: 97:33189a,33192a
TITLE: Studies on acetamide derivatives: preparation and antimicrobial activity of 2-α-arylaminoacetamido/α-carbamoylbenzylamino/arylcarbamoylmethylamino-5-o-nitrophenyl/benzoylaminomethyl-1,3,4-thiadiazole
AUTHOR(S): Shah, V. H.; Patel, H. H.; Parikh, A. R.
CORPORATE SOURCE: Sir P. P. Inst. Sci., Bhavnagar Univ., Bhavnagar, 364 002, India
SOURCE: Journal of the Indian Chemical Society (1982), 59(5), 678-80
CODEN: JICSAH; ISSN: 0019-4522
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 97:198150
GI



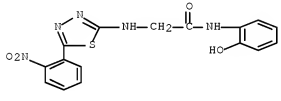
AB 2-Amino-1,3,4-thiadiazoles I [R = H, R1 = o-O2NC6H4 or CH2NHBz (R is the same throughout this abstract)] were prepared by self-cyclocondensation of R1CONHNHCSNH2 in the presence of H2SO4 and were chloroacetylated and the product condensed with RNH2, or were condensed with ClCH2CONHR2, to give I (R = R2NHCH2CO and R2NHCOCH2, resp., R2 = aryl, cyclohexyl, furfuryl, cinnamyl). I (R = H2NCOCHR2, where R2 = aryl, cinnamyl, or furfuryl) were also prepared All I where R ≠ H were moderately active against Staphylococcus aureus but not against Escherichia coli.
IT 83530-96-5P 83530-97-6P 83530-99-8P 83531-00-4P 83531-01-5P 83531-02-6P 83531-03-7P 83531-04-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)
RN 83530-96-5 ZCAPLUS
CN Acetamide, 2-[[5-(2-nitrophenyl)-1,3,4-thiadiazol-2-yl]amino]-N-phenyl- (CA INDEX NAME)



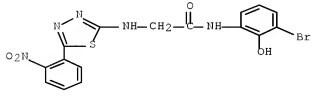
RN 83530-97-6 ZCAPLUS
CN Acetamide, N-(3-nitrophenyl)-2-[[5-(2-nitrophenyl)-1,3,4-thiadiazol-2-yl]amino]- (CA INDEX NAME)



RN 83530-99-8 ZCAPLUS
CN Acetamide, N-(2-hydroxyphenyl)-2-[[5-(2-nitrophenyl)-1,3,4-thiadiazol-2-yl]amino]- (CA INDEX NAME)

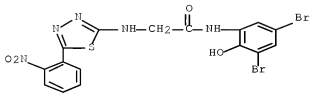


RN 83531-00-4 ZCAPLUS
CN Acetamide, N-(3-bromo-2-hydroxyphenyl)-2-[[5-(2-nitrophenyl)-1,3,4-thiadiazol-2-yl]amino]- (CA INDEX NAME)

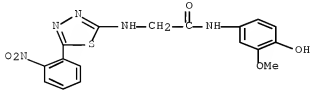


RN 83531-01-5 ZCAPLUS
CN Acetamide, N-(3,5-dibromo-2-hydroxyphenyl)-2-[[5-(2-nitrophenyl)-1,3,4-

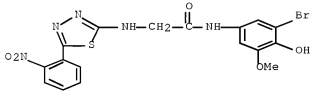
thiadiazol-2-yl]amino]- (CA INDEX NAME)



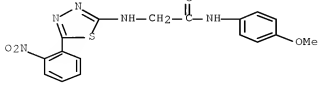
RN 83531-02-6 ZCAPLUS
CN Acetamide, N-(4-hydroxy-3-methoxyphenyl)-2-[[5-(2-nitrophenyl)-1,3,4-thiadiazol-2-yl]amino]- (CA INDEX NAME)



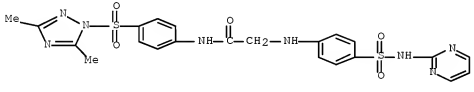
RN 83531-03-7 ZCAPLUS
CN Acetamide, N-(3-bromo-4-hydroxy-5-methoxyphenyl)-2-[[5-(2-nitrophenyl)-1,3,4-thiadiazol-2-yl]amino]- (CA INDEX NAME)



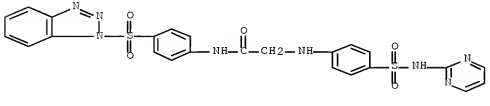
RN 83531-04-8 ZCAPLUS
CN Acetamide, N-(4-methoxyphenyl)-2-[[5-(2-nitrophenyl)-1,3,4-thiadiazol-2-yl]amino]- (CA INDEX NAME)



L128 ANSWER 58 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1981:569081 ZCAPLUS Full-text
DOCUMENT NUMBER: 95:169081
ORIGINAL REFERENCE NO.: 95:28265a,28268a
TITLE: Synthesis and some spectral identification of certain triazoles and benzotriazoles
AUTHOR(S): El-Kerdawy, M. M.; Ismaiel, A. M.
CORPORATE SOURCE: Pharm. Chem. Dep., Mansoura Fac. Pharm., Mansoura, Egypt
SOURCE: Journal de Pharmacie de Belgique (1981), 36(2), 103-8
CODEN: JPBEAJ; ISSN: 0047-2166
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 4-RSO2C6H4NHCOCH2R1 (I, R = 3,5-dimethyl-1,2,4-triazol-1-yl, 1-benzotriazolyl; R1 = H, Cl) were prepared by treating the triazoles with 4-R1CH2CONHC6H4SO2Cl. I (R1 = Cl) were aminated to give I (R1 = substituted anilino). 2,5-HO(O2N)C6H3CH2R was also prepared
IT 79418-26-1P 79418-34-1P 79418-35-2P
79418-36-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 79418-26-1 ZCAPLUS
CN Acetamide, N-[4-[(3,5-dimethyl-1H-1,2,4-triazol-1-yl)sulfonyl]phenyl]-2-[[4-[(2-pyrimidinylamino)sulfonyl]phenyl]amino]- (CA INDEX NAME)

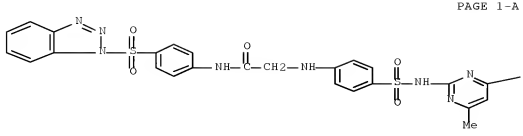


RN 79418-34-1 ZCAPLUS
CN Acetamide, N-[4-(1H-benzotriazol-1-ylsulfonyl)phenyl]-2-[[4-[(2-pyrimidinylamino)sulfonyl]phenyl]amino]- (CA INDEX NAME)



RN 79418-35-2 ZCAPLUS
CN Acetamide, N-[4-(1H-benzotriazol-1-ylsulfonyl)phenyl]-2-[[4-[[(4,6-dimethyl-2-pyrimidinyl)amino]sulfonyl]phenyl]amino]- (CA INDEX NAME)

PAGE 1-A

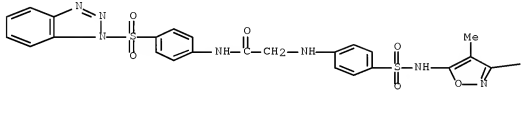


PAGE 1-B

Me

RN 79418-36-3 ZCAPLUS
CN Acetamide, N-[4-(1H-benzotriazol-1-ylsulfonyl)phenyl]-2-[[4-[[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]phenyl]amino]- (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

Me

L128 ANSWER 59 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1981:66419 ZCAPLUS Full-text
DOCUMENT NUMBER: 94:66419
ORIGINAL REFERENCE NO.: 94:10845a,10848a
TITLE: Addition polymers of dimorpholone compounds and diamines and their use in textile or paper finishing
INVENTOR(S): Degen, Hans Juergen; Naarmann, Herbert

PATENT ASSIGNEE(S): BASF A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 9 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2911263	A1	19801002	DE 1979-2911263	19790322 <--
US 4301272	A	19811117	US 1980-126289	19800303 <--
CA 1131393	A1	19820907	CA 1980-347042	19800305 <--
EP 17061	A1	19801015	EP 1980-101377	19800317 <--
EP 17061	B1	19820609		

R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE

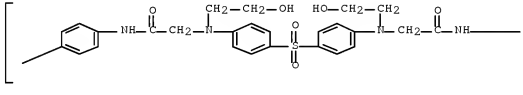
PRIORITY APPLN. INFO.: DE 1979-2911263 A 19790322 <--

AB 4,4'-Hydrocarbylenedi-2-morpholinones are polymerized with diamines to give polymers with K value 20-65, useful as antistatic agents, modifiers for polymers, and additives for textile and paper processing. Thus, 4,4'-ethylenedi-2-morpholinone 288, ethylenediamine 60, and DMF 400 parts were heated 5 h at 120° and freed of solvent at 100°/3 mm, giving 280 parts light-brown polymer [76206-61-6] with K value 25.

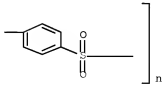
IT 76214-49-8P 76214-50-1P
RL: PREP (Preparation)
(preparation of)

RN 76214-49-8 ZCAPLUS
CN Poly[sulfonyl-1,4-phenyleneimino(1-oxo-1,2-ethanediyl)[(2-hydroxyethyl)imino]-1,4-phenylenesulfonyl-1,4-phenylene[(2-hydroxyethyl)imino](2-oxo-1,2-ethanediyl)imino-1,4-phenylene] (9CI) (CA INDEX NAME)

PAGE 1-A



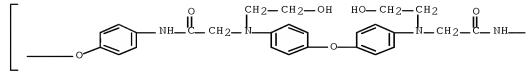
PAGE 1-B



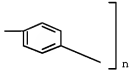
RN 76214-50-1 ZCAPLUS
CN Poly[oxy-1,4-phenyleneimino(1-oxo-1,2-ethanediyl)[(2-hydroxyethyl)imino]-1,4-phenyleneoxy-1,4-phenylene[(2-hydroxyethyl)imino](2-oxo-1,2-

ethanediyl)imino-1,4-phenylene] (9CI) (CA INDEX NAME)

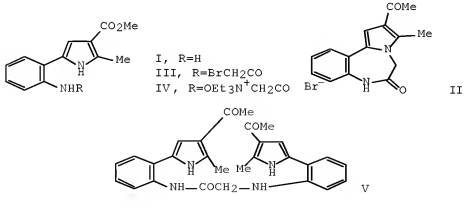
PAGE 1-A



PAGE 1-B



L128 ANSWER 60 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1981:3995 ZCAPLUS Full-text
DOCUMENT NUMBER: 94:3995
ORIGINAL REFERENCE NO.: 94:746h,747a
TITLE: Polycondensed nitrogen heterocycles. IX. 5,6-Dihydro-7H-pyrrolo[1,2-d][1,4]benzodiazepin-6-one
AUTHOR(S): Dattolo, Gaetano; Cirrincione, Girolamo; Aiello, Enrico
CORPORATE SOURCE: Ist. Tec. Farm., Univ. Palermo, Palermo, 90123, Italy
SOURCE: Journal of Heterocyclic Chemistry (1980), 17(4), 701-3
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 94:3995
GI

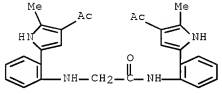


AB The reaction of amino derivative I with BrCH2COBr gave a complex mixture from which, in addition to the title compd (II) which was formed in low yield, compds. III, IV and V were separated II was obtained in 85% yield when the bromoamide III was treated with an equimolar amount of Me3COK.

IT 75841-16-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 75841-16-6 ZCAPLUS

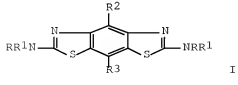
CN Acetamide, N-[2-(4-acetyl-5-methyl-1H-pyrrol-2-yl)phenyl]-2-[[2-(4-acetyl-5-methyl-1H-pyrrol-2-yl)phenyl]amino]- (CA INDEX NAME)



L128 ANSWER 61 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1980:426432 ZCAPLUS Full-text
DOCUMENT NUMBER: 93:26432
ORIGINAL REFERENCE NO.: 93:4441a,4444a
TITLE: 2,6-Bis(aminoacylamino)benzo[1,2-d:5,4-d']bisthiazoles and 2-amino-6-(aminoacylamino)benzo[1,2-d:5,4-d]bisthiazoles
INVENTOR(S): Cullen, Ernest; Possanza, Genus; Stewart, Patrick Brian
PATENT ASSIGNEE(S): Boehringer, C. H., Sohn, Fed. Rep. Ger.
SOURCE: Ger. Offen., 51 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
DE 2833671	A1	19800221	DE 1978-2833671		19780801 <--
PRIORITY APPLN. INFO.:			DE 1978-2833671	A	19780801 <--

GI



I

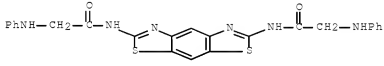
AB Benzobis(thiazoles) I (R = H, Me, Et; R1 = aminoalkanoyl; R2,R3 = H, Cl, Br, alkyl, alkoxy, acyl, CO2H, alkoxycarbonyl, CONH2, optionally substituted Ph) were prepared Thus, I (R-R3 = H) was treated with ClCH2COCl and Et2NH to give 33% I (R = R2 = R3 = H, R1 = COCH2NEt2, II). At 200 mg/kg orally II gave 97% inhibition in the rat paw edema test.

IT 70175-71-2P 70175-72-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

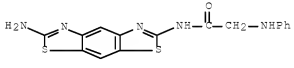
RN 70175-71-2 ZCAPLUS

CN Acetamide, N,N'-benzo[1,2-d:5,4-d']bisthiazole-2,6-diylbis[2-(phenylamino)- (9CI) (CA INDEX NAME)



RN 70175-72-3 ZCAPLUS

CN Acetamide, N-(6-aminobenzo[1,2-d:5,4-d']bisthiazol-2-yl)-2-(phenylamino)- (9CI) (CA INDEX NAME)



L128 ANSWER 62 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	1979:204088 ZCAPLUS Full-text
DOCUMENT NUMBER:	90:204088
ORIGINAL REFERENCE NO.:	90:32476h,32477a
TITLE:	2,6-Bis(aminoacylamino)benzo[1,2-d:5,4-d]bisthiazoles and 2-amino-6-(aminoacylamino)benzo[1,2-d:5,4-d]bisthiazoles
INVENTOR(S):	Cullen, Ernest; Possanza, Genus; Stewart, Patrick Brian
PATENT ASSIGNEE(S):	Boehringer, C. H., Sohn, Fed. Rep. Ger.
SOURCE:	Ger. Offen., 46 pp. CODEN: GWXXBX
DOCUMENT TYPE:	Patent
LANGUAGE:	German
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2736652	A1	19790222	DE 1977-2736652	19770813 <--
DE 2736652	C2	19890706		
AT 7805627	A	19791015	AT 1978-5627	19780803 <--
AT 356664	B	19800512		
DD 140253	A5	19800220	DD 1978-207187	19780809 <--

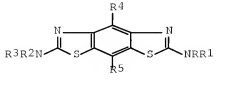
CH 639976	A5	19831215	CH 1978-8458	19780809	<--
RO 75639	A1	19810130	RO 1978-94947	19780810	<--
DK 7803565	A	19790214	DK 1978-3565	19780811	<--
DK 157762	B	19900212			
DK 157762	C	19900709			
FI 7802459	A	19790214	FI 1978-2459	19780811	<--
FI 63415	B	19830228			
FI 63415	C	19830610			
NO 7802734	A	19790214	NO 1978-2734	19780811	<--
NO 153851	B	19860224			
NO 153851	C	19860604			
SE 7808589	A	19790214	SE 1978-8589	19780811	<--
SE 442511	B	19860113			
SE 442511	C	19860424			
NL 7808391	A	19790215	NL 1978-8391	19780811	<--
NL 189611	B	19930104			
NL 189611	C	19930601			
GB 2002383	A	19790221	GB 1978-33028	19780811	<--
GB 2002383	B	19820804			
FR 2400027	A1	19790309	FR 1978-23802	19780811	<--
FR 2400027	B1	19801226			
JP 54032495	A	19790309	JP 1978-98121	19780811	<--
JP 62010996	B	19870310			
AU 7838822	A	19800214	AU 1978-38822	19780811	<--
AU 518652	B2	19811015			
ZA 7804569	A	19800430	ZA 1978-4569	19780811	<--
HU 18405	A2	19800626	HU 1978-BO1730	19780811	<--
HU 176066	B	19801228			
CA 1097635	A1	19810317	CA 1978-309176	19780811	<--
GB 2062639	A	19810528	GB 1980-40967	19780811	<--
GB 2062639	B	19821117			
SU 847924	A3	19810715	SU 1978-2646503	19780811	<--
CS 209542	B2	19811231	CS 1978-5273	19780811	<--
IL 55335	A	19820531	IL 1978-55335	19780811	<--
IL 62601	A	19820531	IL 1978-62601	19780811	<--
ES 472544	A1	19790401	ES 1978-472544	19780812	<--
PL 118018	B1	19810930	PL 1978-209009	19780812	<--
US 4344946	A	19820817	US 1980-182077	19800828	<--
NO 8501549	A	19790214	NO 1985-1549	19850418	<--
NO 159277	B	19880905			
NO 159277	C	19881214			

PRIORITY APPLN. INFO.:

DE 1977-2736652	A	19770813 <--
US 1978-928827	A1	19780728 <--
IL 1978-55335	A3	19780811 <--

OTHER SOURCE(S): MARPAT 90:204088

GI



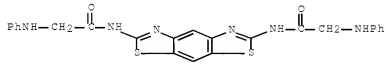
I

AB The title compds. I (R, R2 = H, Me, Et; R1, R3 = aminoacyl; R4, R5 = H, Cl, Br, alkyl, alkoxy, acyl, CO2H, carbamoyl, CF3, NO2, CN) were prepared Thus, I

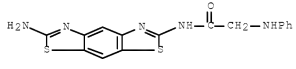
(R-R5 = H) was treated with ClCH2COCl to give I (R1, R3 = ClCH2CO, R, R2, R4, R5 = H), which was treated with Et2NH to give I (R1, R3 = Et2NCH2CO, R, R2, R4, R5 = H, II). At 200 mg/kg day orally for 14 days II gave 80% decrease in tubercle-bacillus induced rat paw edema.

IT 70175-71-2P 70175-72-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 70175-71-2 ZCAPLUS
CN Acetamide, N,N'-benzo[1,2-d:5,4-d']bisthiazole-2,6-diylbis[2-(phenylamino)- (9CI) (CA INDEX NAME)



RN 70175-72-3 ZCAPLUS
CN Acetamide, N-(6-aminobenzo[1,2-d:5,4-d']bisthiazol-2-yl)-2-(phenylamino)- (9CI) (CA INDEX NAME)



L128 ANSWER 63 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1979:121147 ZCAPLUS Full-text
DOCUMENT NUMBER: 90:121147
ORIGINAL REFERENCE NO.: 90:19167a,19170a
TITLE: Synthesis of some organosulfur compounds structurally related to certain antibilharzial drugs
AUTHOR(S): Abdou, N. A.; El-Zanfally, S.; El-Mouafi, H. M. R.; Khalifa, M.
CORPORATE SOURCE: Fac. Pharm., Univ. Cairo, Cairo, Egypt
SOURCE: Egyptian Journal of Pharmaceutical Sciences (1978), Volume Date 1976, 17(2), 153-9
CODEN: EJPSBZ; ISSN: 0301-5068
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 90:121147

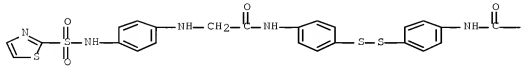
AB Eighteen derivs. of (p-H2NC6H4S)2 were prepared by condensing it with substituted benzaldehydes or by chloroacetylation-amination. The products (p-RCH:NC6H4S)2 (R = substituted phenyl) and [p-(R1R2NCH2CONH)C6H4S]2 [R1R2N = piperidino, morpholino, 4-methyl-1-piperazinyl, pyrrolidinyl, (HOCH2CH2)2N, or p-R3NHSO2C6H4NH where R3 = H, 2-thiazolyl, 2,4-dimethyl-6-pyrimidinyl] are potential antischistoma drugs (no data).

IT 69589-60-2 69589-61-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation as potential antischistosomal drug)

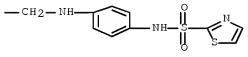
RN 69589-60-2 ZCAPLUS

CN Acetamide, N,N'-(dithiodi-4,1-phenylene)bis[2-[[4-[(2-thiazolylsulfonyl)amino]phenyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



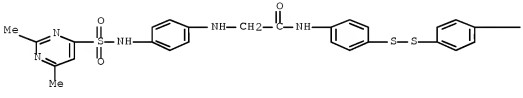
PAGE 1-B



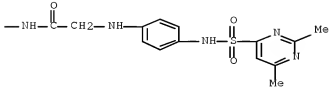
RN 69589-61-3 ZCAPLUS

CN Acetamide, N,N'-(dithiodi-4,1-phenylene)bis[2-[[4-[[(2,6-dimethyl-4-pyrimidinyl)sulfonyl]amino]phenyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



L128 ANSWER 64 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1977:469674 ZCAPLUS Full-text

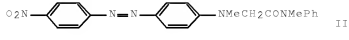
DOCUMENT NUMBER: 87:69674

ORIGINAL REFERENCE NO.: 87:11105a,11108a

TITLE: Polyester fabric dyed with monoazo dyestuffs
INVENTOR(S): Huffman, Allan M.; Wowk, Anatole
PATENT ASSIGNEE(S): American Color and Chemical Corp., USA
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4026663	A	19770531	US 1975-547268	19750205 <--
PRIORITY APPLN. INFO.:			US 1975-547268 A	19750205 <--

GI

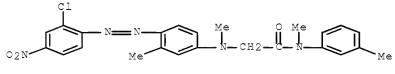


II

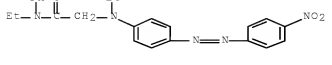
AB Aromatic polyester fibers were dyed with azo dyes made by coupling an appropriate diazotized aminobenzene with a coupler prepared by reacting 2-chloroacetyl chloride (I) [79-04-9] with N-alkyl-, N-cyanoalkyl-, or N-benzyl-substituted aminobenzenes. Thus, diazotized p-nitroaniline was coupled with 2-(N-methylanilino)-N-methylacetanilide [34066-47-2] (prepared from I and N-methylaniline [100-61-8]) to yield the azo dye II [63407-42-1]. Type 54 Dacron polyester fabric was treated in a bath containing 0.4% II and appropriate additives for 10 min at 120°C and 1 h at 205°F to give a fabric with a bright yellowish red color that was resistant to sublimation at 400°F.

IT 63407-39-6 63407-40-9 63407-42-1
RL: USES (Uses)
(dyes, for polyester fibers)

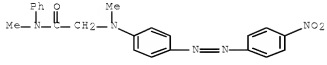
RN 63407-39-6 ZCAPLUS
CN Acetamide, 2-[[4-[(2-chloro-4-nitrophenyl)azo]-3-methylphenyl]methylamino]-N-methyl-N-(3-methylphenyl)- (9CI) (CA INDEX NAME)



RN 63407-40-9 ZCAPLUS
CN Acetamide, N-ethyl-2-[ethyl[4-[(4-nitrophenyl)azo]phenyl]amino]-N-phenyl- (9CI) (CA INDEX NAME)



RN 63407-42-1 ZCAPLUS
CN Acetamide, N-methyl-2-[methyl[4-[(4-nitrophenyl)azo]phenyl]amino]-N-phenyl- (9CI) (CA INDEX NAME)

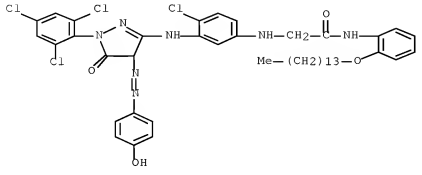


L128 ANSWER 65 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1975:9992 ZCAPLUS Full-text
DOCUMENT NUMBER: 82:9992
ORIGINAL REFERENCE NO.: 82:1561a,1564a
TITLE: Photographic colored magenta couplers
INVENTOR(S): Imamura, Hiroyuki; Sato, Shui; Kojima, Tamotsu; Endo, Takaya
PATENT ASSIGNEE(S): Konishiroku Photo Industry Co., LtD.
SOURCE: Ger. Offen., 34 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

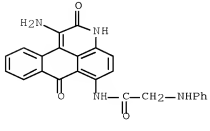
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2415132	A1	19741010	DE 1974-2415132	19740328 <--
DE 2415132	C2	19821209		
DE 2415132	C3	19910103		
JP 49123625	A	19741126	JP 1973-36178	19730331 <--
JP 56006540	B	19810212		
GB 1443875	A	19760728	GB 1974-13493	19740327 <--
PRIORITY APPLN. INFO.:			JP 1973-36178 A	19730331 <--

GI For diagram(s), see printed CA Issue.
AB The pyrazolinones I [e.g. R = H; R1 = alkanoyl or γ-(2,4-di-tertpentylphenoxy)butanoyl; RR1 = OCCH2CH(C12H25)CO; R2 = H, MeO, or OH; R3 = H or OH] were used as colored magenta couplers of high coupling rate, forming color masks with absorption maximum at .apprx.430-60 mμ, giving good color compensation, and leading to light- and humidity-stable magenta images of deep covering power. Thus, a Ag(Br,I) emulsion containing 2 g I [R = H, R1 = γ-(2,4-di-tert-pentylphenoxy)butanoyl, R2 = MeO, R3 = OH] and 18 g 3-[3-[(2,4-di-tert-pentylphenoxy)acetamido]benzamido]-1-(2,4,6- trichlorophenyl)-5-pyrazolinone/kg had color sensitivity 180, absorption maximum of the color mask 458 mμ, and residual color image (after exposure for 16 hr with a Xe lamp) 89% vs. 100, 445 mμ, and 74% for an emulsion containing 4-(4-methoxyphenylazo)-3-[3-[(2,4-di-tert- pentylphenoxy)acetamido]benzamido]-1-(2,4,6-trichlorophenyl)-5- pyrazolinone instead of I.
IT 55017-25-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 55017-25-9 ZCAPLUS

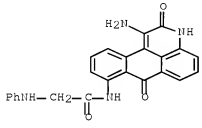
CN Acetamide, 2-[[4-chloro-3-[[4,5-dihydro-4-[(4-hydroxyphenyl)azo]-5-oxo-1-(2,4,6-trichlorophenyl)-1H-pyrazol-3-yl]amino]phenyl]amino]-N-[2-(tetradecyloxy)phenyl]- (9CI) (CA INDEX NAME)



L128 ANSWER 66 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1973:492055 ZCAPLUS Full-text
DOCUMENT NUMBER: 79:92055
ORIGINAL REFERENCE NO.: 79:14951a,14954a
TITLE: Synthesis of anthradipyridone derivatives
AUTHOR(S): Kazankov, M. V.; Putsa, G. I.
CORPORATE SOURCE: Nauchno-Issled. Inst. Org. Poluprod. Krasitelei, Moscow, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1973), (6), 830-5
CODEN: KGSSAQ; ISSN: 0132-6244
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB Anthradipyridones (I; R1 = H, R2 = Me, R3 = H, Me, R4 = NH2) were obtained in 3 steps in 96-8% yields by chloroacetylation of II (R = H, Me; R1 = H) to give .apprx.80% amides (II; R = H, Me; R1 = ClCH2CO), cyclization in pyridine to yield .apprx.90% I (R4 = C5H5N+Cl-) and heating in PhNH2 to give the free bases. Addnl. prepared were .apprx.85% I (R1 = C5H5N+ClO4-, NH2, H; R2 = H, Bu; R4 = C5H5N+ClO4-, NH2, H) and 76-89% anthradipyridones (III; R1 = R2 = C5H5N+ClO4-; R1 = R2 = NH2; R1 = R2 = H).
IT 43182-33-8P 43182-34-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 43182-33-8 ZCAPLUS
CN Acetamide, N-(1-amino-2,7-dihydro-2,7-dioxo-3H-naphtho[1,2,3-de]quinolin-6-yl)-2-(phenylamino)- (CA INDEX NAME)



RN 43182-34-9 ZCAPLUS
CN Acetamide, N-(1-amino-2,7-dihydro-2,7-dioxo-3H-naphtho[1,2,3-de]quinolin-8-yl)-2-(phenylamino)- (CA INDEX NAME)



L128 ANSWER 67 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1972:128837 ZCAPLUS Full-text
DOCUMENT NUMBER: 76:128837
ORIGINAL REFERENCE NO.: 76:20859a,20862a
TITLE: Napthalimide compounds as fluorescent whiteners
INVENTOR(S): Hotta, Seiji; Akamatsu, Takashi
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd.
SOURCE: Ger. Offen., 93 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2064159	A	19710708	DE 1970-2064159	19701229 <--
PRIORITY APPLN. INFO.:			DE 1970-2064159	19701229 <--

AB Naphthalimides I (R = Me, Et, Ph; X = NHCONH2, substituted ureido), II (R = alkyl, aryl; R1 = H, Me, CH2CH2OMe; R2 = alkyl, aryl, NHPh; Y = CO, SO2, CO2, CONMe), III (R = Me, CH2CH2OBu; X = CH:CH, CH2CH2, o-C6H4, 1,8-C10H6), and IV (R = alkyl, aryl; R1 = H, alkyl; Y = alkyl, aryl; Z = alkyl- or cycloammonium, hydrazinium), useful for whitening polyester or polyacrylonitrile fibers, polypropylene [9003-07-0], or poly(vinyl chloride) [9002-86-2], were prepared Thus, N-amino-4-methoxynaphthalimide (V) was stirred 3 hr with KOCN in HOAc to give N-ureido-4- methoxynaphthalimide (I, X = NHCONH2, R = Me) [34649-53-1]. Reaction of V with MeNCO gave I (X = NHCONHMe, R = Me). Five other I were similarly prepared Treatment of I (X = NHR1) with R2COCl, R2SO2Cl, R2O2CCl, or (R2CO)2O gave II. For example, reaction of N-amino-4-ethoxynaphthalimide with Ac2O gave 4-ethoxy-N-acetamidonaphthalimide (II, R = Et, R1 = H, R2 = Me, Y = CO) [34649-54-2]. Sixty-nine other II were prepared III were prepared by reaction of I (X = NH2) with cyclic anhydrides. For example, treatment of V with phthalic anhydride gave 4-methoxy-N- phthalimidonaphthalimide (III, R = Me, X = o-C6H4) [34649-55-3]. Similarly prepared were 7 other III. IV were prepared by reaction of I (X = ω-chloroacylamino) with tertiary amines. Thus, N-(2- chloroacetamido)-4-methoxynaphthalimide was treated with Me3N in aqueous MeOH to give [[(4-methoxynaphthalimido)carbamoyl]methyl]trimethylammonium

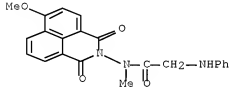
chloride (IV, R = Me, R1 = H, Y = CH2, Z = NMe3+Cl-) [34677-63-9]. Thirty-three other IV were prepared

IT 36498-01-8

RL: PRP (Properties)
(spectrum of)

RN 36498-01-8 ZCAPLUS

CN Acetamide, N-(6-methoxy-1,3-dioxo-1H-benz[de]isoquinolin-2(3H)-yl)-N-methyl-2-(phenylamino)- (CA INDEX NAME)



L128 ANSWER 68 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1967:19854 ZCAPLUS Full-text

DOCUMENT NUMBER: 66:19854

ORIGINAL REFERENCE NO.: 66:3851a

TITLE: Insulated dye developers

INVENTOR(S): Blout, Elkan R.; Rogers, Howard Gardner

PATENT ASSIGNEE(S): Polaroid Corp.

SOURCE: U.S., 7 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3255001		19660607	US 1955-485840	19550302 <--

GI For diagram(s), see printed CA Issue.

AB [Throughout this abstract X = 2,5-(HO)2C6H3NH, Z = 2,5-(HO)2C6H3CH2CO.] Photographic dye developers in which the dye and developer portions are separated or "insulated" by a so-called "achromophoric" group are described. Achromophoric groups are those which do no permit conjugation of the 2 sep. functions, e.g., CO, SO2, and s-triazinyl. In this manner, dyes with the desired spectral characteristics may be combined with suitable developers, with little change in spectrum. The following insulated dye developers give the following diffusion transfer reversal colors or spectral properties: I, yellow; II (R = H) greenish-blue, ε = 6,000 at 425 mμ, 17,150 at 570 mμ, and 21,100 at 613 mμ (C5H5N); II (R = Y), cyan; III, ε = 21,300 at 390 mμ (EtOH); IV, cyan; V, cyan.

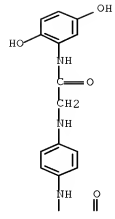
IT 13486-77-6

RL: USES (Uses)
(as photographic color-developer)

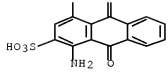
RN 13486-77-6 ZCAPLUS

CN 2-Anthracenesulfonic acid, 1-amino-4-[p-[[[(2,5-dihydroxyphenyl)carbamoyl]methyl]amino]anilino]-9,10-dihydro-9,10-dioxo- (8CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L128 ANSWER 69 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1966:85092 ZCAPLUS Full-text
DOCUMENT NUMBER: 64:85092
ORIGINAL REFERENCE NO.: 64:16038f-h
TITLE: Hydroquinonylcarbamoylmethylamino) anthraquinones
INVENTOR(S): Blout, Elkan R.; Corley, Richard S.
PATENT ASSIGNEE(S): Polaroid Corp.
SOURCE: 6 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3236864		19660222	US 1962-193320	19581104 <--
PRIORITY APPLN. INFO.:			US	19581104 <--

GI For diagram(s), see printed CA Issue.
AB Compds. of the general formula I are prepared and can be used to develop Ag halide emulsions; coating solns. containing 0.5-8 weight % I can be used. Thus, 71.0 g. 4,3-HO(H2N)C6H3OBz in 700 ml. C6H6 is treated with 53.0 g. (ClCH2CO)2O in 50 ml. C6H6 to give 98% 4,3-HO(ClCH2CONH)C6H3OBz (II), m. 210-12° (decomposition). A mixture of 3.00 g. Na 1-amino-4-(p-

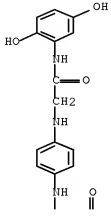
aminoanilino)anthraquinone-2-sulfonate, 2.13 g. II, and 50 ml. pyridine is refluxed overnight to give 3.85 g. product (m. 185-90°) which is hydrolyzed to give I [X = Y' = H, X = NH2, Z = SO3Na, Y = p-[2,5-(HO)2C6H3NHCOCH2NH]C6H4 (III), m. >310°. Similarly prepared are the following I (Z = H) (X, Y, X', Y', and m.p. given): NHCH2CH2NH2, 2,5-(HO)2C6H3NHCOCH2NHCH2NH, H, H, 259-63°; 2,5-(HO)2C6H3NHCOCH2NH, OH, 2,5-(HO)2C6H3NHCOCH2NH, OH, >260°. A film is coated with 4% aqueous gelatin, a solution of 2.5 g. III in a solution (4 g. cellulose acetate H phthalate, 80 ml. MeOCH2CH2OH, 20 ml. MeOH) is applied, and the element is coated with a Ag halide emulsion. The Ag halide element is exposed, treated with a composition (100 ml. H2O, 10 g. Et2NH, 20 g. HCONMe2, 0.2 g. MetOl, and 4.5 g. Na carboxymethyl cellulose), and contacted with an image receiver to give a cyan, positive, dye image.

IT 5528-95-0P, 2-Anthracenesulfonic acid, 1-amino-4-[p-[[[(2,5-dihydroxyphenyl)carbamoyl]methyl]amino]anilino]-9,10-dihydro-9,10-dioxo-, sodium salt 5545-96-0P, 2-Anthracenesulfonic acid, 1-amino-4-[p-[[[(2,5-dihydroxyphenyl)carbamoyl]methyl]amino]anilino]-9,10-dihydro-9,10-dioxo-, benzoate (ester), Na salt
RL: PREP (Preparation)
(preparation of)

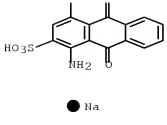
RN 5528-95-0 ZCAPLUS

CN 2-Anthracenesulfonic acid, 1-amino-4-[p-[[[(2,5-dihydroxyphenyl)carbamoyl]methyl]amino]anilino]-9,10-dihydro-9,10-dioxo-, sodium salt (7CI, 8CI) (CA INDEX NAME)

PAGE 1-A

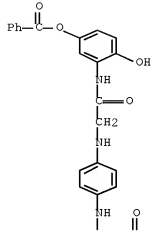


PAGE 2-A

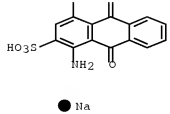


RN 5545-96-0 ZCAPLUS
CN 2-Anthracenesulfonic acid, 1-amino-4-[p-[[[(2,5-dihydroxyphenyl)carbamoyl]methyl]amino]anilino]-9,10-dihydro-9,10-dioxo-, 5-benzoate, monosodium salt (8CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L128 ANSWER 70 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1959:76641 ZCAPLUS Full-text
DOCUMENT NUMBER: 53:76641
ORIGINAL REFERENCE NO.: 53:13851e-i,13852a
TITLE: Dye developer
PATENT ASSIGNEE(S): International Polaroid Corp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

GB 804971		19581126	GB 1955-6904		19550309 <--

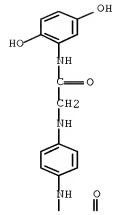
AB Direct positive color prints can be made by the use of developers which are dyes, used as follows: The Ag halide is exposed to light, the developer composition is applied, and the image-receiving element is brought into contact with the image. The reacted portions of the developer are retained by the emulsion, while only the unreacted portions are transferred to the receiving element, giving a colored positive. The Ag halide development and the dye transfer are accomplished by a single reagent which also acts as a hardener. A dye was prepared as follows: A solution of 3.73 g. of the pyridinium salt of hydroquinone monobenzoate monosulfate in 25 ml. water was boiled for 5 min. to give hydroquinone sodium monosulfate (I). A mixture of I and tetrazotized o-dianisidine was stirred for 1 hr. at 5°, followed by addition of a solution of 2.55 g. 3,6-disulfo-8-amino-1-naphthol in 25 ml. water and 10 ml. 25% Na2CO3. The mixture was heated briefly on the steam bath and the product was salted out, filtered, and dissolved in water. Boiling with concentrated HCl gave 2-[p-(1-hydroxy-3,6-disulfo-8-amino-2-naphthylazo) - 3,3' - dimethoxybiphenyleneazo]hydroquinone. Other dyes were prepared from the following reactants: diazotized 2,5-(MeO)2C6H3NH2 and 2-hydroxy-N-(2-hydroxy-5-benzoyloxyphenyl) - 3 - naphthamide; 2 - (benzoyloxyhydroxyphenylamino)-4,6-dichloro-s-triazine and p-aminoazobenzene; 1,4-bis(2-aminoethylamino)anthraquinone (II) and homogentisic acid lactone; and II and chloroacetamidohydroquinone. A dye developer was used as follows: A photosensitive element was prepared by coating a cellulose acetate sheet with a solution of 10 g. cellulose acetate hydrogen phthalate (III) in 100 ml. Me2CO, followed by a solution of 4 g. gelatin in 100 ml. water. After the coatings had dried another coat was applied, consisting of 4 g. 2-naphthylazohydroquinone in 100 ml. of a solution of III 4 g. Me2CO 80 ml., MeOH 20 ml., and ethyl Cellosolve 1 ml. The Ag halide was then applied. The exposed photosensitive element was processed in a solution of NaOH 1.5 g. Metol 0.1 g., Na carboxymethylcellulose 4.5 g., and water 100 ml. At the same time the exposed element was brought into contact with an image-receiving element consisting of a poly(vinyl butyral)-coated baryta paper which had been coated with a solution of 4 g. nylon, Type F8 in 80 ml. iso-PrOH and 20 ml. water. Cf. C.A. 45, 8929c; 47, 12072c; 48, 4345b; following abstrs.

IT 13486-77-6, 2-Anthraquinonesulfonic acid, 1-amino-4-[p-[[[(2,5-dihydroxyphenyl)carbamoyl]methyl]amino]anilino]-
(as photographic dye developer)

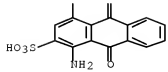
RN 13486-77-6 ZCAPLUS

CN 2-Anthracenesulfonic acid, 1-amino-4-[p-[[[(2,5-dihydroxyphenyl)carbamoyl]methyl]amino]anilino]-9,10-dihydro-9,10-dioxo- (8CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L128 ANSWER 71 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1955:27911 ZCAPLUS Full-text
DOCUMENT NUMBER: 49:27911
ORIGINAL REFERENCE NO.: 49:5357d-i
TITLE: Compounds with two donor-enoidal systems. I. Phenomena of color in the derivatives of N-(phenylglycyl)-O-(4-nitrobenzoyl)-p-aminophenol
AUTHOR(S): Smirnov, E. A.
CORPORATE SOURCE: I. M. Gubkin Petroleum Inst., Moscow
SOURCE: Sbornik Statei Obshchei Khim. (1953), 2, 1394-1410
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

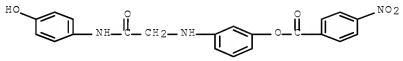
AB cf. Belotsvetov and Izmail'skii, C.A. 39, 2287.4. Highly colored compds. O2NC6H4CO2C6H4NHCOCH2NHC6H4A were prepared in which A was varied, as a part of study of substances with 2 isolated donor-enoidal systems. Despite variation in color, the absorption spectra of the substances are almost coincident, since in the very dilute solns. for photometry the interaction between the unconjugated portions is destroyed. Reflection curves taken on the solids do correspond to the visual color. The spectral curves are reproduced. To a cooled and filtered solution of 15.8 g. p-aminophenyl sulfate in 120 ml. H2O, which was decolorized with a little hydrosulfite, was added 10.6 g. Na2CO3 and a little ice, followed by 8 g. NaHCO3 and 15 g. ClCH2COCl in 15 ml. C6H6, yielding after shaking 10-15 min. 12.5 g. p-chloroacetamidophenol, m. 146.5°

(from EtOH-C6H6). This dissolved in 10% NaOH, treated with K2CO3, ice and p-O2NC6H4COCl in C6H6, gave after shaking 0.5 hr. N-(chloroacetyl)-O-(4-nitrobenzoyl)-p- aminophenol, m. 190.5° (from EtOH). This triturated with 1 part p-MeC6H4NH2 and heated 20 min. to 115° gave N-(p-tolylglycyl)-O-(4-nitrobenzoyl)-p-aminophenol, m. 216.5-17° (from Me2CO), deep red. Similarly was prepared light red m-tolylglycyl analog, m. 165-5.5°; and orange o-tolylglycyl analog, m. 207-7.5°. The use of p-MeOC6H4NH2 in this reaction gave the p-methoxyphenylglycyl analog, red, m. 193.5-4°, while the red-orange m-methoxyphenylglycyl analog, m. 205°, was prepared similarly, as was o-methoxyphenylglycyl analog, light red, m. 179.5°. Reaction with m-aminophenol similarly gave light red N-(3-hydroxyphenylglycyl)-O-(4-nitrobenzoyl)-p-aminophenol, m. 212-14°, which turns nearly colorless with (CH2Cl)2, but reverts to red on contact with EtOH. Similarly was obtained deep red 3-dimethylaminophenylglycyl analog, m. 167.5-8.5°, and yellow or red phenylglycyl analog, m. 195-6°. The following p-O2NC6H4CO2C6H4NHCOCH2Z (Z shown) were examined spectrophotometrically, all showing a band at 290-300 mμ, and the following absorption maximum (in mμ): Cl 256; PhNH 248; o-MeCoH4NH 248-50; m-analog 250; p-analog 248; o-MeOC6H4NH 250; m-analog 250; p-analog 248; m-HOC6H4NH 250; m-Me2NC6H4NH 248-50.

IT 857952-25-1P, Acetanilide, 4'-hydroxy-2-m-hydroxyanilino-, p-nitrobenzoate (ester)
RL: PREP (Preparation)
(preparation of)

RN 857952-25-1 ZCAPLUS

CN Acetanilide, 4'-hydroxy-2-m-hydroxyanilino-, p-nitrobenzoate (ester) (5CI) (CA INDEX NAME)



L128 ANSWER 72 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1950:38019 ZCAPLUS Full-text

DOCUMENT NUMBER: 44:38019

ORIGINAL REFERENCE NO.: 44:7259d-i,7260a-i,7261a-d

TITLE: Sulfones. II. Derivatives of 4,4'-diaminodiphenyl sulfone

AUTHOR(S): Baker, B. R.; Querry, Merle V.; Kadish, Arthur F.

CORPORATE SOURCE: Am. Cyanamid Co., Pearl River, NY

SOURCE: Journal of Organic Chemistry (1950), 15, 402-12
CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

OTHER SOURCE(S): CASREACT 44:38019

AB A number of substituted 4,4'-diaminodiphenyl sulfones are prepared to be tested for their chemotherapeutic activity. Refluxing 40 g. p-AcHNC6H4SO2H 0.5 h. in 200 cc. EtOH containing 8 g. NaOH and 8 cc. H2O with 42 g. 2,4-(O2N)2C6H3Cl gives 93% p-(2,4-(O2N)2C6H3SO2) C6H4NHAc (I), yellow crystals from MeOCH2CH2OH (II), m. 226-7°. Stirring a mixture of 250 g. SnCl.2H2O and 25 g. I 15 min. in 500 cc. concentrated HCl at 20-3°, diluting it with 500 cc. H2O, and heating 0.5 h. at 85° give 71% 2,4,4'-triaminodiphenyl sulfone, m. 118°, resolidifying and remelting at 150°. Refluxing 344 g. p-ClC6H4NO2 and

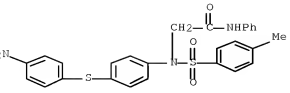
1290 g. Na2S.H2O 7 h. in 5.7 l. H2O, washing with C6H6, and treating the cooled mixture 0.5 h. at 7° with 700 cc. Ac2O give 398 g. p-AcHNC6H4SAc (III), m. 125-30°. Refluxing III 75 min. in 1200 cc. EtOH with 196 g. NaOH in 1900 cc. H2O, concentrating the solution in vacuo to cloudiness, diluting it to 4 l., and cooling it to 5° give 54-7% p-AcHNC6H4SH (IV), m. 148-50°. IV is also obtained in 36% yield on reduction of (4-O2NC6H4S)2 with SnCl2 and acetylation of the Sn complex. Refluxing 45 g. IV 1 h. in 360 cc. EtOH containing 10.8 g. NaOH and 11 cc. H2O with 72 g. 2,4-Me(O2N) C6H3I, m. 100-3°, and cooling the mixture give 77% 2-methyl-4-nitro-4'-acetamidodiphenyl sulfide, crystallizing with 1 H2O, m. 120° (decomposition). 4-Nitro-1-naphthyl 4-acetamidophenyl sulfide, prepared in 77% yield in a similar way, m. 206-8°. Addition of 47 g. 2,5-Cl(O2N)C6H3CONH2 in 470 cc. EtOH to 36 g. IV in 940 cc. warm 50% EtOH, containing 8.8 g. NaOH, over a period of 5 min. and keeping the mixture 15 min. give 93% 2-carbamyl-4-nitro-4'-acetamidodiphenyl sulfide, yellow crystals from II, m. 264-6°. 2-Sulfamyl-4-nitro-4'-acetamidodiphenyl sulfide, prepared in the same way, yellow crystals, m. 266-8°. Refluxing 75 g. 3,4-Cl2C6H3NO2 and 245 g. Na2S 19 h. in 615 cc. H2O and then, after addition of 62 g. p-ClC6H4NO2, another 15 h., gives 54% 2-chloro-4-amino-4'-nitrodiphenyl sulfide, orange crystals, m. 146-8°. Stirring 63.5 g. of the appropriate sulfide in 550 cc. AcOH with 150 cc. 30% H2O2 3 h. at 50° and 2 h. on a steam bath gives the corresponding sulfone, p-(2,4-R(O2N)C6H3SO2)C6H4NHAc, of which the following are prepared: R = Me, 80% yield, m. 160-3°; SO2NH2 (V), 78%, m. 235-7°; CONH2 (VI), 69%, m. 254-6°; Cl, 88%, partially m. 115-20°, resolidifying and remelting 178-80°. 4-Nitro-1-naphthyl 4-acetamidophenyl sulfone, 93%, m. 199-200°. Attempts to prepare VI by direct condensation of 2,5-Cl(O2N)C6H6CONH2 and p-AcHNC6H4SO2Na failed. Shaking 43.5 g. V in 150 cc. Cellosolve with 1 tsp of Raney Ni 24 h. at 2-3 atmospheric gives 70% 4-NH2 analog (VII), m. 227-9°. Refluxing 28 g. VII 15 min. with 280 cc. 6 N HCl gives 88% 2-sulfamyl-4,4'-diaminodiphenyl sulfone, m. 207-10° 2-CONH2 analog, prepared in 70% yield in the same way from VI by reduction with Raney Ni and hydrolysis, m. 250-2°. Treatment of 100 g. 3,4-Cl2C6H3NO2 (VIII) with 100 g. Na2S.9H2O and addition of another 100 g. VIII give 66% 2,2'-dichloro-4-amino-4'-nitrodiphenyl sulfide (IX), orange crystals, m. 136-9° (Ac derivative, yellow crystals, m. 133-5°). Heating 20 g. IX in 80 cc. Ac2O 0.5 h. on a steam bath, diluting the mixture with 120 cc. AcOH, and treating it with 26 g. KMnO4 in 200 cc. H2O at 40-50° in several portions give 82% 2,2'-dichloro-4-acetamido-4'-nitrodiphenyl sulfone, m. 182-4°. Addition of 100 cc. HNO3 (d. 1.42) to 50 g. 4-acetamido-4'-nitrodiphenyl sulfone, prepared according to Ferry, et al. (C.A. 36, 5791.7), in 200 cc. concentrated H2SO4 at such a rate that the temperature remains at 10-15°, stirring the mixture another 15 min., and pouring it on ice give 68% 3,4'-dinitro-4-acetamidodiphenyl sulfone (X), yellow crystals, m. 194-5°. Refluxing 38.8 g. X in 388 cc. 6 N HCl and 388 cc. EtOH 1 h. gives 96% 3,4'-dinitro-4-aminodiphenyl sulfone (XI), yellow crystals, m. 230-2°. Stirring 32.8 g. XI 75 min. with 330 g. SnCl2.2H2O in 660 cc. concentrated HCl and 620 cc. EtOH, raising the temperature after 20 min. to 60°, pouring the solution into 730 cc. H2O containing 730 g. NaOH and an excess of ice, extracting the mixture with BuOH, and concentrating the BuOH extract in vacuo give 62% 3,4,4'-triaminodiphenyl sulfone, m. 132-4°. By similar redns. of the corresponding mono-NO2 derivs. the following 4,2-(2,4-R(H2N)C6H3SO2)C6H3(NH2)R' are prepared (R and R' in the order given): Me, H, 65% yield, m. 150-3°; Cl, H, 68%, m. 118-20°; Cl, Cl, 83%, m. 255-7°. 4-Amino-1-naphthyl 4-aminophenyl sulfone, 84%, m. 261-2°. Treating 387 g. 4-amino-4'-nitrodiphenyl sulfide with 310 g. p-MeC6H4SO2Cl in 1220 cc. C5H5N 3 h., warming the mixture to give a clear solution, and diluting with 2.4 l. EtOH and 1.1 l. H2O give 97% 4-tosylamino-4'-nitrodiphenyl sulfide (XII), yellow crystals, m. 154-5°. Refluxing 10 g. XII 2 h. in 14 cc. 10% KOH and 100 cc. II with 2.5 cc. PrI, adding 3.2 cc. 10% KOH and 0.6 cc. PrI, and refluxing the

mixture another 2 h. give 91% p- O2NC6H4SC6H4NR(O2SC6H4Me) (XIII) (R = Pr), m. 112-13°. In the same way the following XIII are prepared: R = Me2CH, 64%, m. 150-1°; CH2: CHCH2, 96%, m. 91-3° ; PhCH2, 87%, m. 121-2°; p-O2NC6H4CH2, 65%, m. 187-90°; CH2CONHPh, 56%, m. 185-7°. XIII with R = C8H17, C12H25, and C16H33 are oils. Oxidation of 37.5 g. XIII in 470 cc. AcOH with 90 cc. 30% H2O2 3 h. at 50° gives the sulfones, p-O2NC6H4SO2C6H4NR(O2SC6H4Me) (XIV), of which the following are prepared: R = Pr, 98% yield, m. 156-8°; Me2CH, 44%, m. 199-203°; CH2:CHCH2 (XV), 94%, m. 145-7°; C8H17, 84%, m. 118-20°; C12H25, 94%, m. 100-2°; C16H33, 99%, m. 96-8°; PhCH2, 97%, m. 195-7°; p-O2NC6H4CH2, 92%, m. 183-5°; HOCH2CH(OH) CH2, 91%, m. 170-2°; H (XVI), 90%, m. 174-6°. Shaking 39.2 g. XIV in 150 cc. II with H in the presence of Raney Ni at 2-3 atmospheric and 60-70° gives the corresponding 4-NH2 analogs, p- H2NC6H4SO2C6H4(O2SC6H4Me) (XVII), of which the following are prepared: R = Pr, 96% yield, m. 221-2°; Me2CH, 65%, m. 243-5°; C8H17, 99%, m. 88-90°; C12H25, 93%, m. 90-2°; C16H33, 94%, m. 48-50°; PhCH2, 65%, m. 202-4°; p-H2NC6H4CH2, 72%, m. 125-7°; HOCH2CH(OH)CH2, 92%, m. 165°, resolidifying and remelting at 185° when recrystd. from EtOH; C5H10NCH2CH(OH)CH2, 66%, m. 75-8°. 4-Amino-4'-acetamidodiphenyl sulfone (XVIII), 90%, m. 236-8°. Heating 10 g. XV in 50 cc. AcOH with 18 g. SnCl2.2H2O in 18 cc. concentrated HCl 0.5 h. on a steam bath, concentrating the mixture in vacuo, and adding an excess of 40% NaOH and ice give 76% 4-(allyltosylamino)-4'- aminodiphenyl sulfone, m. 193-5°. Heating 47.4 g. XVI with 14.2 cc. epichlorohydrin and 0.35 cc. C5H5N 1 h. gives 85% 4-[(3-chloro-2- hydroxypropyl)tosylamino]-4'-nitrodiphenyl sulfone (XIX), yellow crystals, m. 165-7°. Heating 46 g. XIX in 460 cc. II containing a trace of phenolphthalein, adding 35 cc. 10% NaOH in II over a period of 10 min. until a permanent red color is obtained, heating 5 min., and diluting with H2O give 76% 4-[(2,3-oxidopropyl)tosylamino]-4'-nitrodiphenyl sulfone (XX), m. 147-9°. When 40 g. XX and 40 cc. piperidine are heated at 80° a reaction takes place with a rise in temperature which is kept below 100°; after 5 min. the mixture is dissolved in EtOH and diluted with ether, giving 80% 4-{[2-hydroxy-3-(1-piperidyl)propyl]tosylamino}-4'- nitrodiphenyl sulfone, yellow crystals, m. 139-40°. Heating 10 g. XII with 2.5 g. glycidol and 0.1 cc. C5H5N 0.5 h. at 99-105° gives 78% 4-[(2,3-dihydroxypropyl)tosylamino]-4'-nitrodiphenyl sulfide, yellow crystals, m. 120-2°. Glycidol also condenses with XVI, giving 40-50% reaction product. Heating 29 g. XV-III, 20 cc. BzH, and 2 g. NaOAc in 150 cc. II 0.5 h. and hydrogenating the mixture at 2-3 atmospheric in the presence of 400 mg. PdCl2 give 29% 4-acetamido-4'-(benzylamino)diphenyl sulfone (XXI), buff-colored crystals, m. 242-7°. Refluxing 8 g. XXI in 80 cc. 6 N HCl 10 min. and pouring the filtered solution into NH4OH and ice give 75% 4-benzylamino-4'-aminodiphenyl sulfone, buff-colored crystals, m. 175-7° (uncor.). Saponification of XVII by (a) treating it with concentrated H2SO4 (2 cc./g.) at 20°, (b) refluxing it with 9 N HCl (15 cc./g.), or (c) refluxing with 9 N H2SO4 (10 cc./g.) and pouring the mixture into iced NH4OH gives the following p-H2NC6H4SO2C6H4NHR:R = Pr, method (a), 2 h., 96%, m. 200-2°; CH2:CHCH2, b, 20 h., 80%, m. 154-6°; C8H17, b, 18 h., m. 184-6°; C12H25, b, 2 h., m. 165-7°; C16H33, a, 17 h., m. 159-61°; HOCH2CH(OH) CH2, c, 3 h., 81%, light-colored oil; C5H10NCH2CH(OH) CH2, c, 2.5 h., 78%, m. 150-5°. The biol. tests will be reported elsewhere.

IT 855931-77-0P, Acetanilide, 2-[N-[p-(p-nitrophenylthio)phenyl]-p-toluenesulfonamido]-
RL: PREP (Preparation)
(preparation of)

RN 855931-77-0 ZCAPLUS

CN Acetanilide, 2-[N-[p-(p-nitrophenylthio)phenyl]-p-toluenesulfonamido]- (5CI) (CA INDEX NAME)



L128 ANSWER 73 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1948:2718 ZCAPLUS Full-text
DOCUMENT NUMBER: 42:2718
ORIGINAL REFERENCE NO.: 42:597b-i,598a-i,599a-b
TITLE: Halogen-substituted aminoarylsulfonic acid derivatives
INVENTOR(S): Martin, Henry; Zaeslin, Hans H.; Hirt, Rudolf; Staub, Alfred
PATENT ASSIGNEE(S): J. R. Geigy A.-G.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2424477		19470722	US 1943-474730	19430204 <--

GI For diagram(s), see printed CA Issue.

AB The preparation is described of new, water-soluble compds. by the treatment of monoaminosulfonic acids (I) of the general formula where X represents atoms or groups such as O, S, SO, SO2, CH2, CO, NH, and NHCONH, with alkylating or aralkylating agents chosen so that at least one of the reaction components is halogenated. Similar water-soluble compds. can be obtained by treatment of II with alkylating or aralkylating agents followed by sulfonation. Examples of halogenated and alkyl-substituted derivs. of usable I include: 4,2-Cl(H2N)C6H3OC6H3(SO3H)Cl-2,4; 4-ClC6H4OC6H3(SO3H)NH2-2,4; 3,4-Cl2C6H3SC6H3(SO3H)NH2-2,4; 4,3-Cl(HO3S)C6H3COC6H4NH2-4; etc. When an unhalogenated I is used, the condensation product is halogenated. For the alkylation, wherein only compds. with high mol. alkyl chains are used, higher alcs. prepared by the reduction of naturally occurring fats, oils, resins, etc., and compds. such as chloromethyl dodecyl ether (III), ClCH2SC12H25 (IV), α-halo carboxylic acids, or their esters, amides, or salts, and particularly the halogenated aromatic amides of α-halogenated aliphatic carboxylic acids are applicable. Examples of aralkylating agents are: benzyl halides; 2-ClC6H4CH2Cl; 3,4-Cl2C6H3CH2Cl; x,x-dichlorobenzyl chloride, etc. Thus, o-nitro-p-chlorobenzyl chloride, b11 160-70°, 103 is stirred with PhCl 300 by volume and AlCl3 100 parts at 25° until the HCl is completely evolved. The excess of PhCl is steam-distilled after decomposing the AlCl3 with ice. The residue is extracted with Et2O, dried, and distilled in vacuo, producing 2-nitro-4,4'-dichlorodiphenylmethane, b15 220-30°. Reduction with Fe gives the 2-amino compound (V), b15 220-30°. V 55 is added to H2SO4.H2O 500 parts and stirred 2 h. at 90-100°, then cooled, poured on ice, the precipitate filtered off, washed with H2O, and dried, producing a white, sweet-tasting powder, 2-amino-4,4'- dichlorodiphenylmethane-2'-sulfonic acid (VI). VI 17 in H2O 100 is treated with 30% NaOH 30 by volume and p-ClC6H4CH2Cl 12 parts stirred at 90-100° 5 h., and then steam-distilled The condensation product is precipitated as a tough resin by the addition of NaCl, and after filtering and drying is very soluble in H2O. 2-Sulfo-4-amino-4'-amyldiphenyl ether (VII) 18.5, prepared by the condensation of amylphenol with 2,5-Cl(O2N)C6H3SO3H and

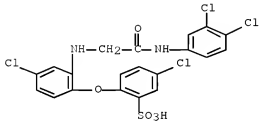
subsequent reduction, is dissolved in H2O and NaOH 150, 2,3,4,6-Cl4C6HCH2Cl 20 is added, and the whole boiled 24 h. The condensation product is precipitated as an oil, separated off, and dried. By condensing 3,4-Cl2C6H3NO2 with 2,4-ClAmC6H3OH, reducing the nitro compound, subsequently sulfonating, and condensing the amino compound with 2,3,4,6-Cl4C6HCH2Cl a similar product is obtained. Instead of VII other alkylated sulfodiphenyl ethers that may be used include: 2'-sulfo-4-amino-2-chloro-4'-amyldiphenyl ether; 2 2'-sulfo-2-amino-4- chloro-4'-isohexyl-6'-methyldiphenyl ether; 2'-sulfo-4-amino-2,6'-dichloro- 4'-amyldiphenyl ether, etc. It is also possible to react 6'-sulfo-4-amino-2,2'-dichloro-4'-amyldiphenyl ether with 2,3,4,6-Cl4C6HCH2Cl to produce a compound of the following formula: Also, 2'-sulfo-2-amino-4,4'-dichlorodiphenyl ether (VIII) 20, made by the sulfonation of 2-amino-4,4'-trichlorodiphenyl ether, and 3,4-Cl2C6H3NHCOCH2Cl (IX) 12 in hot alc. 100 by volume are mixed with calcinated soda 5 parts. After refluxing with stirring 15 h., the solution is diluted with H2O 300 and the separated product filtered off, dissolved in hot H2O, filtered, and cooled, whereby the condensation product is separated and dried in vacuo, producing a light colored powder, soluble in hot water, of the following formula: Instead of IX other amides of ClCH2CO2H can be used: 4-chloroanilide; 2,4-dichloroanilide; (4-chlorophenyl)acetamide, etc. In place of VIII there may be used 2-sulfo-4-amino-4',5- dichlorodiphenyl sulfide, 4-sulfo-2-amino-3',6'-dichlorodiphenyl sulfide, 2-sulfo-4-amino-3'-chloro-6'-methoxydiphenyl sulfide, 4-sulfo-2-amino-4'- bromodiphenyl sulfide, etc. The condensation of 2-sulfo-4-amino-4'-chlorodiphenyl sulfide with 2,4,6-Cl3C6H2CH2Cl is a readily soluble powder of similar properties. 2-Sulfo-4-amino-4'-chloro-5'-methyldiphenyl ether 10 is suspended in C6H6 100 by volume and III 8 parts is added and the whole boiled. The resulting acid is neutralized with K2CO3 5 parts, isolated, and dried in vacuo. Instead of III, IV may be used. 4-Amino-4',5'- dichlorodiphenyl ether (X) 25.4, made by the condensation of 4,5-Cl2C6H3OH with 4-O2NC6H4Cl followed by reduction, is heated with C12H25Br 27 parts 3 h. to 160-70°, then 15 h. to 170-80°. Sulfonation is carried out directly on the cold melt, and it is dissolved in H2SO4.H2O 400 parts and heated to 90°. The mass is poured on ice, the resin filtered off, the solution treated with charcoal, filtered, and salted out. The sulfonic acid salts are obtained by neutralization. Acylation may be accomplished by treating with (EtCO)2O or (PrCO)2O. Instead of X these unsulfonated compds. may be used: 4-amino-4'-chlorodiphenyl ether; 4-amino-4,4',5'-trichlorodiphenyl ether; 4-amino-2-chloro-4'-amyldiphenyl ether, etc. In each case the sulfonation can be effected before or after the alkylation. 4-Sulfo-2-amino-2',4',5'-trichlorodiphenyl ether (XI) 19.5 is dissolved in H2O 150 with as much Na2CO3 as needed, p-ClC6H4CH2Cl 8, and the whole heated to 70-80°. The HCl formed is neutralized with dilute NaOH. The separated resin is filtered off and dried in vacuo. The condensation product 10 is mixed with Ac2O 50 parts and placed in a H2O bath 2 h., then the whole is poured into H2O, filtered, washed with NaCl solution, and dried in vacuo. Similar compds. are obtained with Cl4C6HCH2Cl also and by using Ac2O, (EtCO)2O, or (PrCO)2O as acylating agents. Instead of XI the following are usable: 2-sulfo-4-amino-4'-amyl-6'- chlorodiphenyl ether; 2-sulfo-4-amino-4'-chloro-3',5'-dimethyldiphenyl ether; 4-sulfo-2-amino-4'-chloro-3'-methyl-6'-iso-Pr di-Ph ether; 2-sulfo-4-amino-4'-chlorodiphenyl sulfone. To 4-sulfo-2-amino-3'- methyldiphenyl ether 60 in H2O 300 by volume, containing also Na2CO3, PhCH2Cl 30 parts is added, and the mixture heated to 50-60°. The resulting acid is neutralized with NaOH, the excess PhCH2Cl steam-distilled, the mass separated by filtering, and the filtrate salted out. The PhCH2 derivative (XII) is a brownish mass. XII 72 is intermixed with Ac2O 400 parts by volume and heated to boiling 6 h. The whole mass is poured into H2O, and the precipitated Ac derivative (XIII) removed by suction and dried, producing a gray powder. XIII 15 is dissolved in H2O 300 parts by volume and Cl slowly passed through. After 2 h., Na2CO3 solution is added and the chlorinated

product salted out. Procedures are also described for using these products to render textiles moth-proof. Cf. C.A. 40, 2163.6.

IT 853780-45-7P, Benzenesulfonic acid, 5-chloro-2-[4-chloro-2-[[[(3,4-dichlorophenyl)carbamoyl]methyl]amino]phenoxy]-
RL: PREP (Preparation)
(preparation of)

RN 853780-45-7 ZCAPLUS

CN Benzenesulfonic acid, 5-chloro-2-[4-chloro-2-[[[(3,4-dichlorophenyl)carbamoyl]methyl]amino]phenoxy]- (5CI) (CA INDEX NAME)



L128 ANSWER 74 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1942:29969 ZCAPLUS Full-text

DOCUMENT NUMBER: 36:29969

ORIGINAL REFERENCE NO.: 36:4640h-i

TITLE: Aminoaryl sulfonic acid derivative

PATENT ASSIGNEE(S): J. R. Geigy A.-G.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

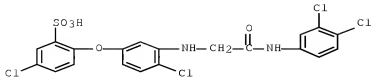
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 212781		19410317	CH	<--

AB 2-Amino-4,4'-dichloro-1,1'-diphenyl-ether-2'-sulfonic acid is caused to react with chloroacetyl-3,4-dichloroanilide. The condensation product has the probable formula 5-(4-Cl-2-HO3SC6H3O)-2-ClC6H3NHCH2CONHC6H3Cl2-3,4. Its Na salt is a light-colored powder, which is easily soluble in hot H2O. It is suitable as protective agent against moths.

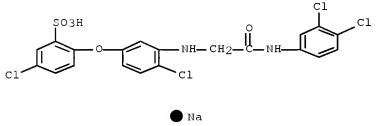
IT 753479-80-0P, Benzenesulfonic acid, 5-chloro-2-[4-chloro-3-[[(3,4-dichlorophenylcarbamyl)methyl]amino]phenoxy]- 753480-00-1P, Benzenesulfonic acid, 5-chloro-2-[4-chloro-3-[[(3,4-dichlorophenylcarbamyl)methyl]amino]phenoxy]-, sodium salt
RL: PREP (Preparation)
(preparation of)

RN 753479-80-0 ZCAPLUS

CN Benzenesulfonic acid, 5-chloro-2-[4-chloro-3-[[(3,4-dichlorophenylcarbamyl)methyl]amino]phenoxy]- (4CI) (CA INDEX NAME)



RN 753480-00-1 ZCAPLUS
CN Benzenesulfonic acid, 5-chloro-2-[4-chloro-3-[[(3,4-dichlorophenylcarbamyl)methyl]amino]phenoxy]-, sodium salt (4CI) (CA INDEX NAME)

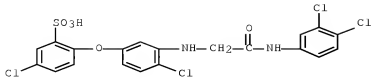


L128 ANSWER 75 OF 75 ZCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1942:29968 ZCAPLUS Full-text
DOCUMENT NUMBER: 36:29968
ORIGINAL REFERENCE NO.: 36:4640g-h
TITLE: Aminoaryl sulfonic acid derivative
PATENT ASSIGNEE(S): J. R. Geigy A.-G.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

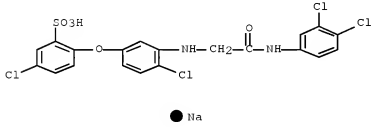
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 212780		19410401	CH	<--

AB 4-Amino-4',5'-dichloro-1,1'-diphenyl-sulfide-2-sulfonic acid is caused to react with palm-kernel fat acid chlorides. The 4-lauroylamino-4',5'-dichloro-1,1'-diphenyl-sulfide-2-sulfonic acid forms a Na salt which is a dark paste.

IT 753479-80-0P, Benzenesulfonic acid, 5-chloro-2-[4-chloro-3-[[(3,4-dichlorophenylcarbamyl)methyl]amino]phenoxy]- 753480-00-1P, Benzenesulfonic acid, 5-chloro-2-[4-chloro-3-[[(3,4-dichlorophenylcarbamyl)methyl]amino]phenoxy]-, sodium salt
RL: PREP (Preparation)
(preparation of)
RN 753479-80-0 ZCAPLUS
CN Benzenesulfonic acid, 5-chloro-2-[4-chloro-3-[[(3,4-dichlorophenylcarbamyl)methyl]amino]phenoxy]- (4CI) (CA INDEX NAME)



RN 753480-00-1 ZCAPLUS
CN Benzenesulfonic acid, 5-chloro-2-[4-chloro-3-[[(3,4-dichlorophenylcarbamyl)methyl]amino]phenoxy]-, sodium salt (4CI) (CA INDEX NAME)



```
=> d his full

     (FILE 'HOME' ENTERED AT 08:13:45 ON 07 MAR 2008)

     FILE 'REGISTRY' ENTERED AT 08:14:03 ON 07 MAR 2008
                  ACT DAV043STR50L/A
                 ---------
L1                SCR 1235
L2                SCR 1839
L3                SCR 1992
L4                SCR 387
L5  (   5459218)SEA ABB=ON  PLU=ON  NRRS>2
L6                STR
L7             49 SEA SUB=L5 SSS FUL L6 AND (L1 AND L2 AND L3 AND L4)
                  --------
                  ACT DAV043STR49L/A
                 ---------
L8                SCR 1235
L9                SCR 1839
L10               SCR 1840
L11               SCR 1992
L12               SCR 387
L13               STR
L14         9647 SEA SSS FUL L13 AND (L8 AND L9 AND L11 AND L12 AND L10)
                  ---------

     FILE 'ZCAPLUS' ENTERED AT 08:19:10 ON 07 MAR 2008
L15          426 SEA ABB=ON  PLU=ON  L14
L*** DEL     213 S L!5 AND P/DT
L16          292 SEA ABB=ON  PLU=ON  L15 AND P/DT
L*** DEL     426 S L15 NOT L!6
L17          134 SEA ABB=ON  PLU=ON  L15 NOT L16
L18           98 SEA ABB=ON  PLU=ON  L17 AND PY<2003
L*** DEL      96 S L17 AND PY<2002
L19          147 SEA ABB=ON  PLU=ON  L16 AND PD<20020827
L20          174 SEA ABB=ON  PLU=ON  L16 AND PRD<20020827
L21          159 SEA ABB=ON  PLU=ON  L16 AND AD<20020827
L22          282 SEA ABB=ON  PLU=ON  (L18 OR L19 OR L20 OR L21)
L23              ANALYZE PLU=ON  L22 1- RN HIT :    2007 TERMS
                 D
                 E US2005-526043/APPS
L24            1 SEA ABB=ON  PLU=ON  US2005-526043/AP
L25            0 SEA ABB=ON  PLU=ON  L7 AND L24
L26            1 SEA ABB=ON  PLU=ON  L15 AND L24
                 D SCA
                 SEL HIT RN

     FILE 'REGISTRY' ENTERED AT 08:24:01 ON 07 MAR 2008
L27            8 SEA ABB=ON  PLU=ON  (668980-73-2/BI OR 668980-74-3/BI OR
                 668980-75-4/BI OR 668980-76-5/BI OR 668980-77-6/BI OR 668980-78
                 -7/BI OR 668980-79-8/BI OR 668980-80-1/BI)

     FILE 'ZCAPLUS' ENTERED AT 08:24:08 ON 07 MAR 2008
L28            1 SEA ABB=ON  PLU=ON  L27

     FILE 'REGISTRY' ENTERED AT 08:30:54 ON 07 MAR 2008
L29              STRUCTURE UPLOADED
L30           50 SEA SUB=L14 SSS SAM L29
```

```
L31         3634 SEA SUB=L14 SSS FUL L29
                 SAVE TEMP DAV04329BL/A L31

     FILE 'ZCAPLUS' ENTERED AT 08:33:10 ON 07 MAR 2008
L32          198 SEA ABB=ON  PLU=ON  L31
L33          129 SEA ABB=ON  PLU=ON  L32 AND L22
L*** DEL     113 S L32 AND PY<2003
L34          138 SEA ABB=ON  PLU=ON  L32 AND P/DT
L35           60 SEA ABB=ON  PLU=ON  L32 NOT L34
L36           43 SEA ABB=ON  PLU=ON  L35 AND PY<2003
L37           67 SEA ABB=ON  PLU=ON  L34 AND PD<20020827
L38           78 SEA ABB=ON  PLU=ON  L34 AND PRD<20020827
L39           70 SEA ABB=ON  PLU=ON  L34 AND AD<20020827
L40          129 SEA ABB=ON  PLU=ON  (L36 OR L37 OR L38 OR L39)
L41              ANALYZE PLU=ON  L40 1- RN HIT :     940 TERMS
                 D

     FILE 'REGISTRY' ENTERED AT 08:37:03 ON 07 MAR 2008
L42            1 SEA ABB=ON  PLU=ON  161455-90-9
                 D SCA

     FILE 'ZCAPLUS' ENTERED AT 08:38:56 ON 07 MAR 2008
L43              TRA PLU=ON  L40 1- RN :   15992 TERMS

     FILE 'REGISTRY' ENTERED AT 08:39:03 ON 07 MAR 2008
L44        15992 SEA ABB=ON  PLU=ON  L43
L45          940 SEA ABB=ON  PLU=ON  L44 AND L31

     FILE 'ZCAPLUS' ENTERED AT 08:42:13 ON 07 MAR 2008
L46           40 SEA ABB=ON  PLU=ON  L7
L47           29 SEA ABB=ON  PLU=ON  L46 AND P/DT
L48           11 SEA ABB=ON  PLU=ON  L46 NOT L47
L49           10 SEA ABB=ON  PLU=ON  L48 AND PY<2003
L50           10 SEA ABB=ON  PLU=ON  L47 AND PD<20020827
L51           13 SEA ABB=ON  PLU=ON  L47 AND PRD<20020827
L52           13 SEA ABB=ON  PLU=ON  L47 AND AD<20020827
L53           23 SEA ABB=ON  PLU=ON  (L49 OR L50 OR L51 OR L52)
L54              ANALYZE PLU=ON  L53 1- RN HIT :      21 TERMS
                 D

     FILE 'REGISTRY' ENTERED AT 08:48:10 ON 07 MAR 2008
L55              STRUCTURE UPLOADED
L56            0 SEA SUB=L14 SSS SAM L55
L57           69 SEA SUB=L14 SSS FUL L55

     FILE 'ZCAPLUS' ENTERED AT 08:49:28 ON 07 MAR 2008
L58           10 SEA ABB=ON  PLU=ON  L57

     FILE 'REGISTRY' ENTERED AT 08:52:35 ON 07 MAR 2008
L59              STRUCTURE UPLOADED
L60            0 SEA SUB=L14 SSS SAM L59
L61           73 SEA SUB=L14 SSS FUL L59
L62            4 SEA ABB=ON  PLU=ON  L61 NOT L57
                 D SCA

     FILE 'ZCAPLUS' ENTERED AT 08:53:52 ON 07 MAR 2008
L63           10 SEA ABB=ON  PLU=ON  L61

     FILE 'REGISTRY' ENTERED AT 08:54:50 ON 07 MAR 2008
L64              STRUCTURE UPLOADED
```

```
L65          12 SEA SUB=L14 SSS SAM L64
L66         381 SEA SUB=L14 SSS FUL L64

     FILE 'ZCAPLUS' ENTERED AT 08:55:41 ON 07 MAR 2008
L67          22 SEA ABB=ON  PLU=ON  L66
L68          11 SEA ABB=ON  PLU=ON  L67 AND L40

     FILE 'REGISTRY' ENTERED AT 08:59:57 ON 07 MAR 2008
L69              STRUCTURE UPLOADED
L70          41 SEA SUB=L14 SSS SAM L69
L71         997 SEA SUB=L14 SSS FUL L69

     FILE 'ZCAPLUS' ENTERED AT 09:04:26 ON 07 MAR 2008
L72          46 SEA ABB=ON  PLU=ON  L71
L*** DEL     26 S L72 AND PY<2003
L73          28 SEA ABB=ON  PLU=ON  L72 AND P/DT
L74          18 SEA ABB=ON  PLU=ON  L72 NOT L73
L75          12 SEA ABB=ON  PLU=ON  L74 AND PY<2003
L76          13 SEA ABB=ON  PLU=ON  L73 AND PD<20020827
L77          14 SEA ABB=ON  PLU=ON  L73 AND PRD<20020827
L78          14 SEA ABB=ON  PLU=ON  L73 AND AD<20020827
L79          26 SEA ABB=ON  PLU=ON  (L75 OR L76 OR L77 OR L78)
L80          16 SEA ABB=ON  PLU=ON  L67 AND P/DT
L81          23 SEA ABB=ON  PLU=ON  L79 NOT L80
L82          23 SEA ABB=ON  PLU=ON  L81 AND PY<2003
L83           7 SEA ABB=ON  PLU=ON  L80 AND PD<20020827
L84           5 SEA ABB=ON  PLU=ON  L80 AND PRD<20020827
L85           6 SEA ABB=ON  PLU=ON  L80 AND AD<20020827
L86          31 SEA ABB=ON  PLU=ON  (L82 OR L83 OR L84 OR L85)
L87           6 SEA ABB=ON  PLU=ON  L67 NOT L80
L88           3 SEA ABB=ON  PLU=ON  L87 AND PY<2003
L89           5 SEA ABB=ON  PLU=ON  L80 AND PRD<20020827
L90           7 SEA ABB=ON  PLU=ON  L80 AND PD<20020827
L91           6 SEA ABB=ON  PLU=ON  L80 AND AD<20020827
L92          11 SEA ABB=ON  PLU=ON  (L88 OR L89 OR L90 OR L91)
L93          56 SEA ABB=ON  PLU=ON  L53 OR L92 OR L79
                SEL HIT RN

     FILE 'REGISTRY' ENTERED AT 09:10:38 ON 07 MAR 2008
L94         140 SEA ABB=ON  PLU=ON  (70175-71-2/BI OR 167645-29-6/BI OR
                183176-58-1/BI OR 183176-59-2/BI OR 183176-65-0/BI OR 183176-66
                -1/BI OR 183176-67-2/BI OR 183176-70-7/BI OR 215649-26-6/BI OR
                400614-49-5/BI OR 400708-24-9/BI OR 619323-04-5/BI OR 70175-72-
                3/BI OR 753479-80-0/BI OR 753480-00-1/BI OR 110690-53-4/BI OR
                116488-68-7/BI OR 116488-69-8/BI OR 116488-70-1/BI OR 116488-71
                -2/BI OR 116488-72-3/BI OR 116488-73-4/BI OR 116488-74-5/BI OR
                116488-75-6/BI OR 116488-76-7/BI OR 116488-77-8/BI OR 116488-78
                -9/BI OR 116488-79-0/BI OR 116488-80-3/BI OR 116488-81-4/BI OR
                116488-82-5/BI OR 116488-83-6/BI OR 116488-84-7/BI OR 116488-85
                -8/BI OR 116488-86-9/BI OR 116488-87-0/BI OR 116488-88-1/BI OR
                116488-89-2/BI OR 116488-90-5/BI OR 116488-91-6/BI OR 116488-92
                -7/BI OR 116524-27-7/BI OR 122417-83-8/BI OR 122417-84-9/BI OR
                146939-76-6/BI OR 157669-66-4/BI OR 159048-73-4/BI OR 159048-74
                -5/BI OR 162439-83-0/BI OR 173944-70-2/BI OR 173944-73-5/BI OR
                173944-78-0/BI OR 183176-86-5/BI OR 183179-07-9/BI OR 189275-25
                -0/BI OR 189275-26-1/BI OR 195967-58-9/BI OR 195967-62-5/BI OR
                195967-63-6/BI OR 195967-66-9/BI OR 195967-72-7/BI OR 195967-73
                -8/BI OR 195967-74-9/BI OR 195967-77-2/BI OR 195967-78-3/BI OR
                197097-98-6/BI OR 197097-99-7/BI OR 197098-00-3/BI OR 202478-28
                -2/BI OR 214599-66-3/BI OR 215507-38-3/BI OR 233282-00-3/BI OR
```

```
                233282-01-4/BI OR 233282-02-5/BI OR 247132-60-1/BI OR 267405-35
                -6/BI OR 287972-52-5/BI OR 325456-29-9/BI OR 325456-30-2/BI OR
                325456-31-3/BI OR 325456-32-4/BI OR 325456-33-5/BI OR 325456-35
                -7/BI OR 325456-36-8/BI OR 325456-37-9/BI OR 325456-38-0/BI OR
                325456-39-1/BI OR 325456-40-4/BI OR 325456-41-5/BI OR 325456-42
                -6/BI OR 325456-43-7/BI OR 325456-44-8/BI OR 325456-45-9/BI OR
                325456-46-0/BI OR 325456-47-1/BI OR 325457-77-0/BI OR 325457-81
                -6/BI OR 325457-82-7/BI OR 325457-83-8/BI OR 32545
L95             STRUCTURE UPLOADED
L96           5 SEA SUB=L14 SSS SAM L95
L97         195 SEA SUB=L14 SSS FUL L95

     FILE 'ZCAPLUS' ENTERED AT 09:17:04 ON 07 MAR 2008
L98          39 SEA ABB=ON  PLU=ON  L97
L99          26 SEA ABB=ON  PLU=ON  L98 AND P/DT
L100         13 SEA ABB=ON  PLU=ON  L98 NOT L99
L101         10 SEA ABB=ON  PLU=ON  L100 AND PY<2003
L102         19 SEA ABB=ON  PLU=ON  L99 AND PD<20020827
L103         16 SEA ABB=ON  PLU=ON  L99 AND PRD<20020827
L104         17 SEA ABB=ON  PLU=ON  L99 AND AD<20020827
L105         31 SEA ABB=ON  PLU=ON  (L101 OR L102 OR L103 OR L104)
L106         75 SEA ABB=ON  PLU=ON  L93 OR L105
                D COST
L107         45 SEA ABB=ON  PLU=ON  BUCHSTALLER H?/AU
L108        278 SEA ABB=ON  PLU=ON  WIESNER M?/AU
L109         24 SEA ABB=ON  PLU=ON  SCHADT O?/AU
L110         27 SEA ABB=ON  PLU=ON  AMENDT C?/AU
L111         38 SEA ABB=ON  PLU=ON  ZENKE F?/AU
L112         38 SEA ABB=ON  PLU=ON  SIRRENBERG C?/AU
L113        149 SEA ABB=ON  PLU=ON  GRELL M?/AU
L114         24 SEA ABB=ON  PLU=ON  L107 AND (L108 OR L109 OR L110 OR L111 OR
                L112 OR L113)
L115          9 SEA ABB=ON  PLU=ON  L108 AND (L109 OR L110 OR L111 OR L112 OR
                L113)
L116          3 SEA ABB=ON  PLU=ON  L109 AND (L110 OR L111 OR L112 OR L113)
L117         21 SEA ABB=ON  PLU=ON  L110 AND (L111 OR L112 OR L113)
L118         15 SEA ABB=ON  PLU=ON  L111 AND (L112 OR L113)
L119         14 SEA ABB=ON  PLU=ON  L112 AND L113
L120         28 SEA ABB=ON  PLU=ON  (L114 OR L115 OR L116 OR L117 OR L118 OR
                L119)
L121          1 SEA ABB=ON  PLU=ON  L15 AND (L107 OR L108 OR L109 OR L110 OR
                L111 OR L112 OR L113)

     FILE 'REGISTRY' ENTERED AT 09:22:53 ON 07 MAR 2008

     FILE 'ZCAPLUS' ENTERED AT 09:22:56 ON 07 MAR 2008
                D STAT QUE L120
L*** DEL     24 S L107 AND L108-L113
L122         20 SEA ABB=ON  PLU=ON  L114 AND (L115 OR L116 OR L117 OR L118 OR
                L119)
L*** DEL      9 S L115 AND L116-L120
L123          8 SEA ABB=ON  PLU=ON  L115 AND (L116 OR L117 OR L118 OR L119)
L124          2 SEA ABB=ON  PLU=ON  L116 AND (L117 OR L118 OR L119)
L125         14 SEA ABB=ON  PLU=ON  L117 AND (L118 OR L119)
L126         14 SEA ABB=ON  PLU=ON  L118 AND L119
L127         20 SEA ABB=ON  PLU=ON  (L122 OR L123 OR L124 OR L125 OR L126)

     FILE 'REGISTRY' ENTERED AT 09:24:46 ON 07 MAR 2008
                D STAT QUE L127
```

```
     FILE 'ZCAPLUS' ENTERED AT 09:25:16 ON 07 MAR 2008
                D IBIB ABS L127 1-20

     FILE 'REGISTRY' ENTERED AT 09:25:19 ON 07 MAR 2008

     FILE 'REGISTRY' ENTERED AT 09:25:34 ON 07 MAR 2008

     FILE 'ZCAPLUS' ENTERED AT 09:25:38 ON 07 MAR 2008
                D STAT QUE L53
                D STAT QUE L92
                D STAT QUE L79
                D STAT QUE L105
L128          75 SEA ABB=ON  PLU=ON  L53 OR L92 OR L79 OR L105
                D IBIB ABS HITSTR L128 1-75


     FILE HOME

     FILE REGISTRY
     Property values tagged with IC are from the ZIC/VINITI data file
     provided by InfoChem.

     STRUCTURE FILE UPDATES:    5 MAR 2008  HIGHEST RN 1006749-26-3
     DICTIONARY FILE UPDATES:   5 MAR 2008  HIGHEST RN 1006749-26-3

     New CAS Information Use Policies, enter HELP USAGETERMS for details.

     TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

       Please note that search-term pricing does apply when
       conducting SmartSELECT searches.

     REGISTRY includes numerically searchable data for experimental and
     predicted properties as well as tags indicating availability of
     experimental property data in the original document.  For information
     on property searching in REGISTRY, refer to:

     http://www.cas.org/support/stngen/stndoc/properties.html

     FILE ZCAPLUS

     Copyright of the articles to which records in this database refer is
     held by the publishers listed in the PUBLISHER (PB) field (available
     for records published or updated in Chemical Abstracts after December
     26, 1996), unless otherwise indicated in the original publications.
     The CA Lexicon is the copyrighted intellectual property of the
     American Chemical Society and is provided to assist you in searching
     databases on STN.  Any dissemination, distribution, copying, or storing
     of this information, without the prior written consent of CAS is
     strictly prohibited.

     FILE COVERS 1907 - 7 Mar 2008  VOL 148 ISS 11
     FILE LAST UPDATED: 6 Mar 2008  (20080306/ED)

     New CAS Information Use Policies, enter HELP USAGETERMS for details.

       This file contains CAS Registry Numbers for easy and accurate
       substance identification.

=>
```